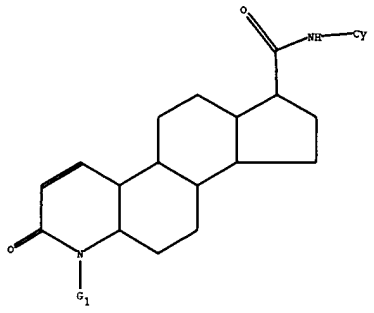
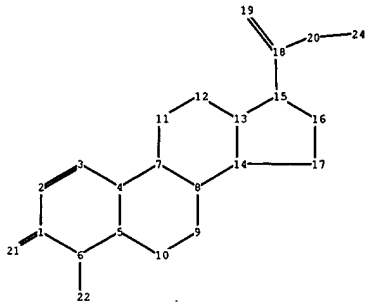
C:\STNEXP4\QUERIES\100207[redacted].str





chain nodes :
18 19 20 21 22 24
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
1-21 6-22 15-18 18-19 18-20 20-24
ring bonds :
1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 7-11 8-9 8-14 9-10
11-12 12-13 13-14 13-15 14-17 15-16 16-17
exact/norm bonds :
1-2 1-6 1-21 2-3 3-4 4-5 4-7 5-6 5-10 6-22 7-8 7-11 8-9 8-14
9-10 11-12 12-13 13-14 13-15 14-17 15-16 16-17 18-19 18-20
20-24
exact bonds :
15-18

G1:H,CH3,Et

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom
10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom
18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 24:Atom
Generic attributes :
24:
Saturation : Unsaturated

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=> d his

     (FILE 'HOME' ENTERED AT 14:30:55 ON 18 NOV 2002)

     FILE 'REGISTRY' ENTERED AT 14:31:06 ON 18 NOV 2002
L1                STRUCTURE UPLOADED
L2                QUE L1
L3              6 S L2
L4            107 S L2 SSS FUL

     FILE 'CAPLUS' ENTERED AT 14:31:54 ON 18 NOV 2002
L5             64 S L4
L6            ANALYZE L5 1- RN HIT :     107 TERMS

     FILE 'REGISTRY' ENTERED AT 14:32:22 ON 18 NOV 2002
L7              1 S 164656-23-9/RN
L8              1 S 133216-46-3/RN
L9              1 S 139512-71-3/RN
L10             1 S 139512-72-4/RN
L11               STRUCTURE UPLOADED
L12               QUE L11
L13            62 S L12  SUB=L4 FUL
L14            45 S L4 NOT L13

     FILE 'CAPLUS' ENTERED AT 14:35:32 ON 18 NOV 2002
L15            48 S L13

=> d bib abs hitstr 1-48
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L15 ANSWER 1 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:556104 CAPLUS
DN 137:109489
TI Compositions comprising a polypeptide and an active agent
IN Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randal J.
PA USA
SO U.S. Pat. Appl. Publ., 34 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002099013	A1	20020725	US 2001-933708	20010822
PRAI	US 2000-247556P	P	20001114		
	US 2000-247558P	P	20001114		
	US 2000-247559P	P	20001114		
	US 2000-247560P	P	20001114		
	US 2000-247561P	P	20001114		
	US 2000-247594P	P	20001114		
	US 2000-247595P	P	20001114		
	US 2000-247606P	P	20001114		
	US 2000-247607P	P	20001114		
	US 2000-247608P	P	20001114		
	US 2000-247609P	P	20001114		
	US 2000-247610P	P	20001114		
	US 2000-247611P	P	20001114		
	US 2000-247612P	P	20001114		
	US 2000-247620P	P	20001114		
	US 2000-247621P	P	20001114		
	US 2000-247634P	P	20001114		
	US 2000-247635P	P	20001114		
	US 2000-247698P	P	20001114		
	US 2000-247699P	P	20001114		
	US 2000-247700P	P	20001114		
	US 2000-247701P	P	20001114		
	US 2000-247702P	P	20001114		
	US 2000-247797P	P	20001114		
	US 2000-247798P	P	20001114		
	US 2000-247799P	P	20001114		
	US 2000-247800P	P	20001114		
	US 2000-247801P	P	20001114		
	US 2000-247802P	P	20001114		
	US 2000-247803P	P	20001114		
	US 2000-247804P	P	20001114		
	US 2000-247805P	P	20001114		
	US 2000-247807P	P	20001114		
	US 2000-247832P	P	20001114		
	US 2000-247833P	P	20001114		
	US 2000-247926P	P	20001114		
	US 2000-247927P	P	20001114		
	US 2000-247928P	P	20001114		
	US 2000-247929P	P	20001114		
	US 2000-247930P	P	20001114		

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a

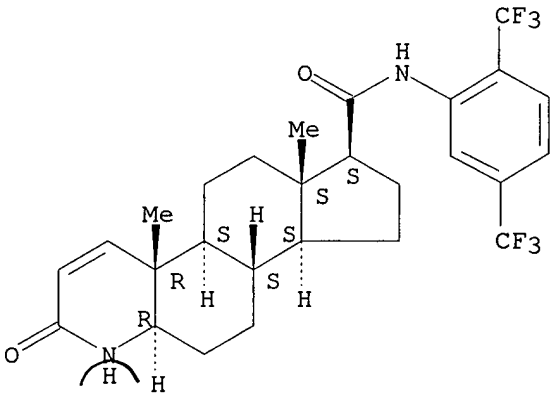
heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalexin hydrochloride.

IT **164656-23-9**, Dutasteride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



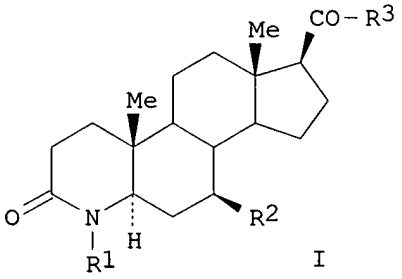
L25 ANSWER 2 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:449695 CAPLUS
DN 137:20508
TI Preparation of 3-oxo-4-azasteroids via stereoselective hydrogenation
IN Davis, Roman; Millar, Alan; Sterbenz, Jeffrey Thomas
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002046207	A2	20020613	WO 2001-US48173	20011102

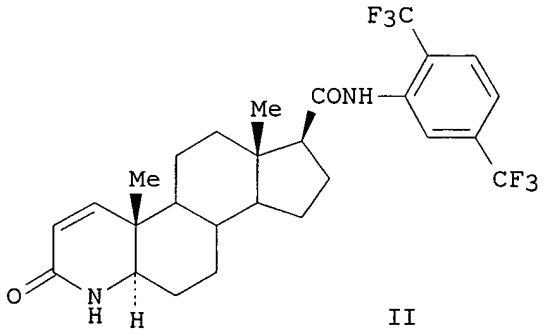
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI GB 2000-26876 A 20001103
OS CASREACT 137:20508; MARPAT 137:20508
GI



I



II

AB An improved process for prepg. steroids, such as 3-oxo-4-azasteroids of formula I [R1 = H, OH, alkyl, aryl, heteroarom. group; R2 = H, alkyl, aryl, heteroarom. group; R3 = H, OH, alkyl, alkoxy, aryl, (substituted) NH2, etc.], is described. Compds. of this type are known to be useful in the prepn. of compds. having 5.alpha.-reductase inhibitor activity. The process comprises the hydrogenation of the corresponding steroid alkene in the presence of ammonium acetate, ammonium formate, and/or ammonium propionate and an appropriate catalyst. Thus, 3-oxo-4-aza-5-androstene-17.beta.-carboxylic acid (prepn. given) was hydrogenated with ammonium acetate and PtO2 to give 3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid with a high .alpha.:.beta. ratio. 3-Oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid was reacted with DDQ and bis(trimethylsilyl)trifluoroacetamide (BSTFA), then SOCl2 and

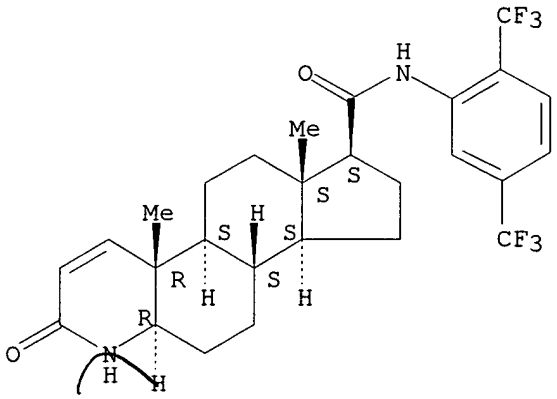
2,5-bis(trifluoromethyl)aniline to give II.

IT **164656-23-9P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3-oxo-4-azasteroids via stereoselective hydrogenation)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



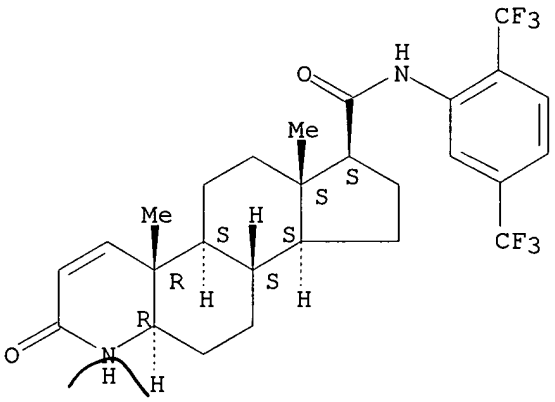
L15 ANSWER 3 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:431469 CAPLUS
DN 137:41205
TI Dihydrotestosterone and the concept of 5.alpha.-reductase inhibition in human benign prostatic hyperplasia
AU Bartsch, G.; Rittmaster, R. S.; Klocker, H.
CS Department of Urology, University of Innsbruck, Innsbruck, 6020, Austria
SO World Journal of Urology (2002), 19(6), 413-425
CODEN: WJURDJ; ISSN: 0724-4983
PB Springer-Verlag
DT Journal; General Review
LA English
AB A review. The development of human benign prostatic hyperplasia (BPH) clearly requires a combination of testicular androgens and the ageing process. Although the role of androgens as the causative factor for human benign prostatic hyperplasia is debated, they undoubtedly play, at least, a permissive role. The principal prostatic androgen is dihydrotestosterone. Although not elevated in human benign prostatic hyperplasia, dihydrotestosterone levels in the prostate remain at a normal level with ageing, despite a decrease in the plasma testosterone. Dihydrotestosterone (DHT) is generated by a redn. in testosterone. Two isoenzymes of 5.alpha.-reductase have been discovered. Type 1 is present in most tissues in the body where 5.alpha.-reductase is expressed, and is the dominant form in sebaceous glands. Type 2 5.alpha.-reductase is the dominant isoenzyme in genital tissues, including the prostate. Finasteride is a 5.alpha.-reductase inhibitor that has been used to treat BPH and male-pattern baldness. At doses used clin., its major effect is to suppress type 2 5.alpha.-reductase, because it has a much lower affinity for the type 1 isoenzyme. Finasteride suppresses DHT by about 70% in serum and by as much as 85%-90% in the prostate. The remaining DHT in the prostate is likely to be the result of type 1 5.alpha.-reductase. The suppression of both 5.alpha.-reductase isoenzymes with GI198745 results in greater and more consistent containment of serum dihydrotestosterone than that obsd. with a selective inhibitor of type 2 5.alpha.-reductase. Physiol. and clin. studies comparing dual 5.alpha.-reductase inhibitors, such as GI198745, with selective type 2, such as finasteride, will be needed to det. the clin. relevance of type 1 5.alpha.-reductase within the prostate. There have been two large, international multicenter, phase III trials published documenting the safety and efficacy of finasteride in treating human benign prostatic hyperplasia. Combining these two studies, randomized, controlled data are available for 12 mo. Non-controlled extension of these data from a subset of patients, who elected to continue on the drug for 3, 4 and 5 yr, are also available. Long-term medical therapy with finasteride can reduce clin. significant endpoints, such as acute urinary retention or surgery. According to the meta-anal. of six randomized, clin. trials with finasteride, finasteride is most effective in men with large prostates. A more effective dual inhibitor of type 1 and 2 human 5.alpha.-reductase may lower circulating dihydrotestosterone to a greater extent than finasteride and show advantages in treating human benign prostatic hyperplasia and other disease states that depend on dihydrotestosterone. A clin. evaluation of potent dual 5.alpha.-reductase inhibitors may help to define the relative roles of human type 1 and 2 5.alpha.-reductase in the pathophysiol. of benign prostatic hyperplasia and other androgen-dependent diseases.
IT **164656-23-9**, GI198745
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(finasteride and GI198745, 5.alpha.-reductase inhibitors: effect on dihydrotestosterone in benign prostatic hyperplasia patients)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 113 THERE ARE 113 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 4 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:332011 CAPLUS
DN 136:355482
TI Compositions comprising a polypeptide and an active agent
IN Piccariello, Thomas; Olon, Lawrence P.; Kirk, Randall J.
PA New River Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 98 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

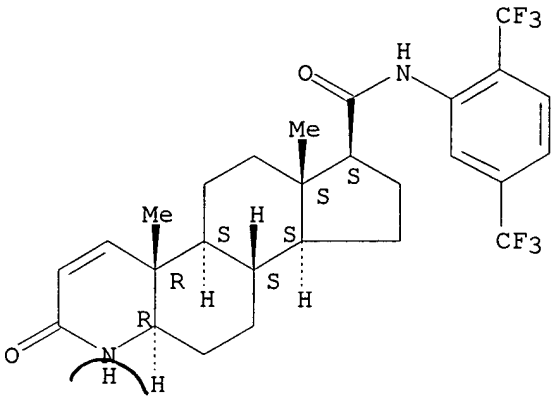
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034237	A1	20020502	WO 2001-US26142	20010822
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2001086599	A5	20020506	AU 2001-86599	20010822
PRAI	US 2000-642820	A	20000822		
	WO 2001-US26142	W	20010822		

AB Claimed are compns. comprising a polypeptide and an active agent covalently attached to the polypeptide and a method for delivery of an active agent to a patient by administering the compn. to the patient. The peptide is a homopolymer of a naturally occurring amino acid or a heteropolymer of two or more naturally occurring amino acids. In an example, (Glu)n-cephalexin was prepd. from Glu(OBut)NCA and cephalexin hydrochloride.

IT **164656-23-9**, Dutasteride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. comprising a polypeptide and an active agent)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 5 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:89809 CAPLUS
DN 136:139844
TI Compositions useful for regulating hair growth containing metal complexes of oxidized carbohydrates
IN Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

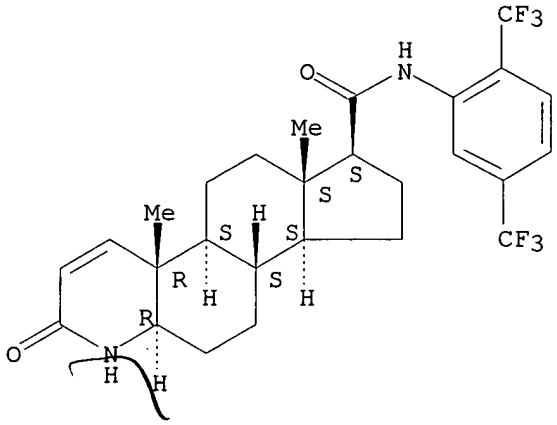
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002007700	A2	20020131	WO 2001-US23425	20010725
	W: AE, AG, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2002119174	A1	20020829	US 2001-909440	20010719
PRAI	US 2000-220756P	P	20000726		

AB A stable cosmetic, dermatol., or pharmaceutical compn. comprising: (a) about 0.001-99.9%, by wt., of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate, manganese gluconate, nor lithium gluconate; and (b) about 0.1-99.999%, by wt., of a vehicle, wherein the vehicle comprises at least about 5%, by wt. of the compn., of propylene glycol. The compn. is administered orally, parenterally or topically. For example, a topical compn. was prepd. contg. zinc lactobionate 5.0%, zinc gluconate 3.0%, minoxidil 2.5%, propylene glycol 8.0%, dimethylisosorbide 19.0%, and ethanol and minors up to 100%.

IT **164656-23-9**, Dutasteride
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. contg. metal complexes of oxidized carbohydrates for regulating hair growth)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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L15 ANSWER 6 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2002:89795 CAPLUS
DN 136:139843
TI Method of regulating hair growth using metal complexes of oxidized carbohydrates
IN Gardlik, John Michael; Severynse-Stevens, Diana; Comstock, Bryan Gabriel
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002007685	A2	20020131	WO 2001-US23424	20010725
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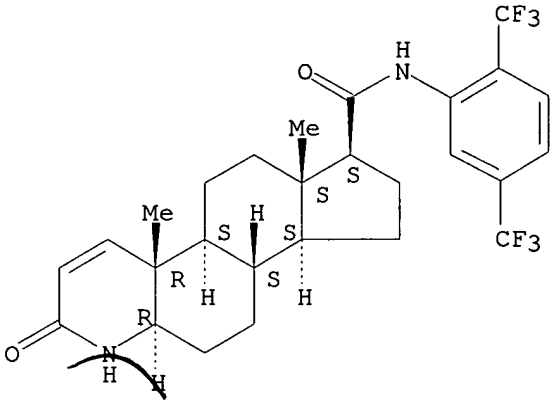
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2002035070	A1	20020321	US 2001-909441	20010719
PRAI US 2000-220755P	P	20000726		

AB A method for regulating the growth of hair comprising administering to a mammal, an effective amt. of a compn. comprising: (a) about 0.001-99.9%, by wt., of at least one metal complex of an oxidized carbohydrate, wherein the metal complex of an oxidized carbohydrate is neither zinc gluconate nor manganese gluconate; and (b) about 0.1-99.999%, by wt., of a vehicle. The compn. is administered orally, parenterally, or topically. For example, a topical compn. contained zinc lactobionate 5.0%, zinc gluconate 1.0%, zinc pyrithione 1.0%, Tween 20 1.0%, propylene glycol 10.0%, dimethylisosorbide 18.0%, EtOH 30.0%, and water and minors up to 100%. Also, tablets were prepd. contg. zinc lactobionate 100 mg, Crospovidone 15 mg, lactose 200 mg, microcryst. cellulose 80 mg, and magnesium stearate 5 mg.

IT **164656-23-9**, Dutasteride
RL: COS (Cosmetic use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. contg. metal complexes of oxidized carbohydrates for regulating hair growth)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
H
N
O
Me
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L15 ANSWER 7 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:886779 CAPLUS
DN 136:644
TI Dutasteride to prevent and treat atherosclerosis and its complications
IN Weisman, Kenneth; Goldberg, Michael E.
PA USA
SO U.S. Pat. Appl. Publ., 3 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

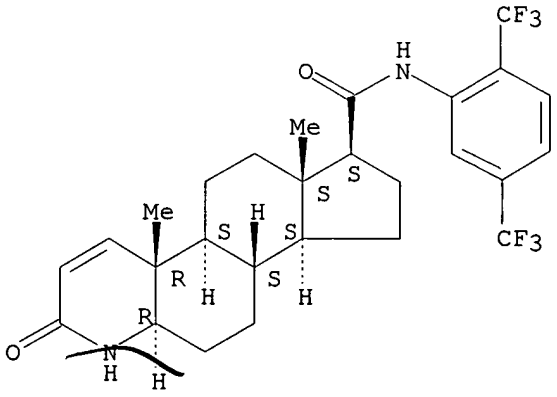
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2001048942	A1	20011206	US 2001-851454	20010508
PRAI US 2000-202425P	P	20000508		

AB A method of decreasing atherosclerosis and its complications including but not limited to myocardial infarction, stroke, and peripheral vascular disease comprising administering to a human or animal an amt. of dutasteride sufficient to decrease atherosclerosis and its complications. The effective amt. of dutasteride is 0.5 mg orally daily administered as a tablet or via any other method that results in systemic absorption of the drug.

IT **164656-23-9**, Dutasteride
RL: PAC (Pharmacological activity); BIOL (Biological study)
(dutasteride to prevent and treat atherosclerosis and its complications)

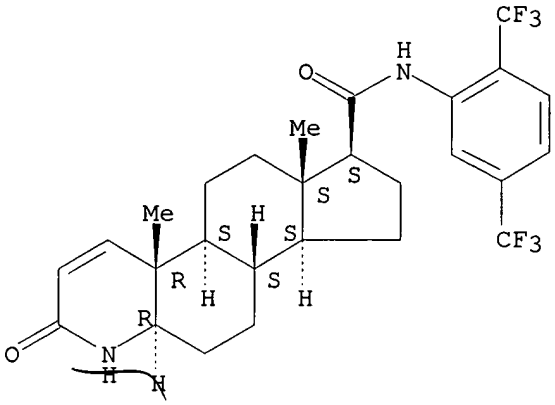
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 8 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:653717 CAPLUS
DN 136:31864
TI Pharmacokinetic parameters and mechanisms of inhibition of rat type 1 and 2 steroid 5.alpha.-reductases: determinants for different in vivo activities of GI198745 and finasteride in the rat
AU Darren Stuart, J.; Lee, F. W.; Simpson Noel, D.; Kadwell, S. H.; Overton, L. K.; Hoffman, C. R.; Kost, T. A.; Tippin, T. K.; Yeager, R. L.; Batchelor, K. W.; Neal Bramson, H.
CS Division of Biochemistry, Glaxo Wellcome Inc., Research Triangle Park, NC, 27709, USA
SO Biochemical Pharmacology (2001), 62(7), 933-942
CODEN: BCPCA6; ISSN: 0006-2952
PB Elsevier Science Inc.
DT Journal
LA English
AB The interaction of baculovirus expressed rat steroid 5.alpha.-reductase types 1 and 2 (r5AR1 and r5AR2) with 17.beta.-N-(2,5-bis(trifluoromethyl)phenyl)carbamoyl-4-aza-5.alpha.-androst-1-en-3-one (GI198745) was investigated at pH 7 and 37.degree.. This 5.alpha.-reductase inhibitor was found previously to be a time-dependent inhibitor of the 2 human 5.alpha.-reductase isoenzymes. In contrast, the authors demonstrate in the present study that although GI198745 is a potent time-dependent inhibitor of r5AR2, it is a classical rapid-equil. inhibitor of r5AR1. This type of behavior with human and rat 5.alpha.-reductases was shown for the inhibitor 17.beta.-(N-tert-butylcarbamoyl)-4-aza-5.alpha.-androst-1-en-3-one (finasteride), a current therapy for benign prostatic hyperplasia. Inhibition of r5AR1 by GI198745 was competitive with testosterone and followed Michaelis-Menten kinetics with a Ki value of 0.3 nM. Data for the inhibition of r5AR2 by GI198745 were consistent with a 2-step mechanism, where Ki is the dissocn. const. for an initial enzyme-inhibitor complex and k3 is the rate const. for the 2nd slow step. The pseudo-bimol. rate const. (k3/Ki) for the assocn. of GI198745 with r5AR2 was (2.0) .times. 107 M-1 sec-1. The high affinity of this inhibitor for r5AR2 was further demonstrated by the inability of the enzyme-inhibitor complex to dissoc. after approx. 7 days of dialysis at 4.degree.. Both GI198745 and finasteride appear to inactivate r5AR2 by apparent irreversible modification, but are classical, reversible inhibitors of r5AR1. Therefore, the authors hypothesize that because of its pharmacokinetic parameters and increased potency against r5AR1, GI198745 is more effective than finasteride in preventing the growth of the rat prostate.
IT **164656-23-9**, GI198745
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetic parameters and mechanisms of inhibition of rat type 1 and 2 steroid 5.alpha.-reductases)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 9 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:617820 CAPLUS
DN 135:175361
TI Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug
IN Waldstreicher, Joanne; Morrison, Briggs W.
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 12 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

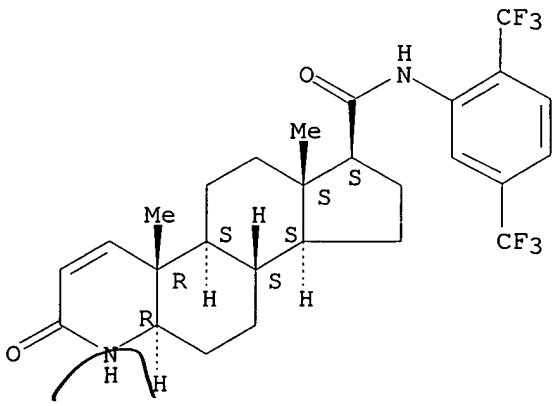
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001060365	A1	20010823	WO 2001-US4655	20010213
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2001041713	A1	20011115	US 2001-784878	20010216
PRAI	US 2000-183204P	P	20000217		

AB A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compd. is used alone or in combination with other drugs.

IT **164656-23-9**, Dutasteride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination with; treatment or prevention of prostate cancer with COX-2 selective inhibiting drug)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 10 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:564830 CAPLUS
DN 135:132427
TI Treatment or prevention of prostate cancer with a COX-2 selective inhibiting drug
IN Waldstreicher, Joanne; Morrison, Briggs W.
PA Merck + Co., Inc., USA
SO PCT Int. Appl., 11 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

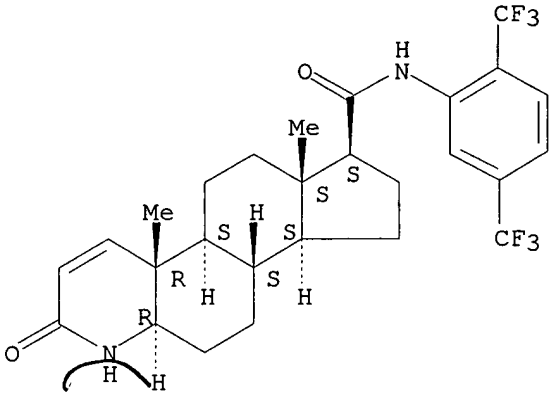
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001054688	A1	20010802	WO 2001-US2405	20010125
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	EP 1253921	A1	20021106	EP 2001-908690	20010125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2001047022	A1	20011129	US 2001-771315	20010126
PRAI	US 2000-178722P	P	20000128		
	WO 2001-US2405	W	20010125		

AB A COX-2 selective inhibiting drug is disclosed as useful in treating or preventing prostate cancer. The compd. is used alone or in combination with other drugs.

IT **164656-23-9**, Dutasteride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prevention and treatment of prostate cancer with COX-2 inhibitors and in combination with other drugs or radiotherapy)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

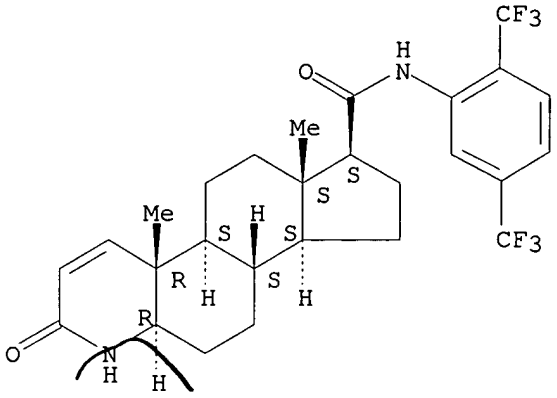
Absolute stereochemistry.



RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

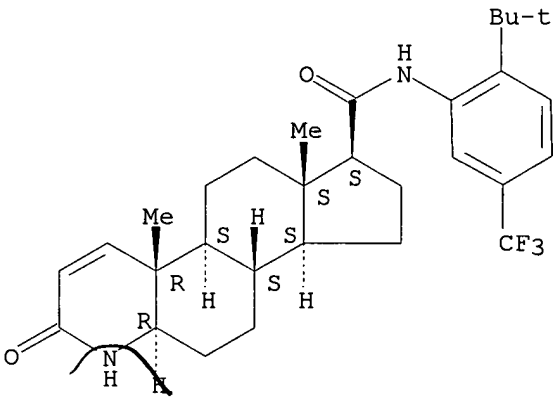
L15 ANSWER 11 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:455673 CAPLUS
DN 135:207322
TI Linear relationships between the ligand binding energy and the activation energy of time-dependent inhibition of steroid 5.alpha.-reductase by .DELTA.1-4-azasteroids
AU Tian, Gaochao; Haffner, Curt D.
CS Department of Molecular Biochemistry, GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SO Journal of Biological Chemistry (2001), 276(24), 21359-21364
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
AB The inhibition of steroid 5.alpha.-reductase (5AR) by .DELTA.1-4-azasteroids is characterized by a two-step time-dependent kinetic mechanism where inhibitor combines with enzyme in a fast equil., defined by the inhibition const. Ki, to form an initial reversible enzyme-inhibitor complex, which subsequently undergoes a time-dependent chem. rearrangement, defined by the rate const. k3, leading to the formation of an apparently irreversible, tight-binding enzyme-inhibitor complex. A detailed kinetic anal. of this process with a series of .DELTA.1-4-azasteroids having different C-17 substituents was performed to understand the relationships between the rate of time-dependent inhibition and the affinity of the time-dependent inhibitors for the enzyme. A linear correlation was obsd. between ln(1/Ki), which is proportional to the ligand binding energy for the formation of the enzyme-inhibitor complex, and ln(1/(ka/Ki)), which is proportional to the activation energy for the inhibition reaction under the second order reaction condition, which leads to the formation of the irreversible, tight-binding enzyme-inhibitor complex. The coeff. of the correlation was -0.88 .+-. 0.07 for type 1 5AR and -1.0 .+-. 0.2 for type 2 5AR. In comparison, there was no obvious correlation between ln(1/Ki) and ln(1/k3), which is proportional to the activation energy of the second, time-dependent step of the inhibition reaction. These data are consistent with a model where ligand binding energies provided at C-17 of .DELTA.1-4-azasteroids is fully expressed to lower the activation energy of k3/Ki with little perturbation of the energy barrier of the second, time-dependent step.
IT **164656-23-9**, GG 745 **164721-99-7**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(linear relationships between ligand binding energy and activation energy of time-dependent inhibition of steroid 5.alpha.-reductase by .DELTA.1-4-azasteroids)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164721-99-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

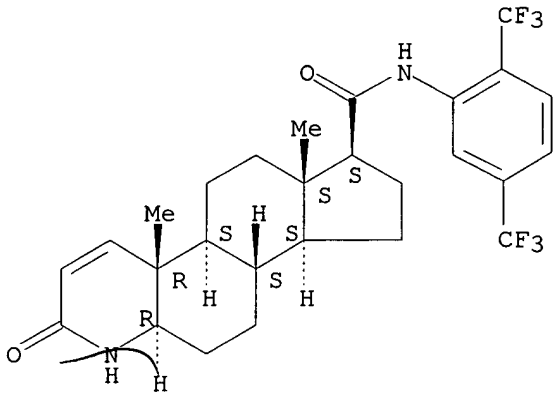
Absolute stereochemistry.



RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

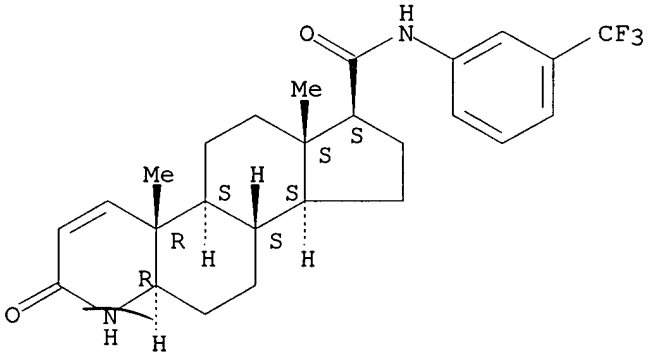
L25 ANSWER 12 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:246376 CAPLUS
DN 135:33596
TI Mass spectral fragmentation reactions of a therapeutic 4-azasteroid and related compounds
AU Burinsky, D. J.; Williams, J. D.; Thornquest, A. D.; Sides, S. L.
CS Pharmaceutical Development Division, GlaxoSmithKline, Research Triangle Park, NC, USA
SO Journal of the American Society for Mass Spectrometry (2001), 12(4), 385-398
CODEN: JAMSEF; ISSN: 1044-0305
PB Elsevier Science Inc.
DT Journal
LA English
AB Mass spectra were acquired for a therapeutic 4-azasteroid (dutasteride), and some related compds., using various ionization conditions (EI, CI, APCI and ESI) in both pos. and neg. ion modes. The ionization and fragmentation behavior of the compd. dutasteride, its precursors and several analogs is reported. Pos. atm. pressure chem. ionization (APCI+) and pos. electrospray ionization (ESI+) produced distinctive collision-induced dissocn. (CID) spectra for the resp. [MH]+ ions of dutasteride. The spectral differences are attributed to ion populations having either different structures or different internal energy distributions (as a consequence of the method of ionization). Irresp. of their origin, the protonated mols. undergo interesting fragmentation reactions when collisionally activated. The identity of the major fragmentation products was confirmed by accurate mass measurement. The neg. APCI mass spectrum of dutasteride displays extensive dehydrohalogenation, apparently due to the thermal component of the APCI process. Some of the resulting radical anions display remarkable stability toward collisional decompn. Details of the fragmentation behavior for the neg. ion species and their relationship to the pos. ion results are discussed.
IT **164656-23-9**, Dutasteride **167558-01-2**
RL: PRP (Properties)
(mass spectral fragmentation reactions of a therapeutic 4-azasteroid and related compds.)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167558-01-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

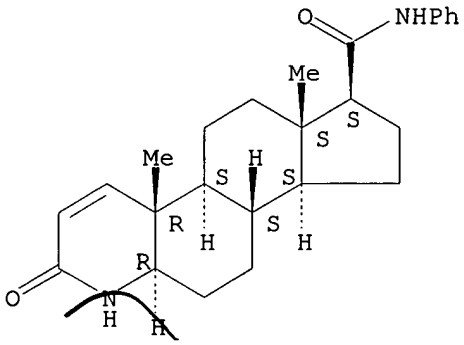
L15 ANSWER 13 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2001:228701 CAPLUS
DN 134:247264
TI Treatment of lower urinary tract symptoms with muscarinic and .alpha.-adrenergic antagonists and 5.alpha.-reductase inhibitors, and pharmaceutical compositions for use therein
IN Stoner, Elizabeth; Drake, Paul J.; Bach, Mark A.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001021167	A1	20010329	WO 2000-US25534	20000918

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

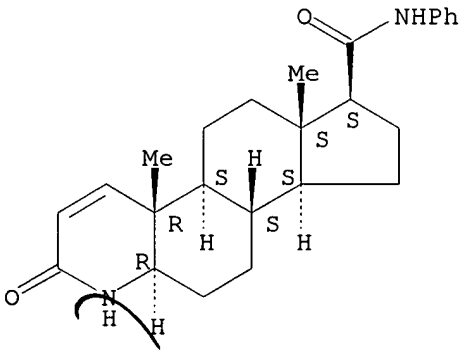
PRAI US 1999-155357P P 19990922
OS MARPAT 134:247264
AB A medical condition in men known as Lower Urinary Tract Symptoms (LUTS) is treated by the administration of a muscarinic receptor antagonist in combination with at least one of a 5.alpha.-reductase inhibitor and an .alpha.-adrenergic receptor blocker.
IT **158522-79-3 158522-79-3D**, Ph-substituted derivs.
164656-23-9, Dutasteride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(muscarinic and .alpha.-adrenergic antagonists and 5.alpha.-reductase inhibitors for treatment of lower urinary tract symptoms , and pharmaceutical compns.)
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



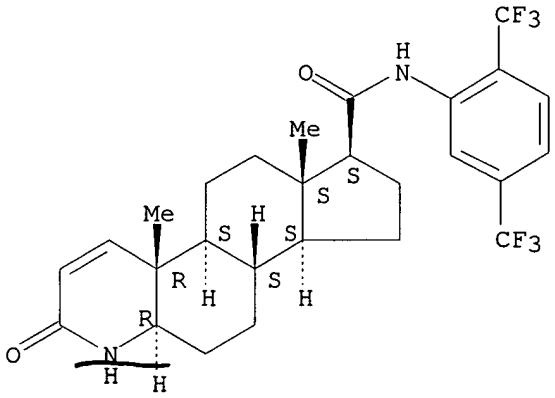
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 14 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:790272 CAPLUS
DN 133:354981
TI Anti-dandruff and conditioning shampoos containing polyalkylene glycols and cationic polymers
IN Dunlop, David Scott; Guskey, Susan Marie; Leyba, Vicente Eduardo; Royce, Douglas Allan
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

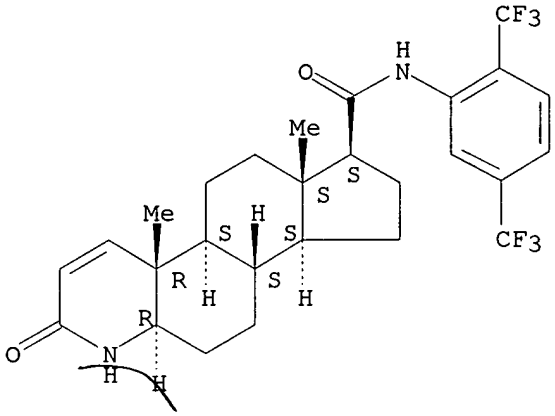
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000066081	A1	20001109	WO 2000-US11829	20000502
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6451300	B1	20020917	US 2000-558447	20000425
EP 1175202	A1	20020130	EP 2000-928694	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI US 1999-132869P	P	19990503		
WO 2000-US11829	W	20000502		

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an effective amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 at least one cationic polymer; (E) 0.005-1.5 % a polyalkylene glycol corresponding to the formula: H(OCH2-CHR)n-OH (R = H, Me; n = 1,500-120,000); and (F) water. An antidandruff and conditioning shampoo compn. contg. ammonium laureth sulfate 12, ammonium lauryl sulfate 8, guar hydroxypropyltrimoonium chloride 0.4, PEG-90M (Polyox WSR 301) 0.1, zinc pyrithione 1, 1-decene homopolymer (Puresyn 6) 0.2, trimethylpropane capryl caprylate (Mobil P43) 0.2 dimethicone (Visasil 330,000 csk) 1, ethylene glycol distearate 1, cocamide MEA 0.6, cetyl alc. 0.9, and water q.s. to 100 % was formulated.

IT **164656-23-9**, Dutasteride
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidandruff and conditioning shampoos contg.)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 15 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:790271 CAPLUS
DN 133:354980
TI Anti-dandruff and conditioning shampoos containing certain cationic polymers
IN Dunlop, David Scott; Leyba, Vicente Eduardo
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

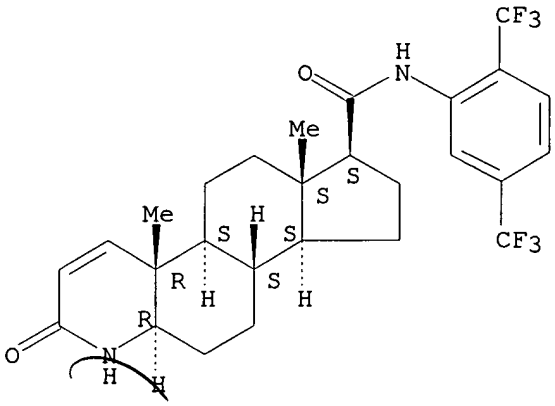
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000066080	A1	20001109	WO 2000-US11828	20000502
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1181008	A1	20020227	EP 2000-928693	20000502
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI US 1999-132868P	P	19990503		
WO 2000-US11828	W	20000502		

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair an effective amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) 5-50 an anionic surfactant; (B) 0.01-10 a non-volatile conditioning agent; (C) 0.1-4 an anti-dandruff particulate; (D) 0.02-5 % a cationic guar deriv.; (i) wherein said cationic guar deriv. has a mol. wt. of 50,000-700,000; and (ii) wherein the cationic guar deriv. has a charge d. of 0.05-1 meq/g; and (E) water. An antidandruff and conditioning shampoo compn. contg. ammonium laureth sulfate 11, ammonium lauryl sulfate 5.5, guar hydroxypropyltrimonium chloride 0.25, zinc pyrithione 1, 1-decene homopolymer (Purexyn 6) 0.5, dimethicone 1.5, ethylene glycol distearate 1, cocamide MEA 0.8, cetyl alc., and water q.s. to 100 % was formulated.

IT **164656-23-9**, Dutasteride
RL: BUU (Biological use, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antidandruff and conditioning shampoos contg.)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 16 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:790264 CAPLUS
DN 133:339958
TI Shampoos providing a superior combination of anti-dandruff efficacy and conditioning
IN Dunlop, David Scott; Boyd, Roberta Atwood; Guskey, Susan Marie; Schwartz, James Robert; Marchetta, Anthony Raymond
PA The Procter & Gamble Company, USA
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

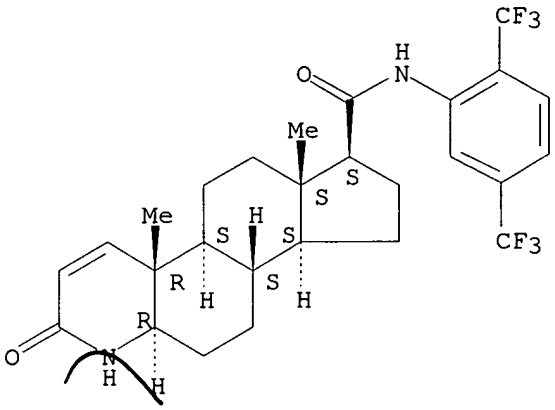
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000066072	A1	20001109	WO 2000-US11830	20000502
	W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, CZ, DE, DE, DK, DK, DM, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 2002102228	A1	20020801	US 2000-558465	20000425
	EP 1173141	A1	20020123	EP 2000-928695	20000502
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
PRAI	US 1999-132867P	P	19990503		
	WO 2000-US11830	W	20000502		

AB Disclosed are shampoo compns. that provide a superior combination of anti-dandruff efficacy and conditioning, and a method of cleansing and conditioning the hair comprising applying to the hair and scalp an amt. of said compns. The anti-dandruff and conditioning shampoos comprise: (A) from about 5 % to about 50 %, by wt., of an anionic surfactant; (B) from about 0.01 % to about 10 %, by wt., of a non-volatile conditioning agent; (C) from about 0.1 % to about 4 %, by wt., of an anti-dandruff agent; (D) from about 0.02 % to about 5 %, by wt., of at least one cationic polymer; and (E) water. The compns. (A) have a bioavailability/coverage index value, as defined herein, of at least about 1.25; (B) have a first conditioning index value, as defined herein, of less than or equal to about 1.0; (C) have a second conditioning index value, as defined herein, of at least 1.5; and (D) have a minimal inhibitory concn. index value, as defined herein, of at least 0.125.

IT **164656-23-9**, Dutasteride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BUU (Biological use, unclassified); BIOL (Biological study); USES (Uses)
(as hair growth regulating agent; shampoos providing superior combination of anti-dandruff efficacy and conditioning)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

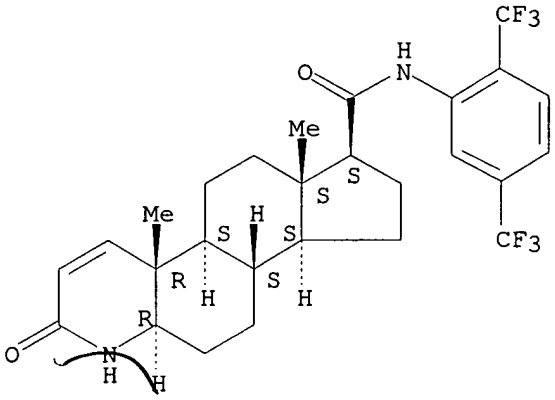
Absolute stereochemistry.



RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 17 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:528014 CAPLUS
DN 133:346313
TI Biochemical and pharmacogenetic dissection of human steroid 5.alpha.-reductase type II
AU Makridakis, Nick M.; di Salle, Enrico; Reichardt, Juergen K. V.
CS Department of Biochemistry and Molecular Biology, and, Institute for Genetic Medicine, Keck School of Medicine of the University of Southern California, Los Angeles, CA, USA
SO Pharmacogenetics (2000), 10(5), 407-413
CODEN: PHMCEE; ISSN: 0960-314X
PB Lippincott Williams & Wilkins
DT Journal
LA English
AB Human prostatic steroid 5.alpha.-reductase, encoded by the SRD5A2 gene on chromosome band 2p23, catalyzes the irreversible conversion of testosterone to dihydrotestosterone (DHT), the most active androgen in the prostate, with NADPH as its cofactor. This enzyme has never been purified but a no. of competitive inhibitors have been developed for this enzyme since increased steroid 5.alpha.-reductase activity may cause benign prostatic hypertrophy and prostate cancer. We report here the detailed biochem. and pharmacogenetic dissection of the human enzyme by analyzing 10 missense substitutions and three double mutants which are all naturally found in humans. Nine of these 13 mutants reduce activity (measured as Vmax) by 20% or more, three increase steroid 5.alpha.-reductase by more than 15% and one results in essentially unaltered kinetic properties suggesting that it is a truly neutral ("polymorphic") amino acid substitution. Substantial pharmacogenetic variation among the mutants was also obsd. when three competitive inhibitors, finasteride, GG745 (dutastcride) and PNU157706, were investigated. Our studies not only define the substrate and cofactor binding sites of human steroid 5.alpha.-reductase, but also have significant consequences for the pharmacol. usage of steroid 5.alpha.-reductase inhibitors in human patients treated for prostatic conditions.
IT **164656-23-9**, Dutasteride
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biochem. and pharmacogenetic dissection of human steroid 5.alpha.-reductase type II)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



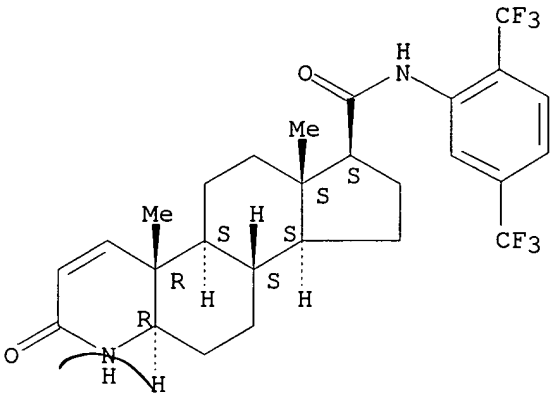
RE.CNT 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 18 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:227505 CAPLUS
DN 132:260692
TI Methods and pharmaceutical compositions using 5.alpha.-reductase inhibitors combined with calcium channel blockers for treating androgen-related conditions
IN Waldstreicher, Joanne; Wang, Daniel Z.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000018402	A1	20000406	WO 1999-US22225	19990924
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	US 6268377	B1	20010731	US 1999-401135	19990922
	AU 9962638	A1	20000417	AU 1999-62638	19990924
PRAI	US 1998-102018P	P	19980928		
	WO 1999-US22225	W	19990924		

OS MARPAT 132:260692
AB The invention provides for the combined use of 5.alpha.-reductase inhibitors together with calcium channel blockers for the treatment of benign prostatic hyperplasia (BPH), prostate cancer, prostatitis, hematuria, and other androgen related disorders, including prostatitis and the prevention of prostate cancer. The invention provides a method of treatment which is useful in the treatment of benign prostatic hyperplasia, prostatitis, and/or the prevention and treatment of prostatic cancer, as well as in the treatment of prostatitis and hematuria. The invention also provides a pharmaceutical compn. which is useful in the treatment of benign prostatic hyperplasia, prostatitis, hematuria and/or the prevention and treatment of prostatic cancer, wherein the pharmaceutical compn. comprises the combination of a 5.alpha.-reductase inhibitor and a calcium channel blocking agent.
IT **164656-23-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined use of 5.alpha.-reductase inhibitors and calcium channel blockers for treating androgen-related conditions)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



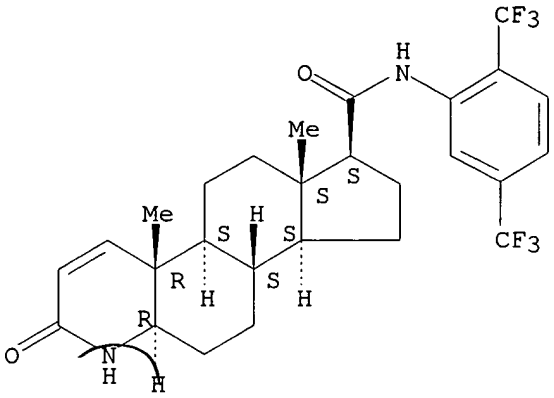
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 19 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 2000:175635 CAPLUS
DN 132:203181
TI Methods using prostate-specific antigen (PSA) level determination and 5.alpha.-reductase inhibitors for determining and reducing the risk of benign prostatic hyperplasia (BPH)-related urologic events
IN Stoner, Elizabeth; Waldstreicher, Joanne; Wang, Daniel Z.
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 30 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2000013509	A1	20000316	WO 1999-US20451	19990903
	W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9961373	A1	20000327	AU 1999-61373	19990903
PRAI	US 1998-99620P	P	19980909		
	US 1998-99620	P	19980909		
	WO 1999-US20451	W	19990903		

OS MARPAT 132:203181
AB The invention is concerned with a method of detg. the risk of a urol. event, particularly an event selected from BPH-related surgery and acute urinary retention in a man by measuring the man's serum PSA level. The invention also provides a method of reducing the risk of the urol. event in a man detd. to be at risk by the present urol. event risk-detg. method by administration of a 5.alpha.-reductase inhibitor, e.g. finasteride. Also provided is a kit for detg. the risk of a urol. event.
IT **164656-23-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(prostate-specific antigen level detn. and 5.alpha.-reductase inhibitors for detg. and reducing risk of benign prostatic hyperplasia-related urol. event)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

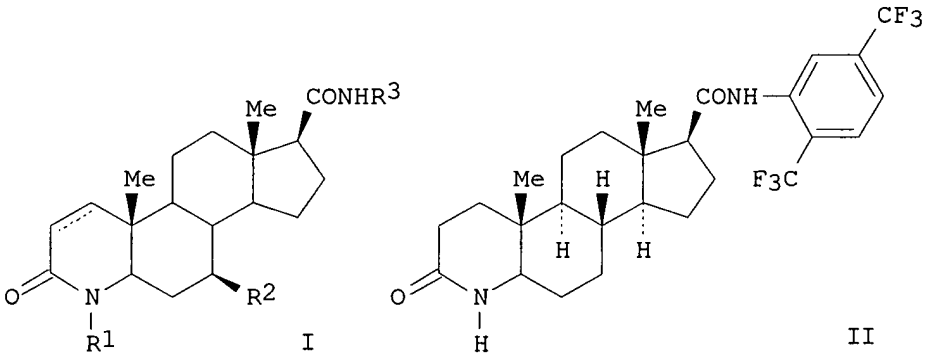


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 20 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:779221 CAPLUS
DN 132:12445
TI Preparation of 4-azaandrostanones as 5.alpha.-reductase inhibitors
IN Batchelor, Kenneth William; Frye, Stephen Vernon
PA Glaxo Wellcome Inc., USA
SO U.S., 20 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5998427	A	19991207	US 1998-78468	19980514

OS MARPAT 132:12445
GI

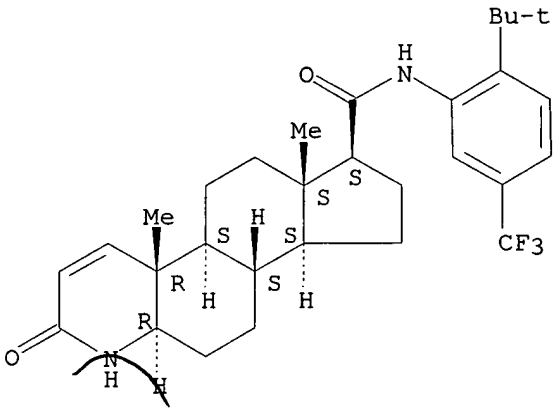


AB 4-Azaandrostanones of formula I [R1, R2 = H, Me; R3 = (substituted) Ph,] are prepd. for their use in the treatment of androgen responsive and mediated diseases. Thus, II is prepd. from 3-oxo-4-androsten-17.beta.-carboxylic acid and 2,5-bis-(trifluoromethyl)aniline in 4 steps. The IC50 of II against human type 2 5.alpha.-reductase was less than 1 nM. Pharmaceutical compns. contg. I are described.

IT **164721-99-7P 164722-02-5P 164722-05-8P**
164722-06-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azaandrostanones as 5.alpha.-reductase inhibitors)

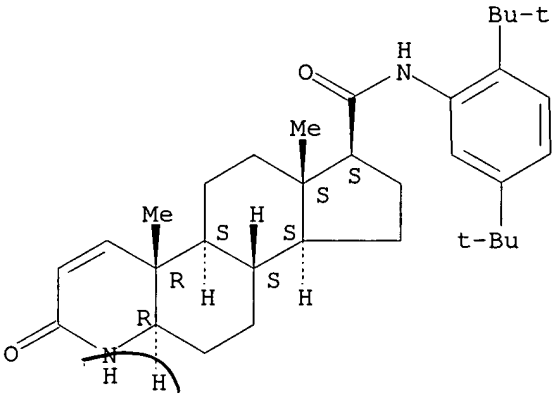
RN 164721-99-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



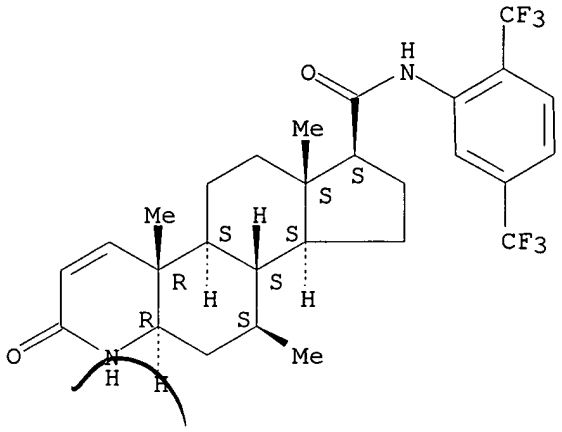
RN 164722-02-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



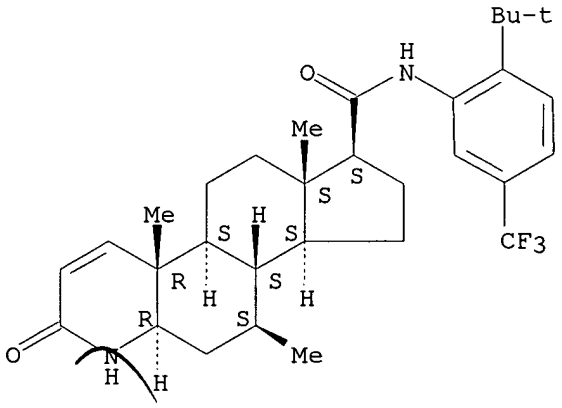
RN 164722-05-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164722-06-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

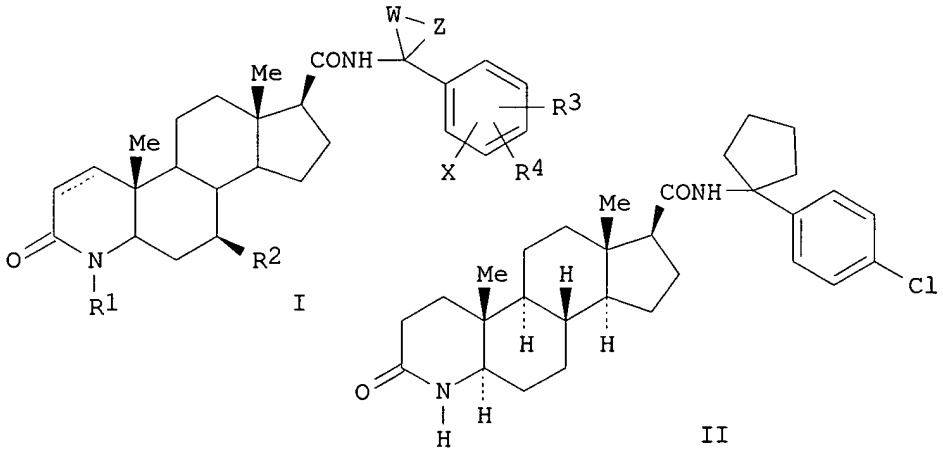


RE.CNT 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 21 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:704993 CAPLUS
DN 131:310766
TI Preparation of azaandrostenones for the treatment of androgen responsive diseases
IN Batchelor, Kenneth William; Frye, Stephen Vernon
PA Glaxo Wellcome Inc., USA
SO U.S., 19 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5977126	A	19991102	US 1998-79002	19980514

OS MARPAT 131:310766
GI

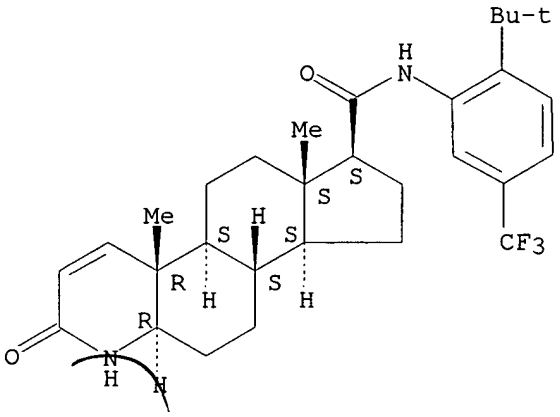


AB Azaandrostenones of formula I [R1, R2 = H, Me; R3, R4 = H, alkyl, alkoxy, CF3, CN, halo, (substituted) Ph, 5-7 membered ring; W, Z = alkylene, bicyclic ring, etc.; X = H, halo] are prepd. for their use in the treatment of androgen responsive and mediated diseases. Thus, 3-oxo-4-androstene-17.beta.-carboxylic acid and 1-amino-1-(4-chlorophenyl)cyclopentane were converted into II. The inhibitory activity of II against human type 2 5.alpha.-reductase was IC50 < 1 nM. Pharmaceutical compns. contg. I are described.

IT **164721-99-7P 164722-02-5P 164722-05-8P 164722-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of azaandrostenones for treatment of androgen responsive diseases)

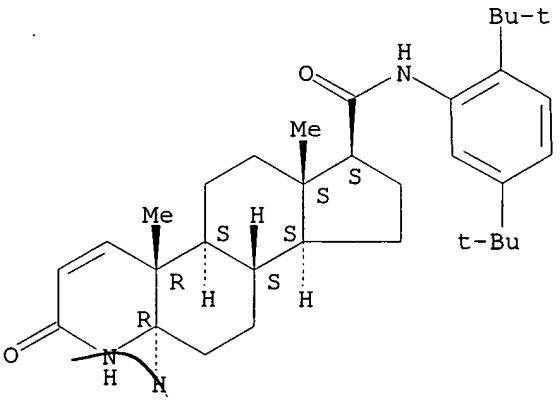
RN 164721-99-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



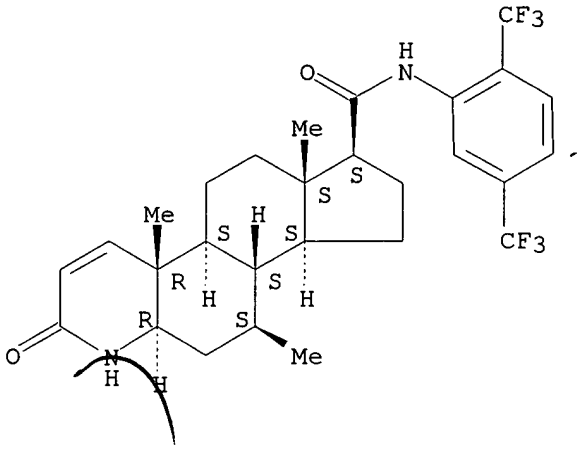
RN 164722-02-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



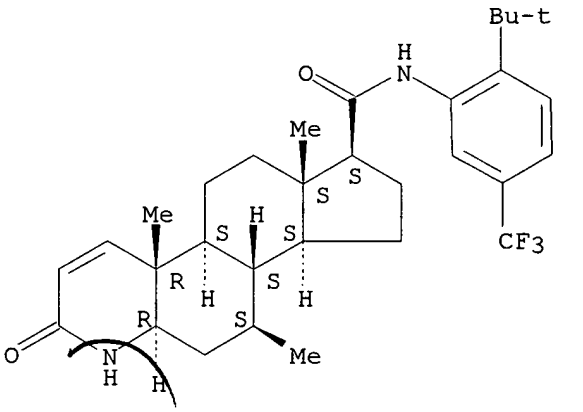
RN 164722-05-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164722-06-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 22 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:468543 CAPLUS
DN 131:106836
TI Pharmaceutical composition and method for treating dihydroxytestosterone-dependent conditions
IN Foitl, Daniel
PA Davitz, Michael, A., USA; Leason, David
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

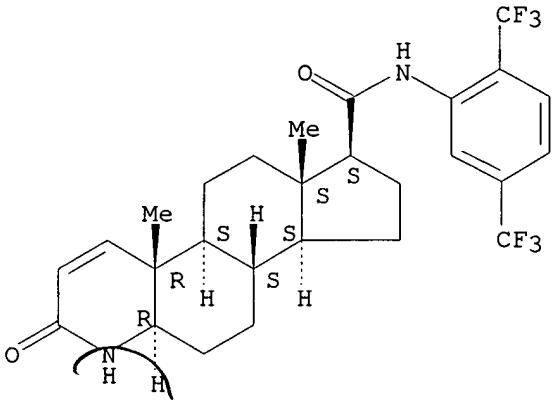
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9936030	A2	19990722	WO 1999-US1207	19990119
	WO 9936030	A3	19990923		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924619	A1	19990802	AU 1999-24619	19990119
PRAI	US 1998-7964		19980116		
	WO 1999-US1207		19990119		

AB A pharmaceutical compn. for treating DHT dependent conditions including androgenic alopecia is disclosed. An oral dosage form according to the invention includes a therapeutically effective amt. of a 5.alpha.-Reductase inhibitor and another active compd. which binds with androgenic receptors. In a preferred form, the bioavailable concn. of the compd. which binds with androgenic receptors is limited or controlled to avoid appreciable anti-androgenic side effects, for example, by providing a controlled (timed or sustained release) coating on that active compd. Spironolactone is a particularly preferred compd. which binds with androgenic receptors. A preferred dosage form has the ratio of the 5.alpha.-Reductase inhibitor to spironolactone in the range of 1:5 to 1:2500. A method for creating an oral dosage form for treating DHT dependent conditions is also disclosed. Patients who took finasteride (5mg/day) in conjunction with 25 mg/day spironolactone showed superior objective and subjective clin. responses in hair regrowth among the treatment group including hair d. and length vs. patients in the control group who took finasteride alone at 5 mg/day. No effect on plasma testosterone or PSA was noted in either group. No appreciable effect on libido, breast tenderness, erectile function, or muscle mass was noted in either group.

IT **164656-23-9**, Dutasteride
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(5.alpha.-reductase inhibitor; pharmaceutical compn. for dihydroxytestosterone-dependent conditions)

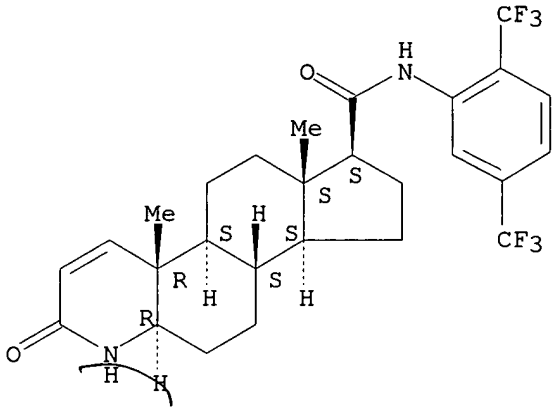
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
H
N
O
Me
S
S
Me
H
S
S
R
H
S
H
R
O
N
H
H
CF3

L45 ANSWER 23 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:464790 CAPLUS
DN 131:280942
TI Validation of a population pharmacokinetic/pharmacodynamic model for 5.alpha.-reductase inhibitors
AU Olsson Gisleskog, Per; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CS Clinical Pharmacology, GlaxoWellcome Research and Development, Middlesex, UK
SO European Journal of Pharmaceutical Sciences (1999), 8(4), 291-299
CODEN: EPSCED; ISSN: 0928-0987
PB Elsevier Science Ireland Ltd.
DT Journal
LA English
AB A population pharmacokinetic/dynamic model describing the conversion of testosterone to dihydrotestosterone (DHT) by 5.alpha.-reductases and the irreversible inhibition of 5.alpha.-reductase(s) by finasteride and dutasteride was validated. The model had been developed using data from a single dose study in healthy volunteers and was validated against data from a 28-day repeat dose study in patients with benign prostatic hyperplasia. Validation was carried out by comparing results of Monte Carlo simulations to the obsd. data, fitting the model to the repeat dose data and comparing with previously derived parameter values, and examg. individual predictions of the model for the individuals in the repeat dose study for any bias. Simulations closely predicted the outcome of the repeat dose study, estd. parameters of the pharmacodynamic modeling were generally close to within 88 to 116% of those from the original model and the individual predictions did not indicate any bias. Thus the model derived from single dose data from healthy volunteers was considered to be valid for the prediction of DHT levels in the patient population after repeated dosing of dutasteride and finasteride.
IT **164656-23-9**, Dutasteride
RL: BPR (Biological process); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(validation of a population pharmacokinetic/pharmacodynamic model for 5.alpha.-reductase inhibitors)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

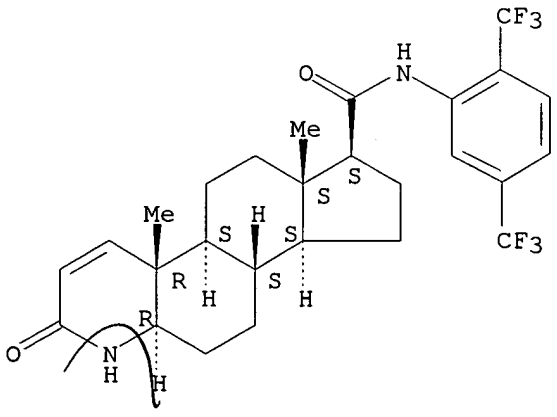
Absolute stereochemistry.



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 24 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:393864 CAPLUS
DN 131:53443
TI GI-198745 Glaxo Wellcome
AU Palomino, Eduardo
CS Wayne State University, Detroit, MI, 48202, USA
SO Current Opinion in Central & Peripheral Nervous System Investigational Drugs (1999), 1(2), 253-256
CODEN: COCDFA; ISSN: 1464-844X
PB Current Drugs Ltd.
DT Journal; General Review
LA English
AB A review with 31 refs. Glaxo is developing GI-198745, a 5.alpha.-reductase inhibitor, as a potential treatment for benign prostatic hyperplasia (BPH) [181294]. This compd. entered phase III trials for this indication in Nov. 1997 and MAA and US NDA filings are predicted for 2000 [244813], [270170], [322815]. GI-198745 has commenced phase II trials as a potential treatment for alopecia [290251] and localized prostate cancer [244813]. The compd. reduces dihydrotestosterone (DHT) levels by 90% in men at a dose of 0.5 mg/day (Ki .gtoreq. 1 nM), and has exhibited superior efficacy and pharmacokinetics in animal models, compared to finasteride [295987]. In Jan. 1999, Paribas predicted sales of STG 50 million in 2000, rising to STG 200 million in 2003 [317650].
IT **164656-23-9**, GI-198745
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(review of GI-198745)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

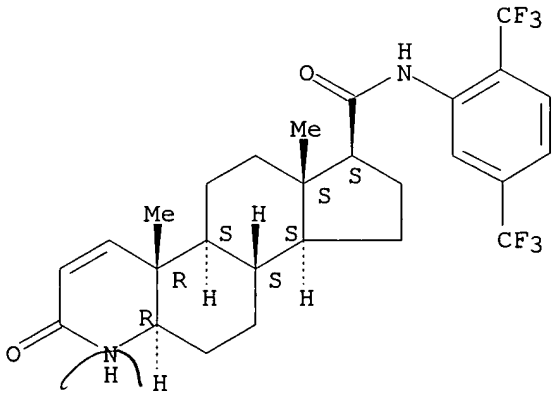
Absolute stereochemistry.



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 25 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:336495 CAPLUS
DN 131:138781
TI Dutasteride: Steroid 5.alpha.-reductase inhibitor treatment of BPH
AU Graul, A.; Silvestre, J.; Castaner, J.
CS Prous Science, Barcelona, 08080, Spain
SO Drugs of the Future (1999), 24(3), 246-253
CODEN: DRFUD4; ISSN: 0377-8282
PB Prous Science
DT Journal; General Review
LA English
AB A review with 30 refs. on the synthesis, pharmacokinetics, pharmacodynamics and clin. pharmacol. of dutasteride used in treatment of benign prostatic hyperplasia.
IT **164656-23-9P**, Dutasteride:
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(dutasteride, a steroid 5.alpha.-reductase inhibitor for treatment of benign prostatic hyperplasia)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



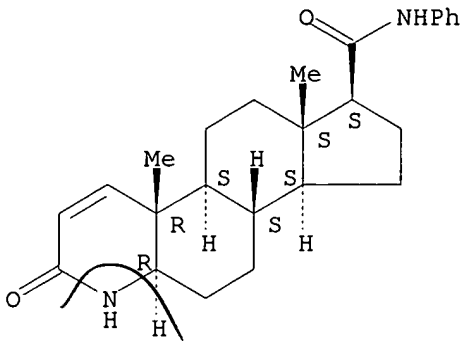
RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 26 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:297310 CAPLUS
DN 130:320866
TI Prevention of precipitated acute urinary retention with an inhibitor of 5.alpha.-reductase
IN Waldstreicher, Joanne
PA Merck & Co., Inc., USA
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9921563	A1	19990506	WO 1998-US22669	19981023
	W: AU, CA, JP, US				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CA 2308070	AA	19990506	CA 1998-2308070	19981023
	AU 9912790	A1	19990517	AU 1999-12790	19981023
	AU 731576	B2	20010405		
	US 5942519	A	19990824	US 1998-178138	19981023
	EP 1032400	A1	20000906	EP 1998-956213	19981023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 2001521000	T2	20011106	JP 2000-517721	19981023
PRAI	US 1997-65953P	P	19971028		
	WO 1998-US22669	W	19981023		

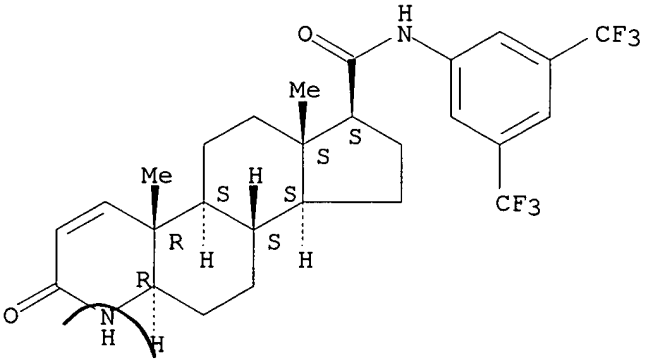
OS MARPAT 130:320866
AB The invention is concerned with the prevention of pptd. acute urinary retention in a subject male susceptible thereto by the administration of an inhibitor of 5.alpha.-reductase to the subject. Also provided is a method for reducing the risk of pptd. acute urinary retention by the administration of a 5.alpha.-reductase inhibitor to the subject at risk therefor.
IT **158522-79-3 223915-52-4**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pptd. acute urinary retention prevention with 5.alpha.-reductase inhibitor)
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 223915-52-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 27 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:141216 CAPLUS
DN 130:200935
TI Solutions containing azasteroids suitable for soft gelatin capsules
IN Parr, Alan Frank; Rizzolio, Michele Catherine
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 18 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

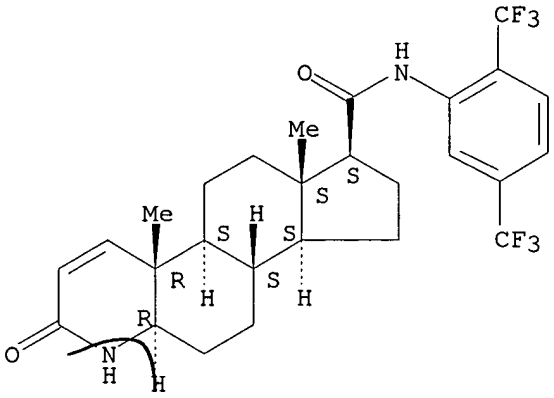
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908684	A2	19990225	WO 1998-EP5192	19980817
	WO 9908684	A3	19990610		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9889796	A1	19990308	AU 1998-89796	19980817
	EP 1005346	A2	20000607	EP 1998-941422	19980817
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9810285	A	20000912	BR 1998-10285	19980817
	JP 2002511100	T2	20020409	JP 1999-512807	19980817
PRAI	GB 1997-17444	A	19970819		
	WO 1998-EP5192	W	19980817		

AB The present invention discloses a novel soln. comprising a therapeutically effective amt. of a pharmaceutically active azasteroid, PEG, and propylene glycol. In another aspect, the present invention discloses a pharmaceutical compn. comprising the soln. of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the compn. of the present invention. A soln. contg. 17-.beta.-N-[2,5,-bis(trifluoromethyl)]phenylcarbamoyl-4-aza-5-.alpha.-androst-1-en-3-one 0.6, PEG 400 7420.082, propylene glycol 390, polysorbate 80 7.8, and butylated hydroxytoluene 0.78 g was prepd. and filled in soft gelatin capsules at 0.1 mg steroid in each for examg. the bioavailability.

IT **164656-23-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solns. contg. azasteroids and PEG and propylene glycol suitable for soft gelatin capsules)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
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CF3

L15 ANSWER 28 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:136812 CAPLUS
DN 130:200932
TI Solubilization of azasteroids with esters
IN Parr, Alan Frank
PA Glaxo Group Limited, UK
SO PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

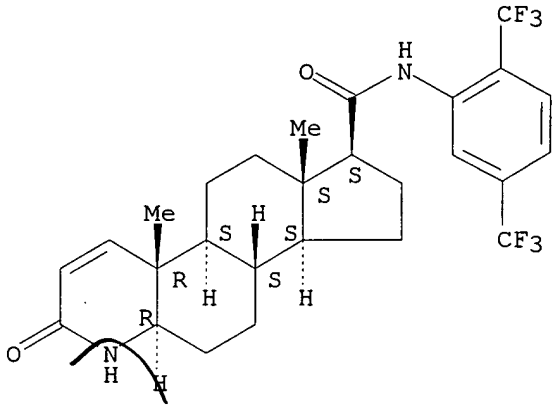
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9908666	A2	19990225	WO 1998-EP5194	19980817
	WO 9908666	A3	19990415		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9893430	A1	19990308	AU 1998-93430	19980817
	ZA 9807392	A	20000217	ZA 1998-7392	19980817
	EP 1007010	A2	20000614	EP 1998-946351	19980817
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	BR 9810458	A	20000905	BR 1998-10458	19980817
	JP 2002511101	T2	20020409	JP 1999-512808	19980817
PRAI	GB 1997-17428	A	19970819		
	WO 1998-EP5194	W	19980817		

AB The present invention discloses a novel soln. comprising a therapeutically effective amt. of a pharmaceutically active azasteroid, and a fatty acid ester of glycerol or propylene glycol. In another aspect, the present invention discloses a pharmaceutical compn. comprising the soln. of the invention. In another aspect, the present invention discloses a gelatin capsule filled with the compn. of the present invention. Capmul MCM was used to prep. fill formulations contg. 17.beta.-N-[2,5-bis(trifloromethyl)-phenyl]carbamoyl-4-aza-5.alpha.-androst-1-en-3-one for soft gelatin capsules. Clin. studies showed that the relative bioavailability from the capsule was 80-90 %, as compared to 10-20 % for the same amt. of steroid in a tablet.

IT **164656-23-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solubilization of azasteroids with esters)

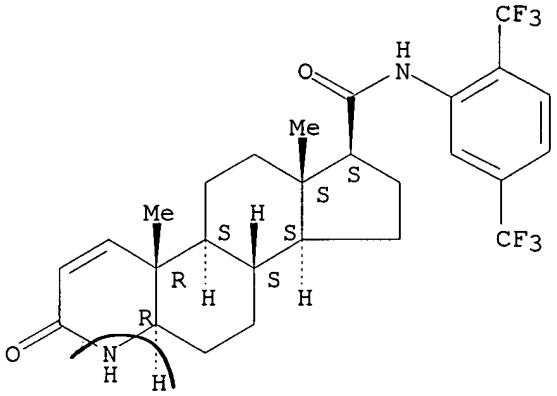
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
H
N
O
Me
S
S
Me
H
S
S
R
H
S
H
R
O
N
H
H
CF3

L15 ANSWER 29 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:129092 CAPLUS
DN 130:346806
TI The pharmacokinetic modeling of GI198745 (dutasteride), a compound with parallel linear and nonlinear elimination
AU Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CS Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK
SO British Journal of Clinical Pharmacology (1999), 47(1), 53-58
CODEN: BCPHBM; ISSN: 0306-5251
PB Blackwell Science Ltd.
DT Journal
LA English
AB The purpose was to characterize the pharmacokinetics of the dual 5.alpha.-reductase inhibitor GI198745 (dutasteride) to allow for more accurate predictions of GI198745 concns. after different dosing schedules. In this randomized, single-blind, parallel group study, 32 healthy male volunteers received single oral doses of GI198745 ranging from 0.01 to 40 mg. Data were analyzed by nonlinear mixed effects modeling using NONMEM where both linear and nonlinear pharmacokinetic models were examd. The time course of GI198745 serum concns. indicated concn. dependent elimination, with the apparent half-life increasing with dose. Data were best described by a two-compartment model with first order absorption and parallel linear and nonlinear elimination pathways. Drug absorption was rapid, and was followed by short distribution phase. A high vol. of distribution (511 l) and a low linear clearance (0.58 L h-1) combined to give a half-life of .ltoreq.5 (1-7) weeks at high concns. As concns. declined towards Km (0.96 ng ml-1), the proportion eliminated by the relatively rapid saturable elimination pathway, with a max. clearance of 6.2 L h-1, increased and the half-life reduced to about 3 days. The estd. inter individual variability for the linear clearance was high (CV=70%). GI198745 pharmacokinetics are well described by a pharmacokinetic model with parallel linear and nonlinear elimination. Simulations using this model show that at daily doses of 0.1 mg the steady state drug concns., and the rate at which these are achieved, are mainly influenced by the nonlinear pathway, while at daily doses above 1 mg they are almost entirely influenced by the linear pathway.
IT **164656-23-9**, GI198745
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(pharmacokinetic modeling of GI198745 (dutasteride) as compd. with parallel linear and nonlinear elimination in humans)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

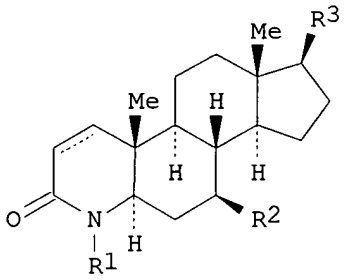


RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 30 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:119816 CAPLUS
DN 130:177939
TI Methods and compositions for treating preterm labor
IN Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne
PA Merck and Co., Inc., USA
SO U.S., 39 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5872126	A	19990216	US 1997-920505	19970829

OS MARPAT 130:177939
GI



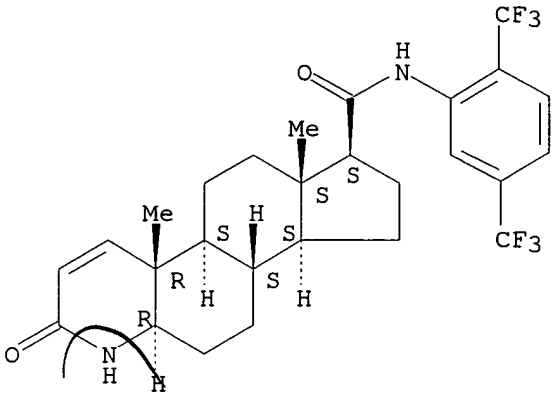
I

AB The present invention provides for a method of treating preterm labor in a subject in need of such treatment comprising administration of a therapeutically effective amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Azasteroids, e.g. of formula I [R1, R2 = H, alkyl; R3 = alkyl], are prepd. as 5.alpha.-reductase inhibitors. The present invention further provides for a method of preventing premature labor in a subject susceptible thereto comprising administration of a labor-preventive amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Further, the present invention also relates to a method of reducing the risk of premature labor in a subject at risk therefor. The present invention also provides for a method for stopping labor preparatory (i.e., prior) to Cesarean delivery in a subject in need of such treatment comprising administration of a therapeutically effective amt. of an inhibitor of 5.alpha.-reductase type 1 to the subject. Further, the present invention provides for pharmaceutical compns. useful in the methods of the present invention, as well as a method of manuf. of a medicament useful for treating pre-term labor and for stopping labor preparatory to Cesarean delivery.

IT **164656-23-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5.alpha.-reductase inhibitors for treating preterm labor)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI)

(CA INDEX NAME)

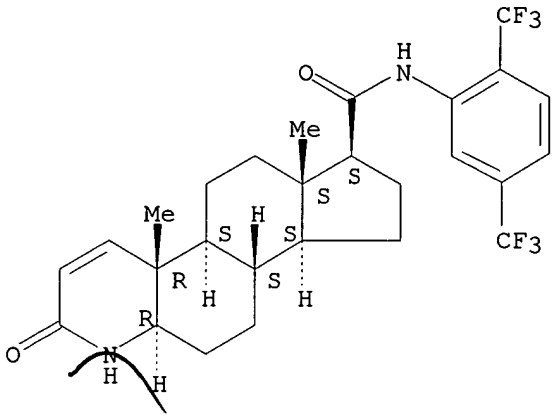
Absolute stereochemistry.



RE.CNT 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 31 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1999:37129 CAPLUS
DN 130:262275
TI A model for the turnover of dihydrotestosterone in the presence of the irreversible 5.alpha.-reductase inhibitors GI 198745 and finasteride
AU Gisleskog, Per Olsson; Hermann, David; Hammarlund-Udenaes, Margareta; Karlsson, Mats O.
CS Division of Clinical Pharmacology, Glaxo Wellcome Research and Development, Middlesex, UB6 0HE, UK
SO Clinical Pharmacology and Therapeutics (St. Louis) (1998), 64(6), 636-647
CODEN: CLPTAT; ISSN: 0009-9236
PB Mosby, Inc.
DT Journal
LA English
AB The objective is to develop a pharmacokinetic-pharmacodynamic model that characterizes the conversion of testosterone to dihydrotestosterone (DHT) by 5.alpha.-reductase types 1 and 2 and the irreversible inhibition of 5.alpha.-reductase by finasteride, a 5.alpha.-reductase type 2 inhibitor and by GI198745 (dutasteride), a potent and specific dual 5.alpha.-reductase inhibitor. Healthy men (n = 48) received doses of 0.1 to 40 mg GI198745 (n = 4 subjects per dose), 5 mg finasteride (n = 8), or placebo (n = 8) in a parallel-group study. Plasma concns. of GI198745, finasteride, and DHT were measured frequently up to 8 wk after dosing. Models were fitted with mixed-effects modeling with the NONMEM program. The pharmacodynamics were well described with a model that accounted for the rates of DHT formation and elimination, 5.alpha.-reductase turnover, relative capacity of the 2 5.alpha.-reductase isoenzymes, and the rates of irreversible inhibition of one (finasteride) or both (GI198745) types of 5.alpha.-reductase. The model indicated that type 2 5.alpha.-reductase contributed approx. 80% of plasma DHT. GII98745 was about 3-fold more potent than finasteride on 5.alpha.-reductase type 2. Nearly full blockade of both isoenzymes was achieved at doses of 10 mg or more GII98745, although the potency of this agent on 5.alpha.-reductase type 1 was less than on type 2. A physiol. based model for the turnover and irreversible inhibition of 5.alpha.-reductase and for formation and elimination of DHT described the data well. This model helps explain differences in the rates of onset and offset of effect and offers a way to det. the relative potency of the irreversible 5.alpha.-reductase inhibitors.
IT **164656-23-9**, GI198745
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(modeling of turnover of dihydrotestosterone in presence of irreversible 5.alpha.-reductase inhibitors GI 198745 and finasteride)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

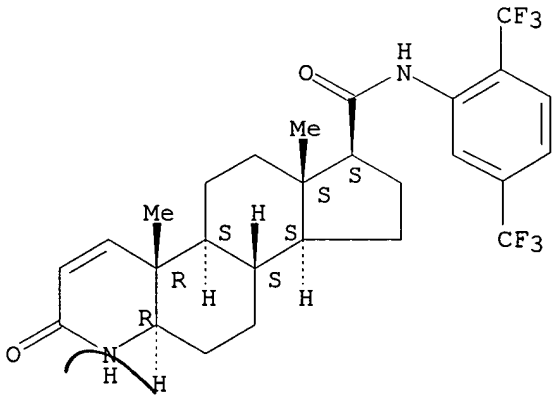
Absolute stereochemistry.



RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L45 ANSWER 32 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:698844 CAPLUS
DN 130:104595
TI Discovery and development of GG745, a potent inhibitor of both isoenzymes of 5.alpha.-reductase
AU Frye, Stephen V.; Bramson, H. Neal; Hermann, David J.; Lee, Frank W.; Sinhababu, Achintya K.; Tian, Gaochao
CS Glaxo Wellcome Research and Development, Research Triangle Park, NC, 27709, USA
SO Pharmaceutical Biotechnology (1998), 11(Integration of Pharmaceutical Discovery and Development), 393-422
CODEN: PHBIEB; ISSN: 1078-0467
PB Plenum Publishing Corp.
DT Journal; General Review
LA English
AB A review with refs. The role of 5.alpha.-reductase in normal physiol., pathophysiol. of dihydrotesterone, clin. effects of a type-2-selective 5.alpha.-reductase inhibitor, discovery and pharmacol. of GG 745, etc., are discussed.
IT **164656-23-9**, GG 745
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(development of GG745 as inhibitor of isoenzymes of 5.alpha.-reductase)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

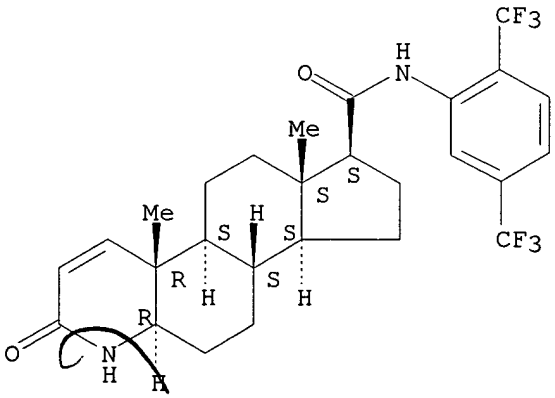
Absolute stereochemistry.



RE.CNT 85 THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 33 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:571414 CAPLUS
DN 129:314665
TI Rapid development of reagent monoclonal antibodies to support drug discovery and development
AU Wring, S. A.; Kilpatrick, K. E.; Waterhouse, I.; Carr, R. M.; Hochel, R. M.; Jenner, W. N.; Serabjit-Singh, C.
CS Glaxo Wellcome Research Inc., Research Triangle Park, NC, 27709, USA
SO Methodological Surveys in Bioanalysis of Drugs (1998), 25(Drug Development Assay Approaches), 181-189
CODEN: MSBDE6
PB Royal Society of Chemistry
DT Journal
LA English
AB A novel and rapid technique is described for the prodn. of reagent mAb's in .apprx.30 days; this contrasts with conventional prodn. techniques that typically require 3-9 mo. Methods and data are presented from programs to produce Ab's to two drug haptens. The authors consider that the rapidity of this prodn. technique will have a marked impact on increasing the value of reagent mAb's during drug research and development programs.
IT **164656-23-9**, GI 198745
RL: ANT (Analyte); ANST (Analytical study)
(prepn. of monoclonal antibodies to)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

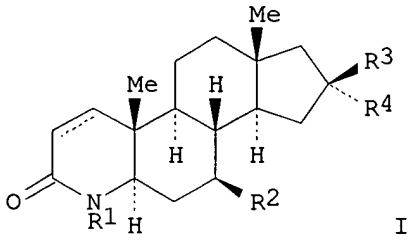
Absolute stereochemistry.



L15 ANSWER 34 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:402269 CAPLUS
DN 129:86008
TI Methods and compositions for preventing and treating bone loss
IN Fuh, Vivian L.; Kaufman, Keith D.; Waldstreicher, Joanne
PA Merck & Co., Inc., USA; Fuh, Vivian L.; Kaufman, Keith D.; Waldstreicher, Joanne
SO PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9825463	A1	19980618	WO 1997-US22045	19971205
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, ID, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	US 5945412	A	19990831	US 1997-984425	19971203
	AU 9853691	A1	19980703	AU 1998-53691	19971205
PRAI	US 1996-32634P	P	19961209		
	GB 1997-293	A	19970108		
	WO 1997-US22045	W	19971205		

OS MARPAT 129:86008
GI



I

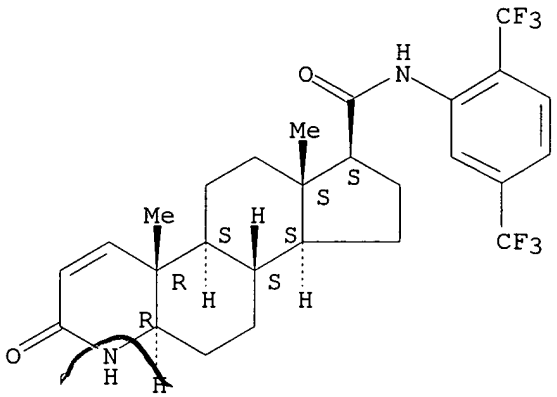
AB The present invention provides for a method of inhibiting bone loss in a subject in need of such treatment comprising administration to the subject of a therapeutically effective amt. of an androstane I [R1, R2 = H, alkyl; one of R3 and R4 = H, Me, the other = NH2, CN, F, Me, carbamoyl, (un)substituted OH, SH, CHO,CO2H, acylamino, carbamoyloxy, ureido; R3R4 = O, alkylene]. Formulations contg. 3-oxo-4-aza-7-methyl-16.beta.-(4-methylphenoxy)-5.alpha.-androst-1-ene, 3-oxo-4-aza-4,7.beta.-dimethyl-16.beta.-phenoxy-5.alpha.-androstane, and 3-oxo-4-aza-4,7.beta.-dimethyl-16.beta.-(4-chlorophenoxy)-5.alpha.-androstane and, optionally, a growth hormone secretagogue, an estrogen, a bisphosphonate, or an antriestrogenic antiresorptive agent, are described.

IT **164656-23-9**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(azaandrostanone compns. for preventing and treating bone loss)

RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-

bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

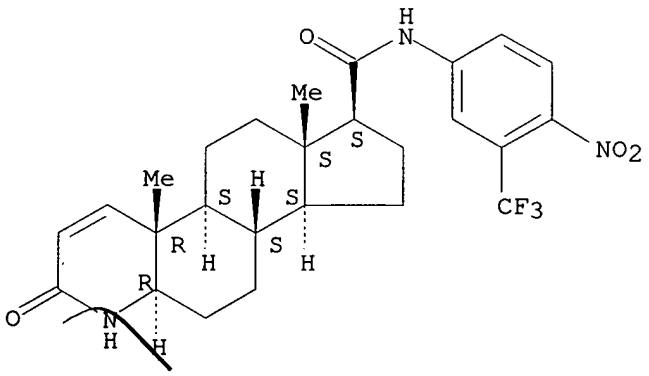
Absolute stereochemistry.



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L15 ANSWER 35 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:228121 CAPLUS
DN 128:308645
TI Synthesis of N-substituted 3-oxo-17.beta.-carboxamide-4-aza-5.alpha.-androstanes and the tautomerism of 3-oxo-4-aza-5-androstenes
AU Xia, Peng; Yang, Zheng-yu; Xia, Yi; Zhang, Hao-bing; Zhang, Ke-hua; Sun, Xun; Chen, Ying; Zheng, Yun-qing
CS Department Organic Chemistry, School Pharmacy, Shanghai Medical University, Shanghai, 200032, Peop. Rep. China
SO Heterocycles (1998), 47(2), 703-716
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
AB An N-aryl-3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-carboxamide and three N-aryl or alkyl substituted 17.alpha.-hydroxy-3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxamides were synthesized as antiandrogen candidates from 3-oxoandrost-4-ene-17.beta.-carboxylic acid and androst-4-ene-3,17-dione resp. The chemo- and stereoselective redn. of 3-oxo-4-aza-5-ene intermediates with formic acid and their tautomerism in a soln. of chloroform and methanol were described.
IT **206351-33-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of N-substituted oxocarboxamide azaandrostanes and tautomerism of oxoazaandrostenes)
RN 206351-33-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-[4-nitro-3-(trifluoromethyl)phenyl]-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

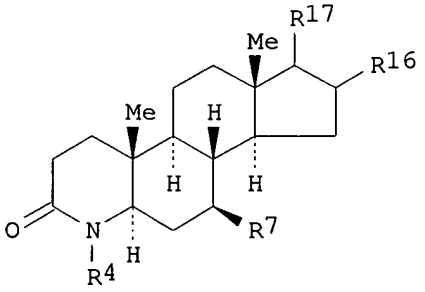
Absolute stereochemistry.



L15 ANSWER 36 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1998:169455 CAPLUS
DN 128:230564
TI Preparation and pharmaceutical compositions of androstanes and pregnanes as 5.alpha.-reductase inhibitors for preventing preterm labor
IN Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne
PA Merck & Co., Inc., USA; Cukierski, Mark A.; Spence, Stanley G.; Waldstreicher, Joanne
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9809632	A1	19980312	WO 1997-US15504	19970903
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, SL, TJ, TM, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9742485	A1	19980326	AU 1997-42485	19970903
PRAI	US 1996-25519P	P	19960906		
	GB 1996-24171	A	19961119		
	WO 1997-US15504	W	19970903		

OS MARPAT 128:230564
GI



I

AB Aza-androstanes and pregnanes such as I [R4 = R7 = H, alkyl; R16 = H, OH, F, CN, alkyl, alkoxy, alkylidenyl, aryloxy, alkylthio, arylthio, heteroaryloxy, etc.; R17 = H, alkyl, alkylidenyl, alkoxy, aryloxy, carbamoyl, alkylthio, arylthio, heteroaryloxy, etc.; 1,2-, 5,10-satd., 1,2-, 5,10-unsatd.] were prepd. as 5.alpha.-reductase inhibitors for treatment of preterm labor. Thus, 7.beta.,20-dimethyl-4-aza-5.alpha.-pregn-17-en-3-one was prepd. starting from pregnenolone acetate. The prepd. compds. where tested for 5.alpha.-reductase types 1 and 2 inhibitory activity and pharmaceutical compns. of the prepd. compds. were presented.

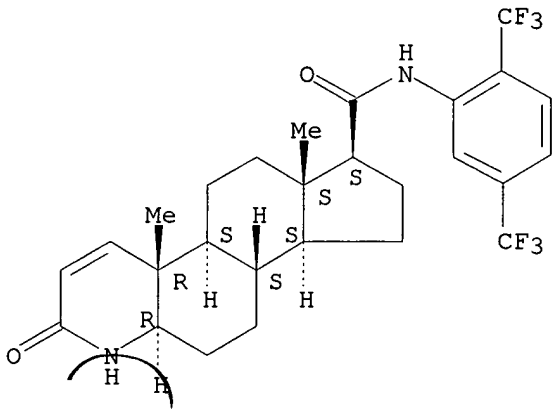
IT **164656-23-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and pharmaceutical compns. of androstanes and pregnanes as 5.alpha.-reductase inhibitors for preventing preterm labor)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

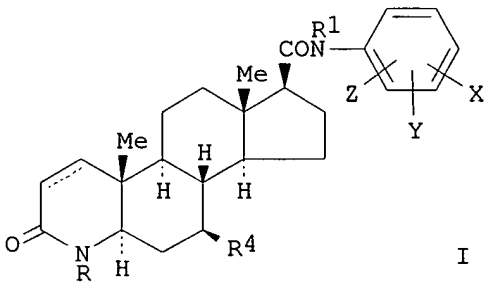


L15 ANSWER 37 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1997:776030 CAPLUS
DN 128:48405
TI Preparation of 17.beta.-carboxanilides of 4-aza-5.alpha.-androstan-3-ones as 5.alpha.-reductase inhibitors
IN Rasmusson, Gary H.; Bakshi, Raman K.; Patel, Gool F.
PA Merck and Co., Inc., USA
SO U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 957,231, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5693810	A	19971202	US 1995-406898	19950321
WO 9407861	A1	19940414	WO 1993-US9585	19931006

W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

PRAI US 1992-957231 B2 19921006
WO 1993-US9585 W 19931006
OS MARPAT 128:48405
GI



I

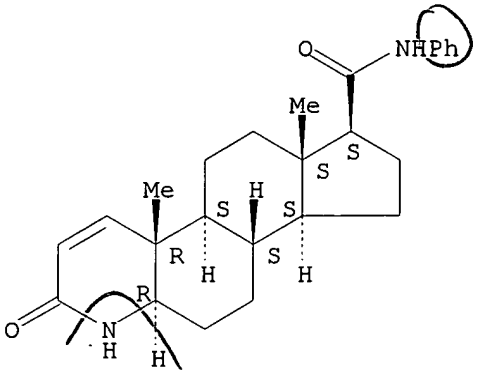
AB Described are new 17.beta.-carboxanilides of 4-aza-5.alpha.-androstan-3-ones and related compds. of formula I [R = H, Me, Et; R1 = H, alkyl, Ph; X, Y, Z = H, SH, alkylthio, acyl, aryl, CONR2R3, NHCOR2, CO2R2, NR3COR3; CR2CONHR3, NHSO2R2, OR2, NR2R3, CO2R2; R2, R3 = H, alkyl, cycloalkyl, aryl; R4 = H, .beta.-alkyl; X, Y, Z can not all be H when R1 = H, alkyl, and when R1 = Ph and R4 = H, Me; dashed line = single or double bond] and the use of such compds. as 5.alpha.-reductase inhibitors for treatment of benign prostatic hyperplasia acne, seborrhea, female hirsutism, prostatitis, and prostatic carcinoma and other hyperandrogenetic related disorders.

IT **158522-79-3P 158522-80-6P 158522-86-2P**
158522-87-3P 158522-88-4P 158522-89-5P
158522-90-8P 158522-95-3P 158522-98-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of carboxanilides of azaandrostanones as 5.alpha.-reductase inhibitors)

RN 158522-79-3 CAPLUS

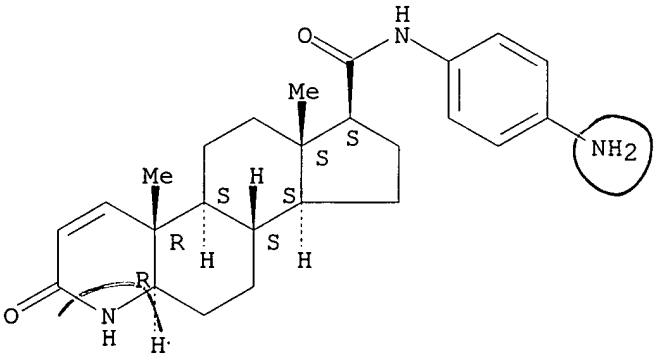
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



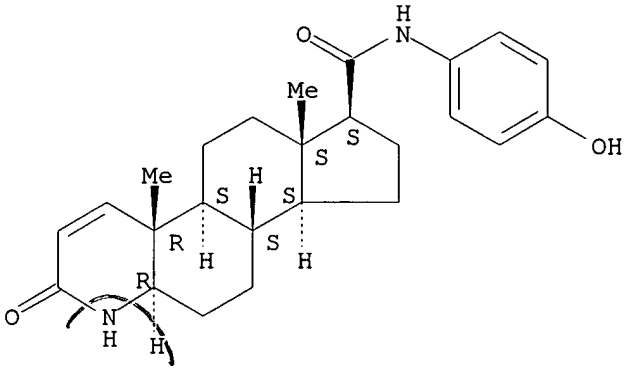
RN 158522-80-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



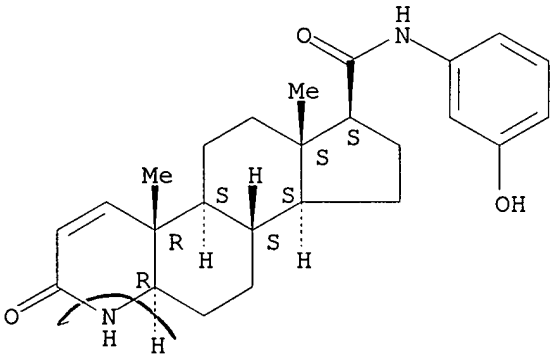
RN 158522-86-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



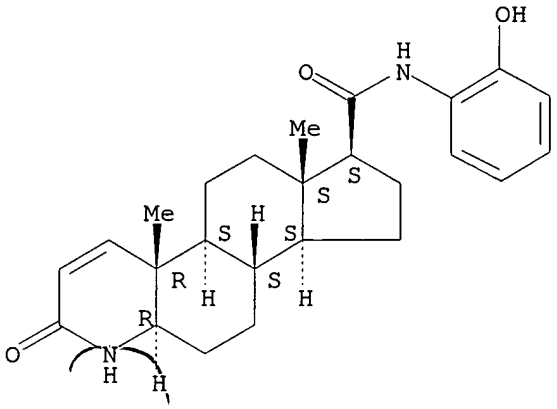
RN 158522-87-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



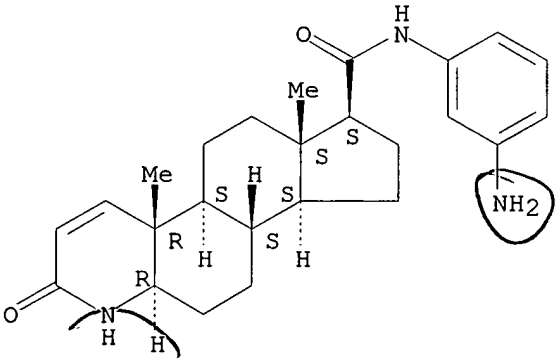
RN 158522-88-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



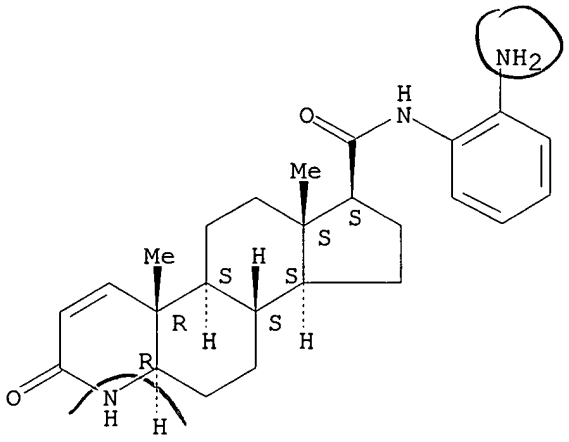
RN 158522-89-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



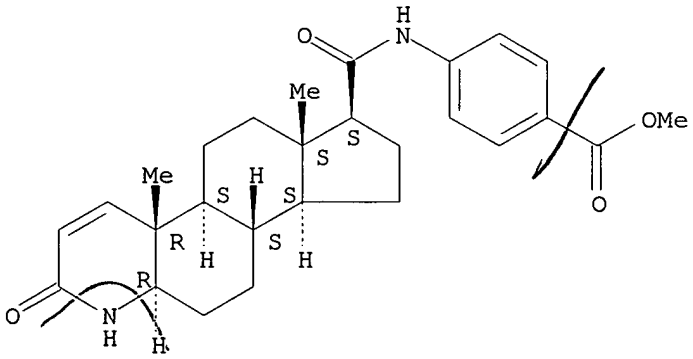
RN 158522-90-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



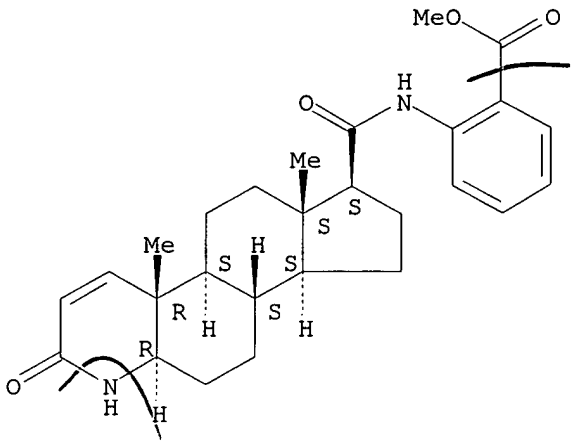
RN 158522-95-3 CAPLUS
CN Benzoic acid, 4-[[(2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl)carbonyl]amino]-, methyl ester, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158522-98-6 CAPLUS
CN Benzoic acid, 2-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.


MeO
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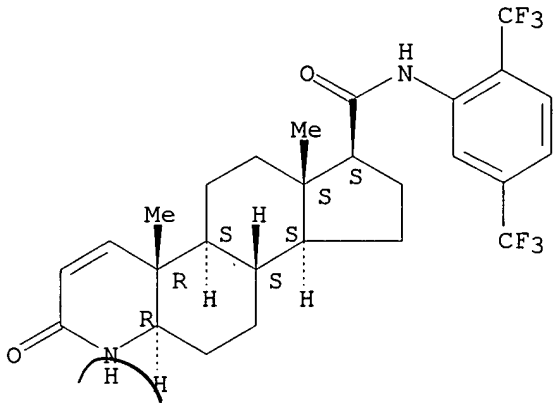
L25 ANSWER 38 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1997:645681 CAPLUS
DN 127:314482
TI Unique preclinical characteristics of GG745, a potent dual inhibitor of 5.alpha.-reductase
AU Bramson, H. Neal; Hermann, David; Batchelor, Kenneth W.; Lee, Frank W.; James, Michael K.; Frye, Stephen V.
CS Division of Biochemistry, Glaxo Wellcome Research Institute, Research Triangle Park, NC, USA
SO Journal of Pharmacology and Experimental Therapeutics (1997), 282(3), 1496-1502
CODEN: JPETAB; ISSN: 0022-3565
PB Williams & Wilkins
DT Journal
LA English
AB Selective inhibition of type 2 5.alpha.-reductase has been shown to be efficacious in the treatment of benign prostatic hyperplasia. Pharmacokinetic and pharmacodynamic results are reported of treatment with a potent inhibitor of both 5.alpha.-reductase isoenzymes, GG745, in rats, dogs and men. In the rat, GG745 has a similar effect on DHT-driven prostatic growth as finasteride, another dual 5.alpha.-reductase inhibitor in this species. However, GG745 appears to be more potent in the rat, a result that likely reflects the greater inherent potency and terminal half-life of GG745 (14 h) compared with that of finasteride (1 h). These pharmacokinetic differences are also maintained in the dog (65 and 4 h for GG745 and finasteride, resp.). From these results, the literature, and in vitro studies, we estd. doses of GG745 likely to prove efficacious in reducing DHT levels in man. These estd. values were predictive of single-dose effects of GG745 in man. Results from single-dose evaluations in man indicate that GG745 has a terminal half-life of .apprx.240 h, and single doses of >10 mg decreased DHT levels significantly more than did single 5-mg doses of finasteride. These data support the hypothesis that a mol. (GG745) that effectively inhibits both 5.alpha.-reductases will lower serum DHT levels significantly more than a mol. that inhibits only a single 5.alpha.-reductase isoenzyme (e.g., finasteride, a selective inhibitor of the type 2 enzyme in man).
IT **164656-23-9**, GG745
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preclin. characteristics of GG745, potent dual inhibitor of 5.alpha.-reductase, for treatment of prostatic hyperplasia: comparison with finasteride)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
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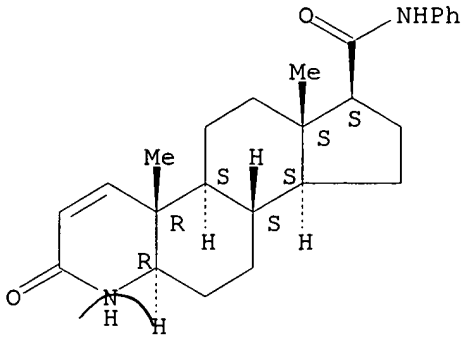
CF3

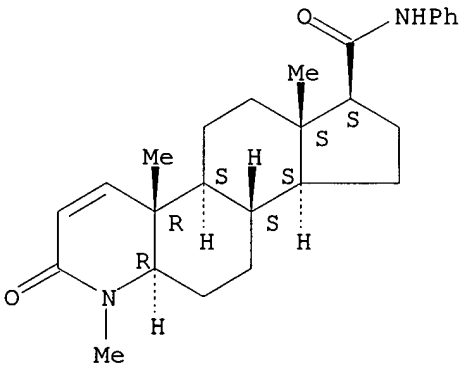
L15 ANSWER 39 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1997:459157 CAPLUS
DN 127:145293
TI 4-Methyl-3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-N-aryl-carboxamides: an approach to combined androgen blockade [5.alpha.-reductase inhibition with androgen receptor binding in vitro]
AU Tolman, Richard L.; Sahoo, Soumya P.; Bakshi, Raman K.; Gratale, Dominick; Patel, Gool; Patel, Sushma; Toney, Jeffrey; Chang, Benedict; Harris, Georgianna S.
CS Merck Research Laboratories, Departments of Medicinal Chemistry and Enzymology, Rahway, NJ, 07065, USA
SO Journal of Steroid Biochemistry and Molecular Biology (1997), 60(5/6), 303-309
CODEN: JSBBEZ; ISSN: 0960-0760
PB Elsevier
DT Journal
LA English
AB 4-Aza-5.alpha.-androstan-3-one 17.beta.-(N-substituted carboxamides) are potent human type 2 5.alpha.-reductase (5aR) inhibitors with generally poor binding to the human androgen receptor (hAR). When the 17-amide N-substituent included an arom. residue, potent dual inhibitors of both type 1 and 2 5aR are produced, but hAR binding remained poor. Tertiary-substituted-17-amides have reduced inhibition of both 5aR isoenzymes. The addn. of an N4-Me substituent to the A-ring profoundly increased hAR affinity and the addn. of unsatn. to the A-ring (.DELTA.1) modestly augmented hAR binding. The unsubstituted carbanilides in the .DELTA.1-N4-Me series show some selectivity for type 1 5aR over the type 2 isoenzyme, whereas addn. of aryl substituents, particularly at the 2-position, increased type 2 5aR binding to provide dual inhibitors with excellent hAR binding, e.g. N-(2-chlorophenyl)-3-oxo-4-methyl-4-aza-5.alpha.-androst-1-ene-17.beta.-carboxamide(9c). Compds. of this type exhibit low nanomolar IC50s for both human 5aR isoenzymes as well as the human androgen receptor. Kinetic anal. confirms that the prototype 9c displays reversible, competitive inhibition of both human isoenzymes of 5aR with Ki values of less than 10 nM. Furthermore, this compd. binds to the androgen receptor with an IC50 equal to 8 nM. Compds. in this series are projected to be powerful antagonists of testosterone and dihydrotestosterone action in vivo, with potential utility in the treatment of prostatic carcinoma (PC).
IT **158522-79-3P 158522-91-9P 188589-51-7P**
188589-59-5P 188589-61-9P 188589-63-1P
188589-66-4P 188589-69-7P 193408-82-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(azasteroids as an approach to combined 5.alpha.-reductase inhibition with androgen receptor binding in vitro)
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



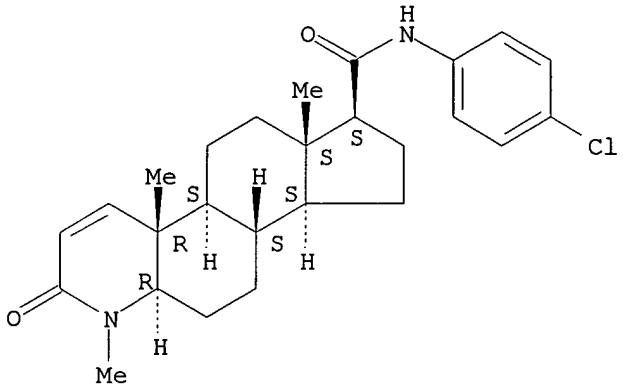
RN 158522-91-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



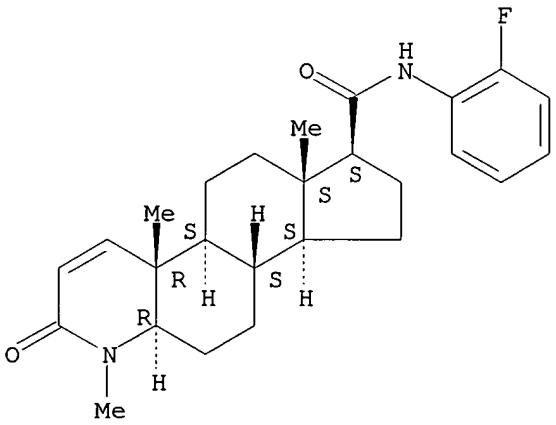
RN 188589-51-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



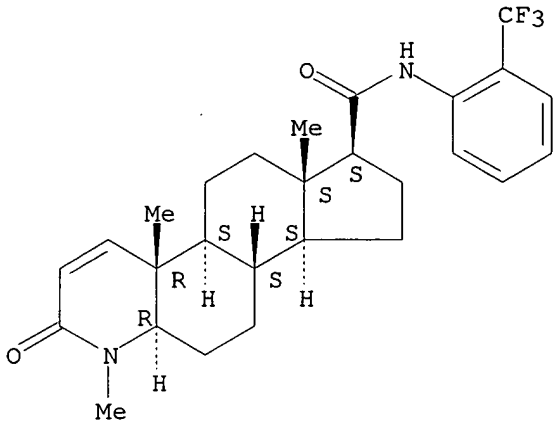
RN 188589-59-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



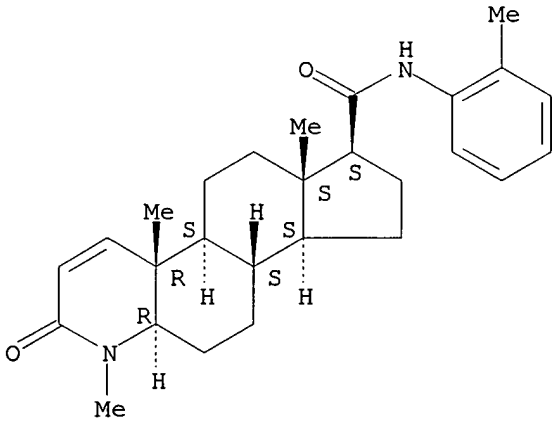
RN 188589-61-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



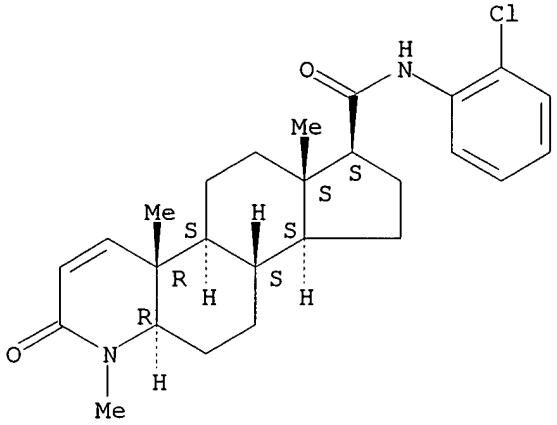
RN 188589-63-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



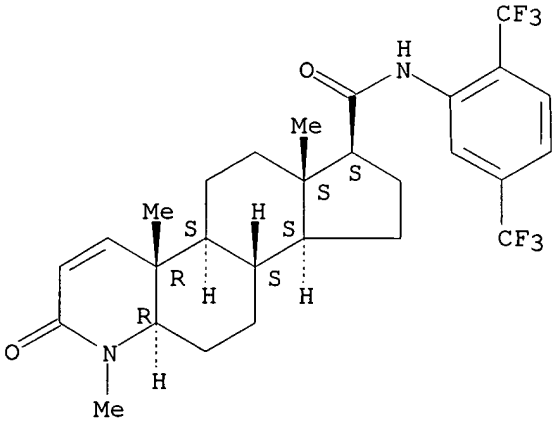
RN 188589-66-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



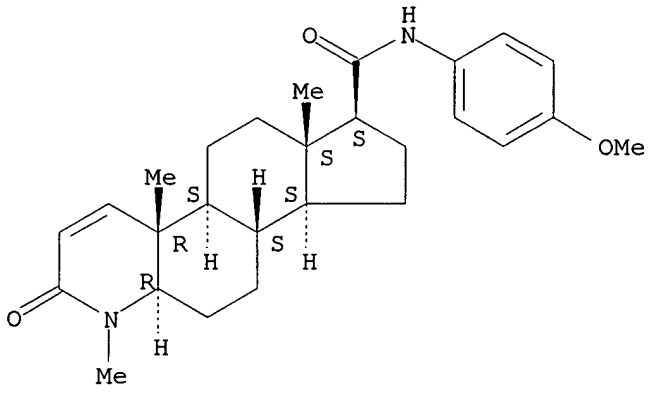
RN 188589-69-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 193408-82-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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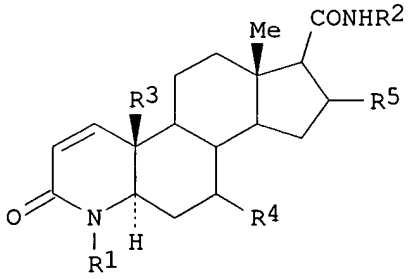
Me

L15 ANSWER 40 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1997:329289 CAPLUS
DN 126:301795
TI Method of preventing androgenetic alopecia with substituted 4-aza-5.alpha.-androst-1-ene-3-one 5-alpha reductase inhibitors
IN Gormley, Glenn J.; Kaufman, Keith D.
PA Merck and Co., Inc., USA; Gormley, Glenn J.; Kaufman, Keith D.
SO PCT Int. Appl., 26 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9711702	A1	19970403	WO 1996-US15164	19960923
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2231434	AA	19970403	CA 1996-2231434	19960923
	AU 9670782	A1	19970417	AU 1996-70782	19960923
	EP 859617	A1	19980826	EP 1996-931671	19960923
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 11513380	T2	19991116	JP 1996-513521	19960923
PRAI	US 1995-4421P	P	19950927		
	GB 1996-2834	A	19960213		
	WO 1996-US15164	W	19960923		

OS MARPAT 126:301795
GI



I

AB A method for preventing androgenetic alopecia (male pattern baldness) and promoting hair growth by administering 5.alpha.-reductase 2 inhibitors is presented. The 5.alpha.-reductase 2 inhibitors have e.g. structural formula I [R1 = H, Me, Et; R2 = hydrocarbon radical selected from (un)substituted straight/branched chain C1-12 alkyl and monocyclic aryl; R' = H, Me; R'' = H, .beta.-methyl; and R''' = H, .alpha.-Me, .beta.-methyl] or a pharmaceutically acceptable salt thereof. Oral and topical administration of substituted 4-aza-5.alpha.-androst-1-ene-3-one 5-alpha reductase inhibitors is proposed and some phys. characterization

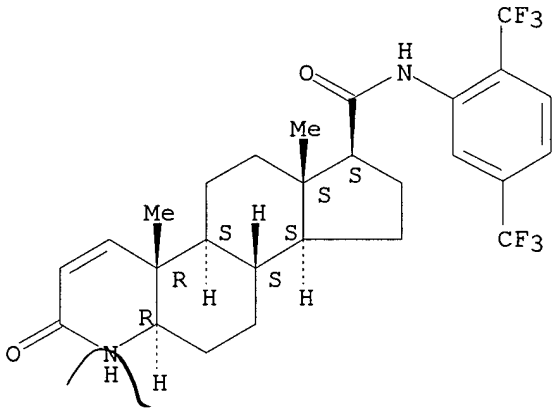
and prepn. (for fanasteride form I and II) data are presented.

IT **164656-23-9**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(androgenetic alopecia preventing with 5.alpha.-reductase 2 inhibitors)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

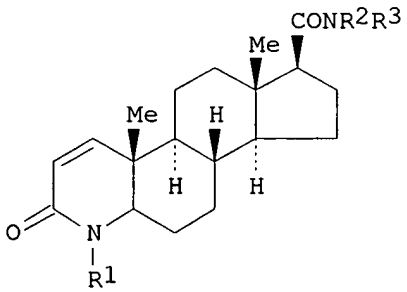


applicants

L15 ANSWER 41 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1997:265608 CAPLUS
DN 126:251287
TI Preparation of 4-azasteroids for treatment of hyperandrogenic conditions
IN Bakshi, Raman K.; Sahoo, Soumya P.; Tolman, Richard L.
PA Merck and Co., Inc., USA; Bakshi, Raman, K.; Sahoo, Soumya, P.; Tolman, Richard, L.
SO PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9710217	A1	19970320	WO 1996-US14564	19960911
	W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9669737	A1	19970401	AU 1996-69737	19960911
	AU 707324	B2	19990708		
	EP 859761	A1	19980826	EP 1996-930822	19960911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
	JP 11512434	T2	19991026	JP 1996-512068	19960911
	US 6001844	A	19991214	US 1998-29926	19980311
PRAI	US 1995-3826P	P	19950915		
	GB 1996-3487	A	19960220		
	WO 1996-US14564	W	19960911		

OS MARPAT 126:251287
GI



I

AB 4-Azaandrostanamides I (R1 = Me, Et; R2 = H, alkyl; R3 = aryl, heteroaryl) were prepd. and tested for 5.alpha.-reductase inhibitory activity, an indication of their usefulness for the treatment of hyperandrogenic conditions such as androgenetic alopecia, prostatic carcinoma, benign prostatic hyperplasia, acne vulgaris, and seborrhea. Thus, I (R1 = Me, R2 = H, R3 = 4-ClC6H4) was prepd. starting from 3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-methycarboxylate and 4-ClC6H4NH2 and showed IC50 values of 40, 100, and 10 nM when tested for type 1 5.alpha.-reductase, type 2

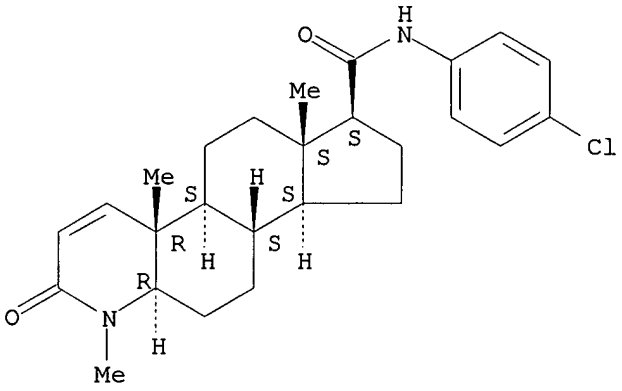
5.alpha.-reductase, and human antiandrogen activity, resp.

IT **188589-51-7P 188589-55-1P 188589-59-5P**
188589-61-9P 188589-63-1P 188589-66-4P
188589-69-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-azasteroids for treatment of hyperandrogenic conditions)

RN 188589-51-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

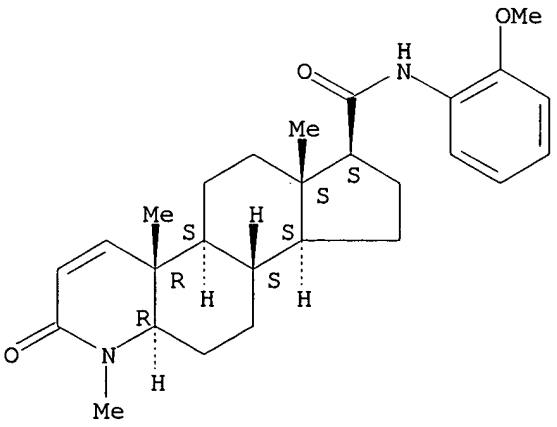
Absolute stereochemistry.



RN 188589-55-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

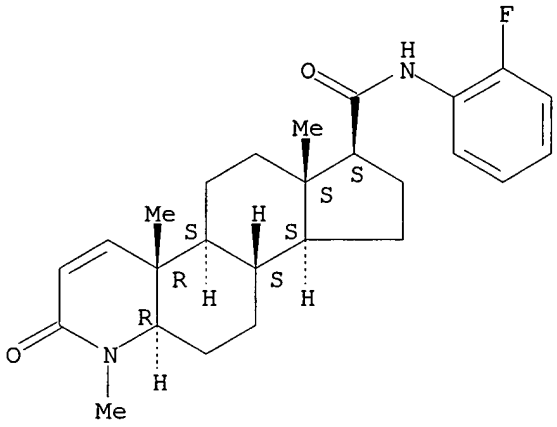


RN 188589-59-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-

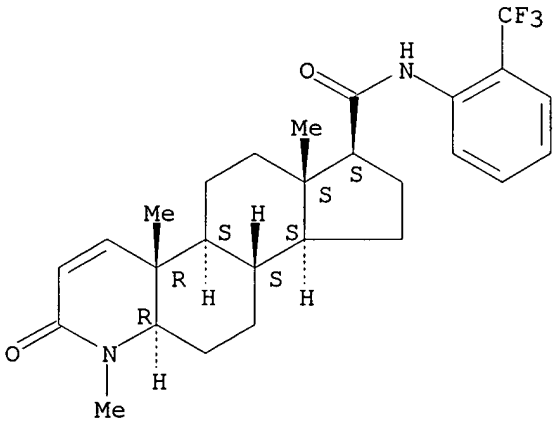
oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



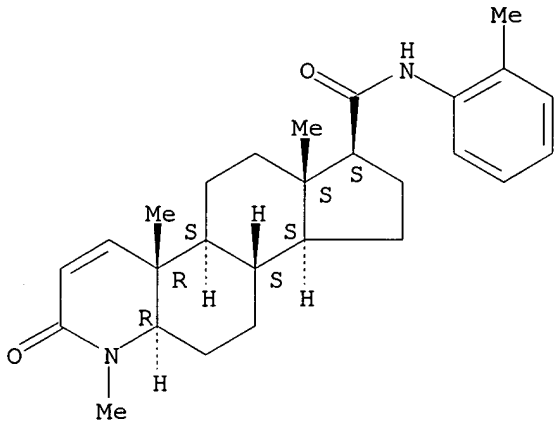
RN 188589-61-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



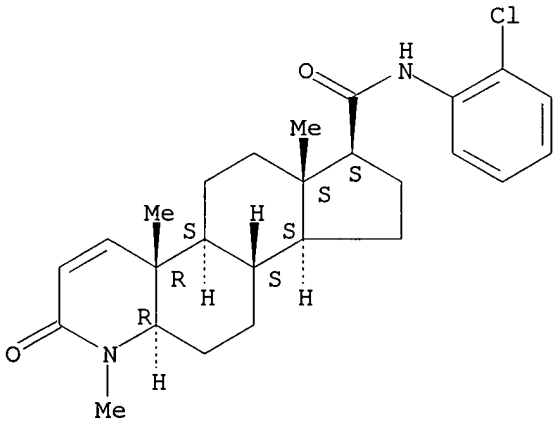
RN 188589-63-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



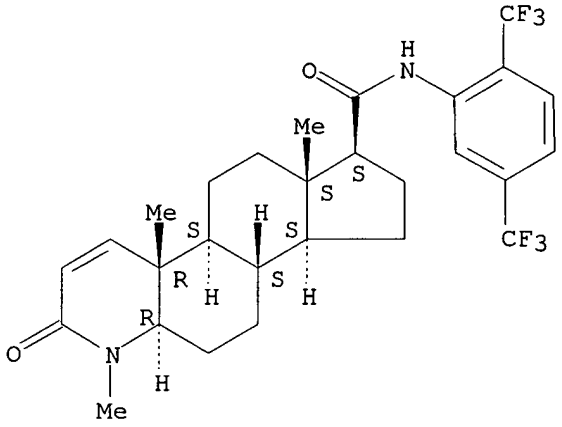
RN 188589-66-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188589-69-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

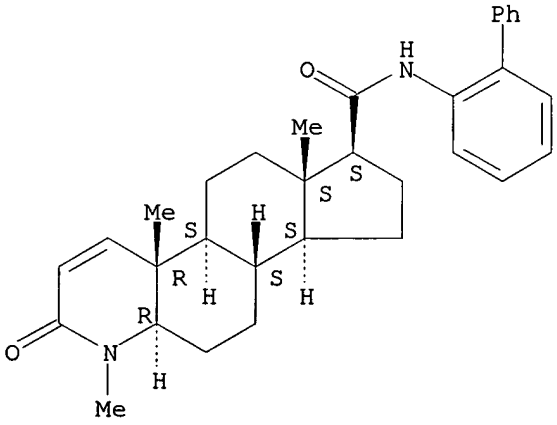


IT **188589-71-1P 188589-73-3P 188589-80-2P**
188589-84-6P 188589-87-9P 188589-89-1P
188589-91-5P 188589-93-7P 188589-95-9P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-azasteroids for treatment of hyperandrogenic conditions)

RN 188589-71-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

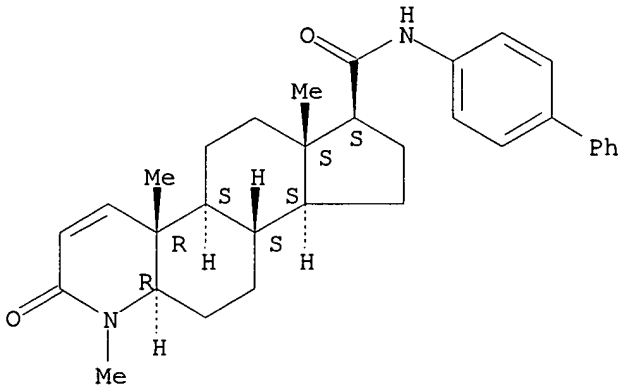
Absolute stereochemistry.



RN 188589-73-3 CAPLUS

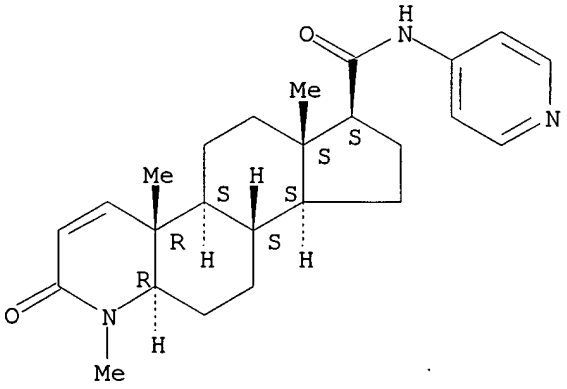
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



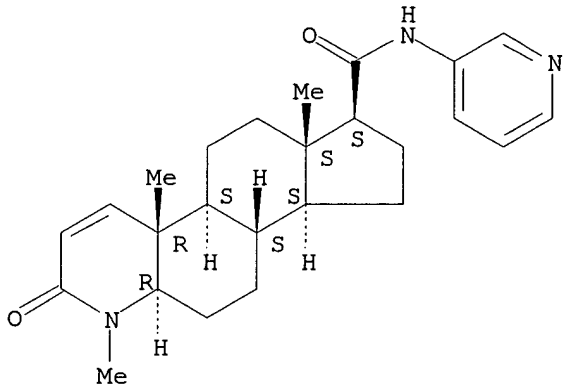
RN 188589-80-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-4-pyridinyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



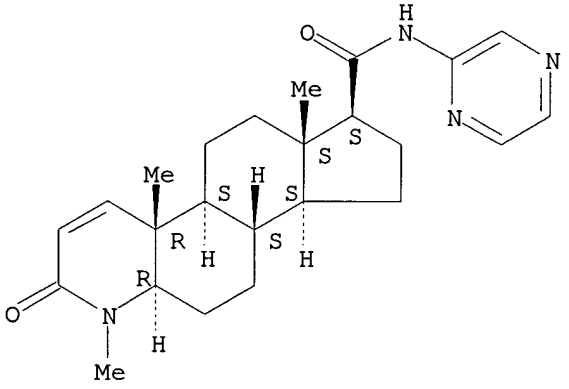
RN 188589-84-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-3-pyridinyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



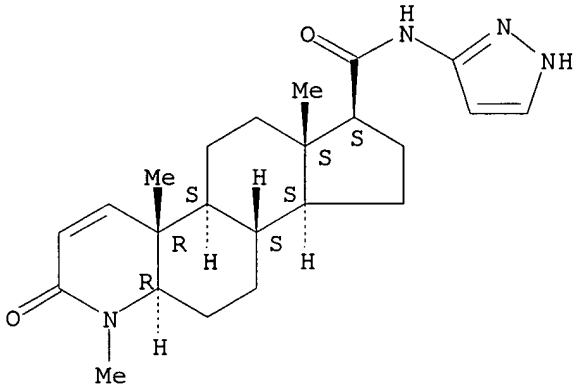
RN 188589-87-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-pyrazinyl-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



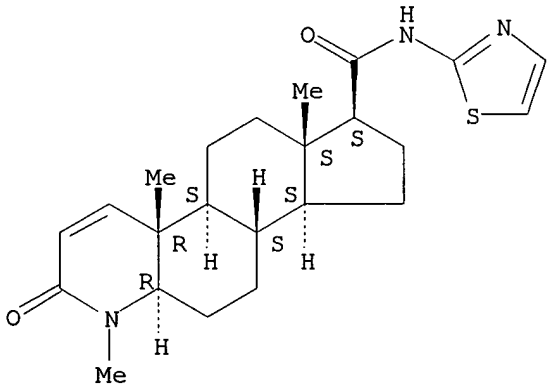
RN 188589-89-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-1H-pyrazol-3-yl-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



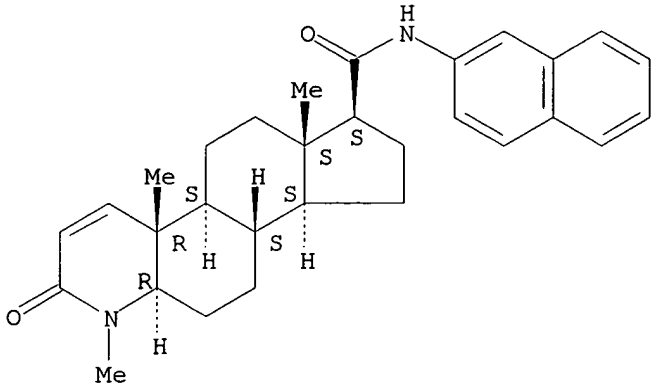
RN 188589-91-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-2-thiazolyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



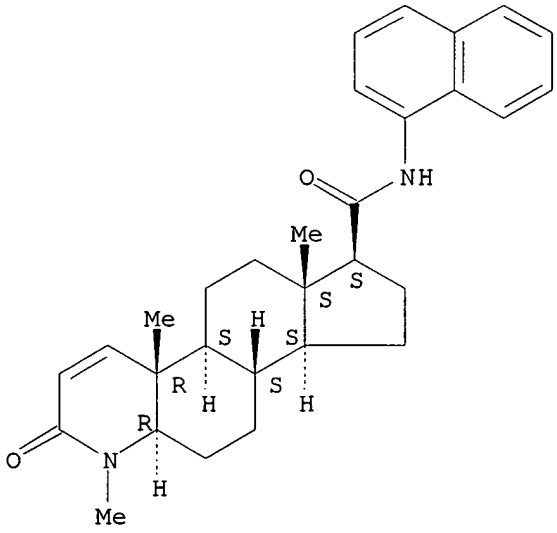
RN 188589-93-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-2-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 188589-95-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-N-1-naphthalenyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

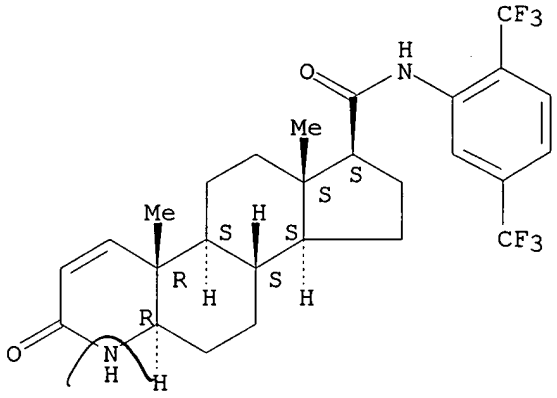


L15 ANSWER 42 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1996:637682 CAPLUS
DN 125:309040
TI Preparation and formulation of an androstenone derivative for treatment of androgen-related diseases
IN Batchelor, Kenneth W.; Frye, Stephen V.; Dorsey, George F., Jr.; Mook, Robert A., Jr.
PA Glaxo Wellcome Inc., USA
SO U.S., 11 pp., Cont.-in-part of U.S. Ser. No. 123,280, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5565467	A	19961015	US 1995-405120	19950316
	ZA 9407118	A	19950526	ZA 1994-7118	19940915
	ZA 9407119	A	19950526	ZA 1994-7119	19940915
	CA 2170047	AA	19950323	CA 1994-2170047	19940916
	CN 1131424	A	19960918	CN 1994-193410	19940916
	CN 1057771	B	20001025		
	HU 73850	A2	19960930	HU 1996-656	19940916
	HU 220060	B	20011028		
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	AT 162199	E	19980115	AT 1994-929828	19940916
	ES 2113127	T3	19980416	ES 1994-929828	19940916
	IL 110978	A1	19990126	IL 1994-110978	19940916
	CZ 286069	B6	20000112	CZ 1996-745	19940916
	US 5846976	A	19981208	US 1996-708167	19960822
PRAI	US 1993-123280	B2	19930917		
	US 1993-136515	A	19931012		
	EP 1994-928605	A3	19940916		
	US 1995-405120	A3	19950316		

AB The present invention relates to the compd. 17.beta.-N-(2,5-bis(trifluoromethyl))phenylcarbamoyl-4-aza-5.alpha.-androst-1-en-3-one, solvates thereof, its prepn., intermediates used in its prepn., pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases (no data).
IT **164656-23-9P**
RL: PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(prepn. and formulation of an androstenone deriv. for treatment of androgen-related diseases)
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


CF3
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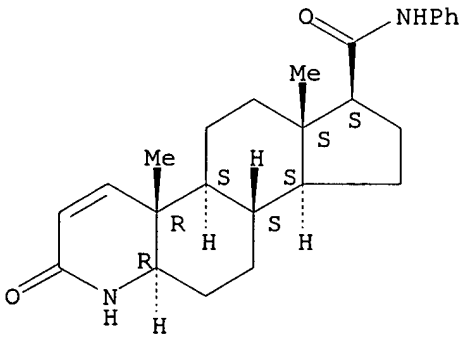
CF3

L15 ANSWER 43 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1996:171150 CAPLUS
DN 124:249630
TI 4-Aza-3-oxo-5.alpha.-androst-1-ene-17.beta.-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5.alpha.-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5.alpha.-Reductase Inhibitory Potency. [Erratum to document cited in CA123:187677]
AU Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.
CS USA
SO Journal of Medicinal Chemistry (1996), 39(5), 1192
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
AB The errors were not reflected in the abstr. or the index entries.
IT **158522-79-3P 158522-80-6P 158522-86-2P**
158522-87-3P 158522-88-4P 158522-89-5P
158522-90-8P 164656-23-9P 167557-96-2P
167557-97-3P 167557-98-4P 167557-99-5P
167558-00-1P 167558-01-2P 167558-02-3P
167558-03-4P 167558-04-5P 167558-05-6P
167558-06-7P 167558-07-8P 167558-08-9P
167558-09-0P 167558-10-3P 167558-11-4P
167558-12-5P 167558-13-6P 167558-14-7P
167558-15-8P 167558-16-9P 167558-17-0P
167558-18-1P 167558-19-2P 167558-20-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure activity relations of androstene arylcarboxamides as dual inhibitors of human type 1 and type 2 steroid 5.alpha.-reductases (Erratum))
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

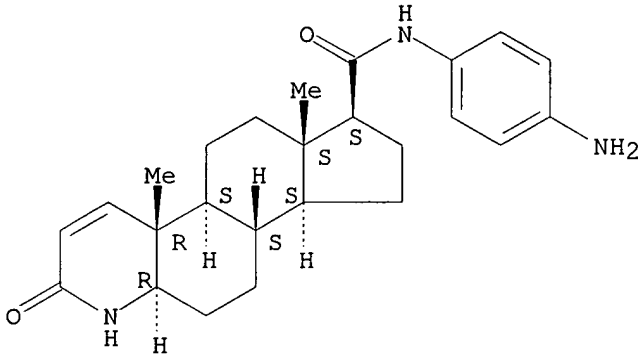
Absolute stereochemistry.



RN 158522-80-6 CAPLUS

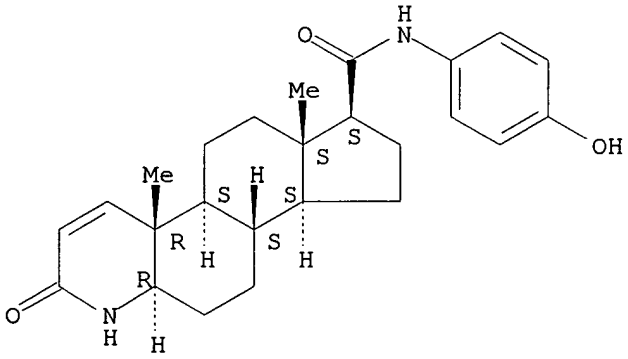
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



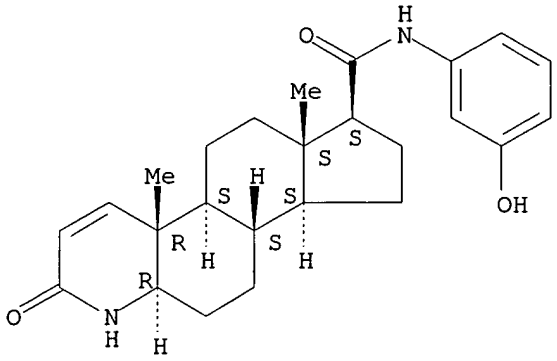
RN 158522-86-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



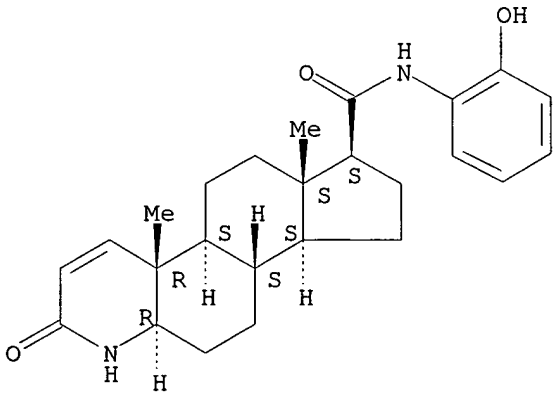
RN 158522-87-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



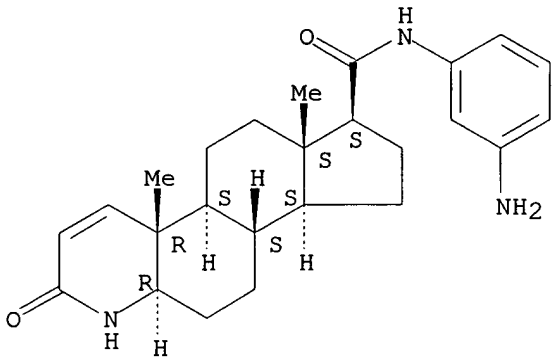
RN 158522-88-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



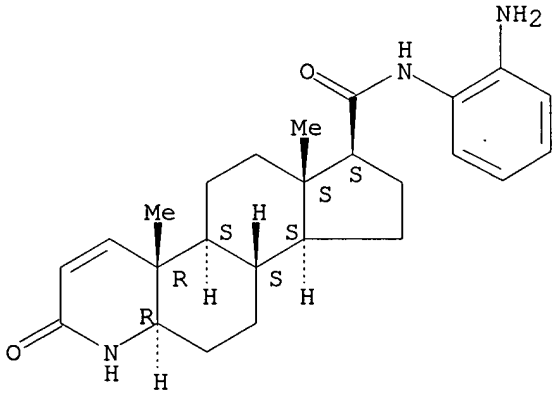
RN 158522-89-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



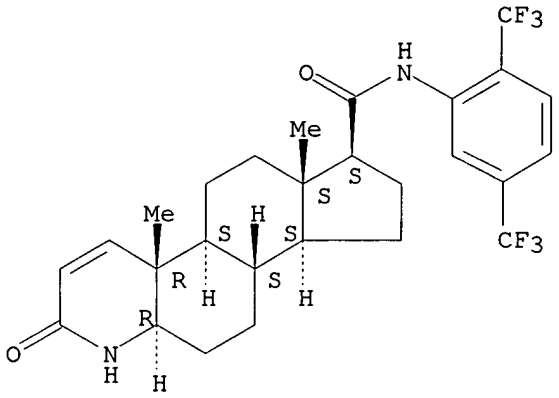
RN 158522-90-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



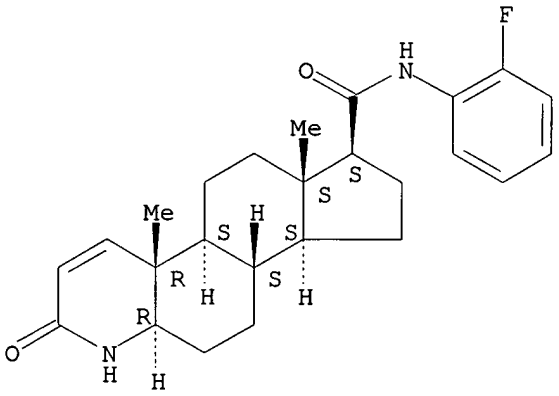
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



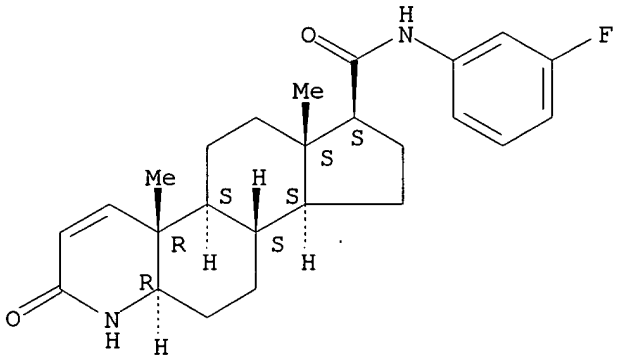
RN 167557-96-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



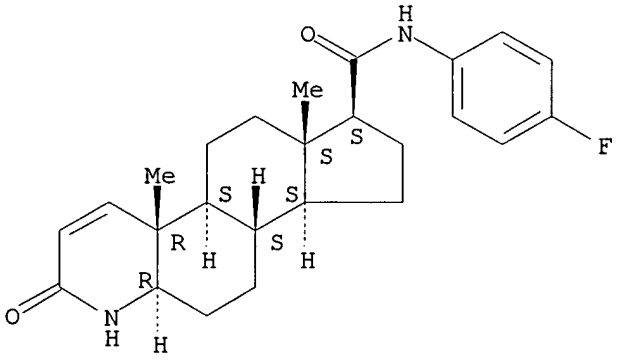
RN 167557-97-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



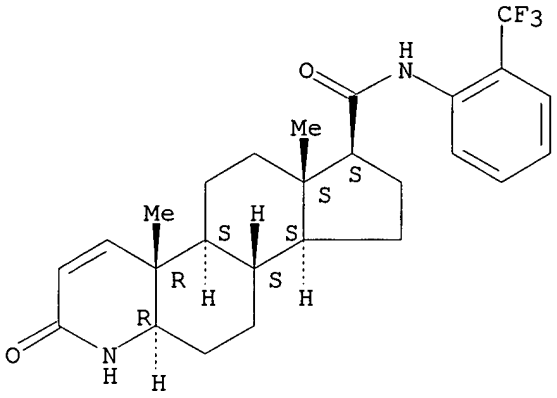
RN 167557-98-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



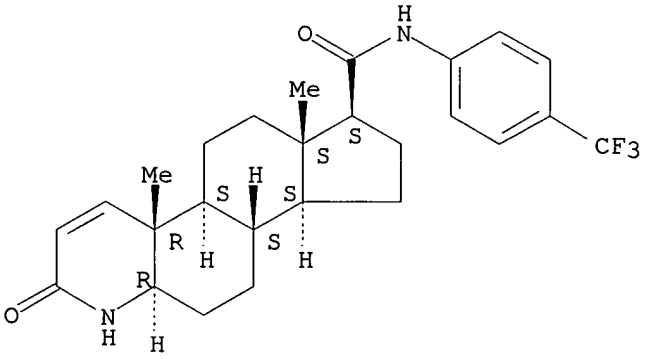
RN 167557-99-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



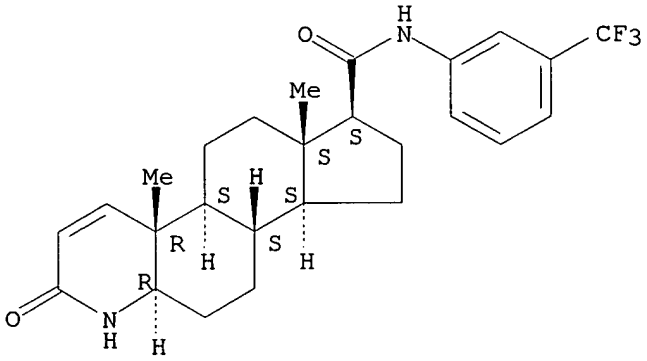
RN 167558-00-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[4-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



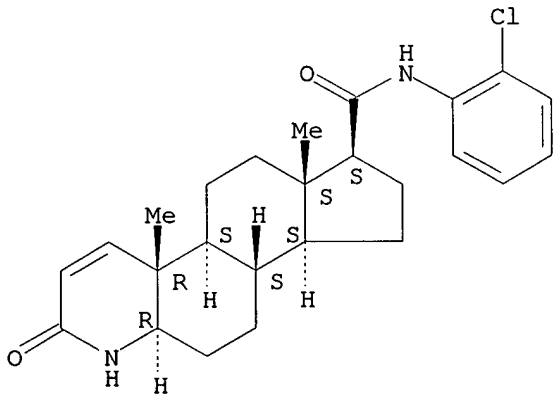
RN 167558-01-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



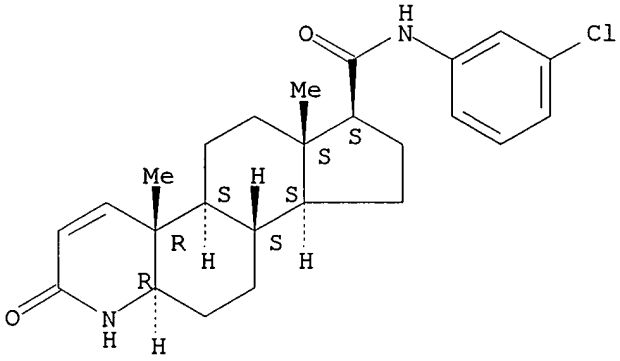
RN 167558-02-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



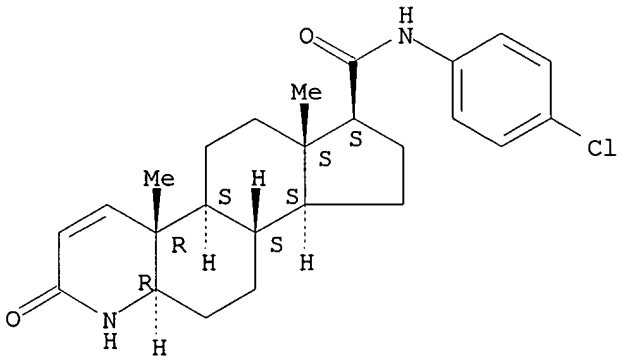
RN 167558-03-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



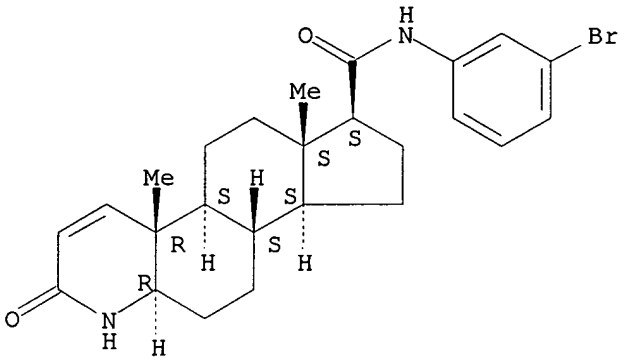
RN 167558-04-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



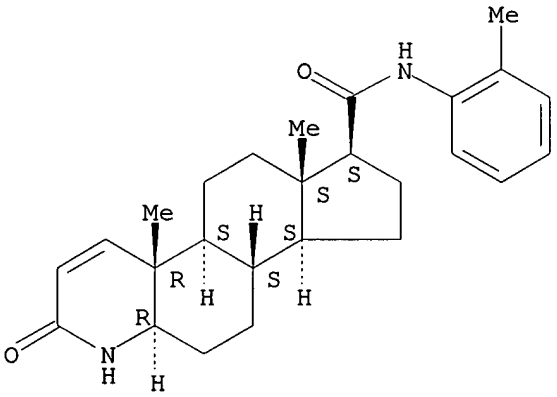
RN 167558-05-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-bromophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



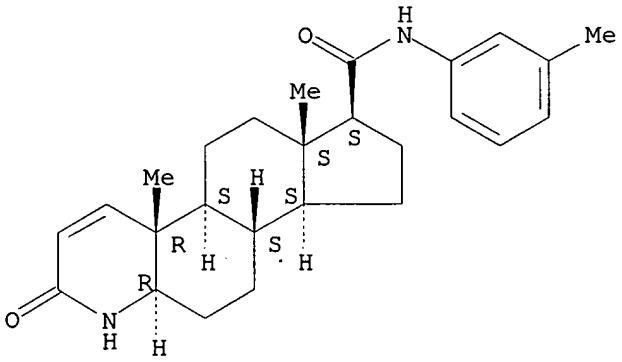
RN 167558-06-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



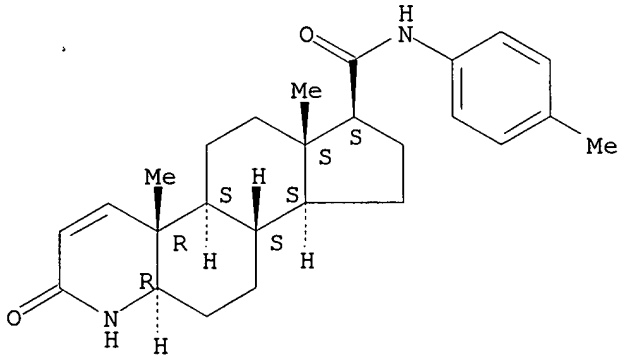
RN 167558-07-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(3-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



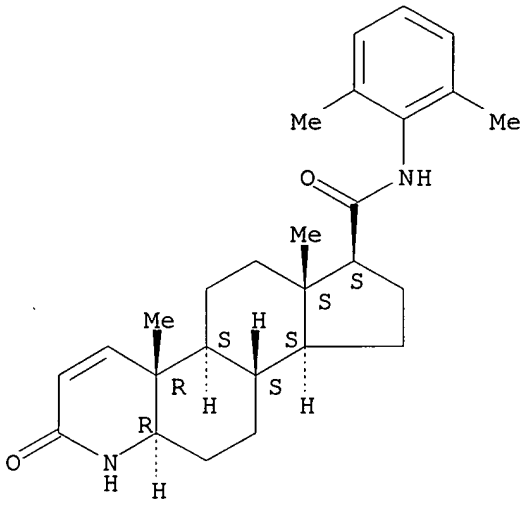
RN 167558-08-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(4-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



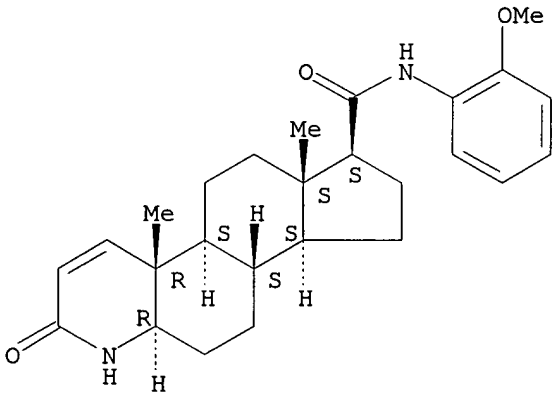
RN 167558-09-0 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2,6-dimethylphenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



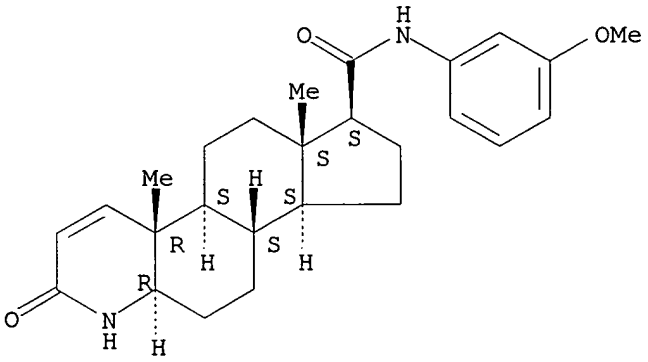
RN 167558-10-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



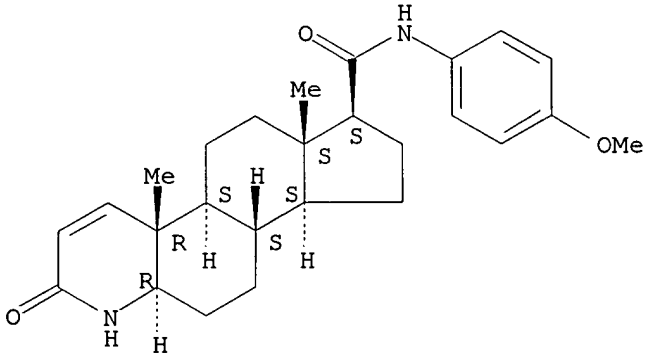
RN 167558-11-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



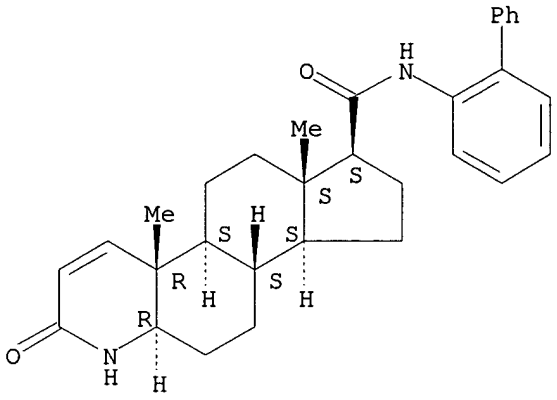
RN 167558-12-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



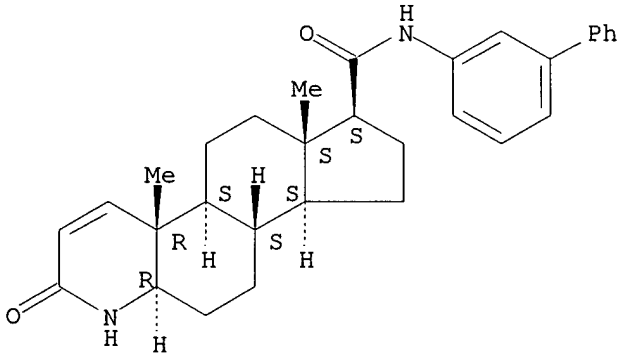
RN 167558-13-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



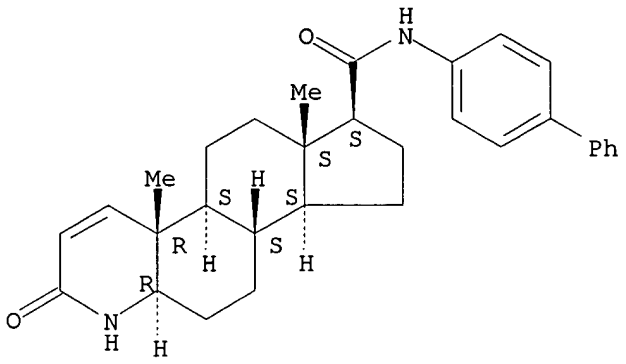
RN 167558-14-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-3-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



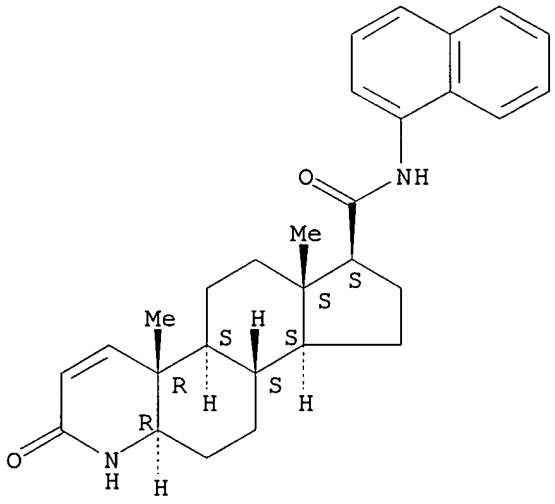
RN 167558-15-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



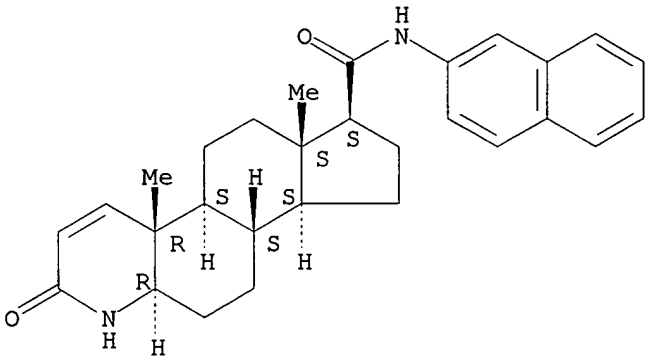
RN 167558-16-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-N-1-naphthalenyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



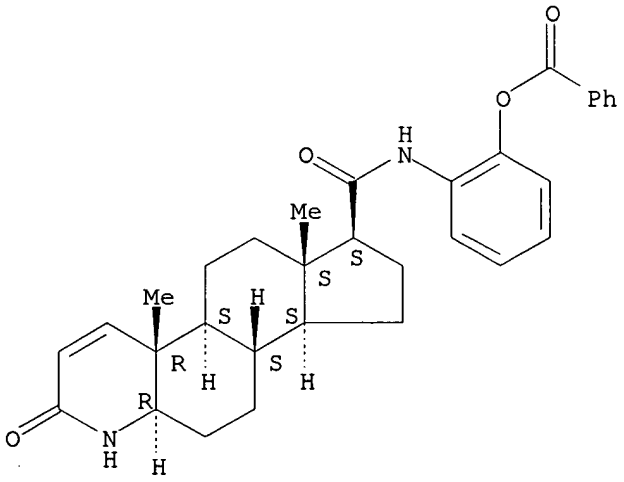
RN 167558-17-0 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-N-2-naphthalenyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



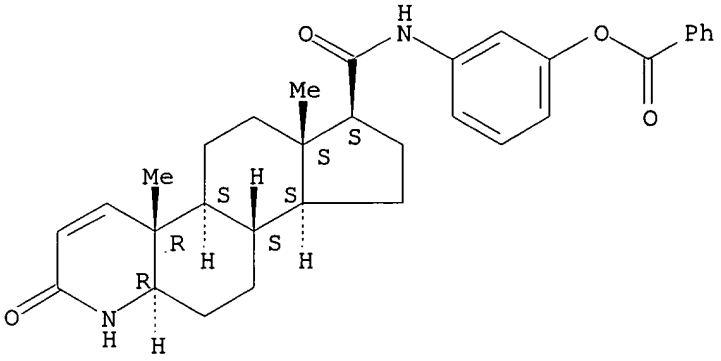
RN 167558-18-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



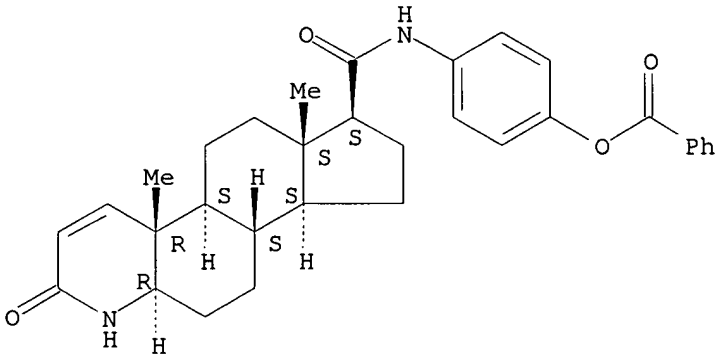
RN 167558-19-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



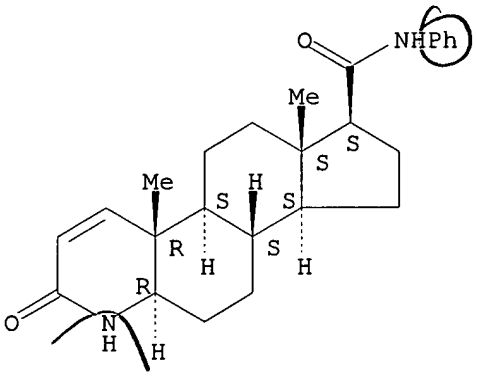
RN 167558-20-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[4-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



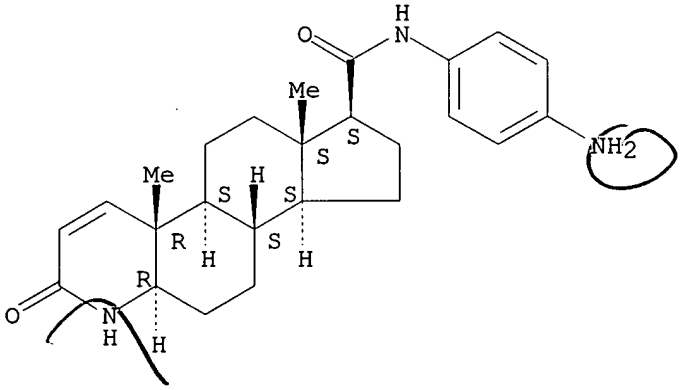
L15 ANSWER 44 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1995:726591 CAPLUS
DN 123:187677
TI 4-Aza-3-oxo-5.alpha.-androst-1-ene-17.beta.-N-arylcarboxamides as Dual Inhibitors of Human Type 1 and Type 2 Steroid 5.alpha.-Reductases. Dramatic Effect of N-Aryl Substituents on Type 1 and Type 2 5.alpha.-Reductase Inhibitory Potency
AU Bakshi, Raman K.; Rasmusson, Gary H.; Patel, Gool F.; Mosley, Ralph T.; Chang, Benedict; Ellsworth, Kenneth; Harris, Georgianna S.; Tolman, Richard L.
CS Department of Medicinal Chemical Research Molecular Systems and Biochemistry, Merck Research Laboratories, Rahway, NJ, 07065, USA
SO Journal of Medicinal Chemistry (1995), 38(17), 3189-92
CODEN: JMCMAR; ISSN: 0022-2623 Aug. 18
PB American Chemical Society
DT Journal
LA English
AB Synthesis and in vitro human type 1 and type 2 5.alpha.-reductase inhibitory activity of 4-aza-5.alpha.-androst-1-en-3-one-17.beta.-N-arylcarboxamides is discussed. The authors have shown that: (a) anilides bind most favorably to both type 1 and type 2 isoenzymes in a trans conformation; (b) introduction of a F or CF3 group at the ortho position leads to increase in type 1 inhibitory potency; (c) good type 1 inhibitory potency is seen with the .alpha.-naphthyl imide (14a) and meta biphenyl amide (13b); (d) these azasteroids are time-dependent inhibitors of human type 1 and type 2 enzyme and are far more potent than the fixed-time assay results would imply. Furthermore, the authors have not only shown the important differences in the binding pocket of type 1 and type 2 enzyme around C-17, but have also demonstrated that compds. could be optimized to potent dual inhibitors of human type 1 and type 2 5.alpha.-reductase. Azasteroid 7 has shown in vivo efficacy in redn. of prostate size in systemically treated dogs.
IT **158522-79-3P 158522-80-6P 158522-86-2P**
158522-87-3P 158522-88-4P 158522-89-5P
158522-90-8P 164656-23-9P 167557-96-2P
167557-97-3P 167557-98-4P 167557-99-5P
167558-00-1P 167558-01-2P 167558-02-3P
167558-03-4P 167558-04-5P 167558-05-6P
167558-06-7P 167558-07-8P 167558-08-9P
167558-09-0P 167558-10-3P 167558-11-4P
167558-12-5P 167558-13-6P 167558-14-7P
167558-15-8P 167558-16-9P 167558-17-0P
167558-18-1P 167558-19-2P 167558-20-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(structure activity relations of androstene arylcarboxamides as dual inhibitors of human type 1 and type 2 steroid 5.alpha.-reductases)
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



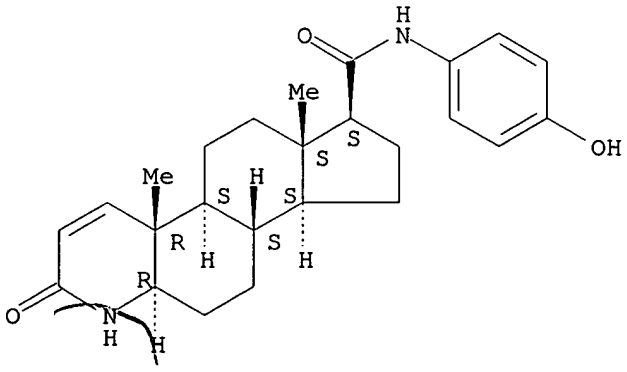
RN 158522-80-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



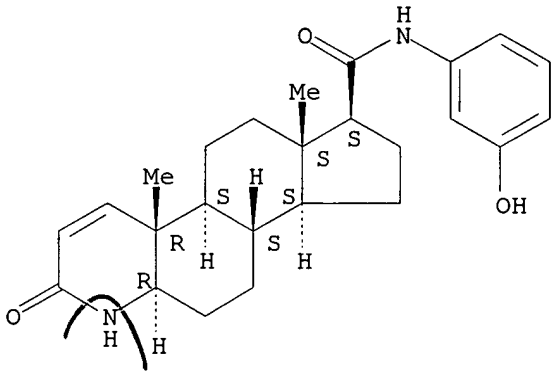
RN 158522-86-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



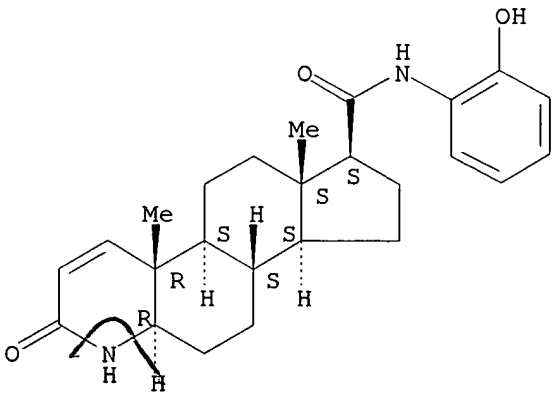
RN 158522-87-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



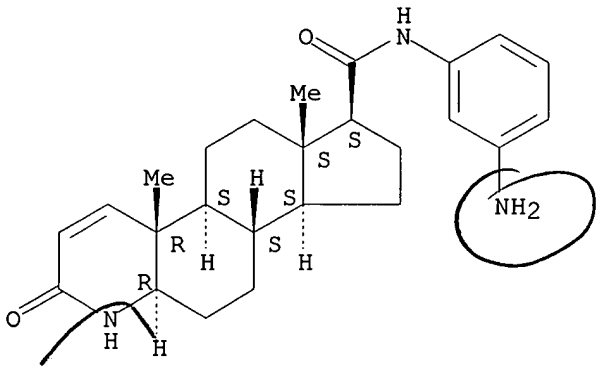
RN 158522-88-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



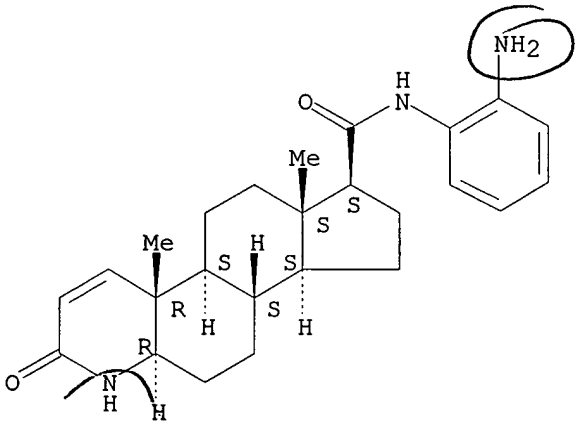
RN 158522-89-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



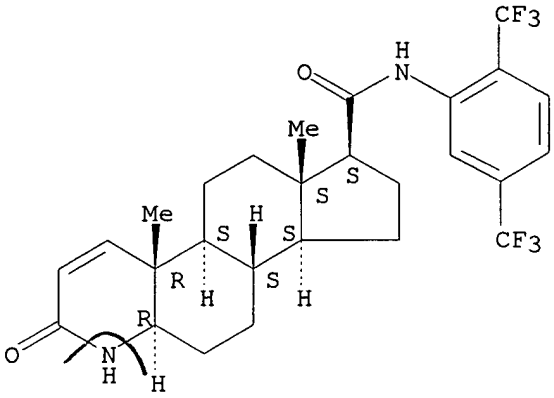
RN 158522-90-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



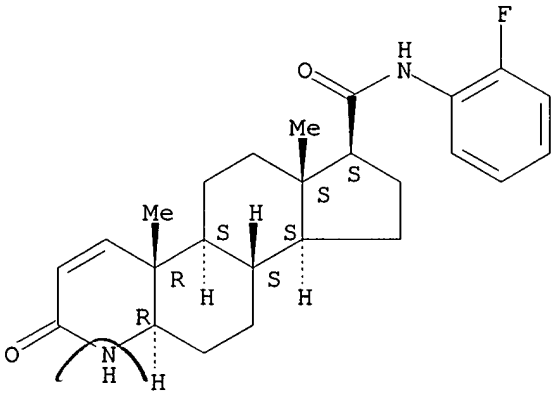
RN 164656-23-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



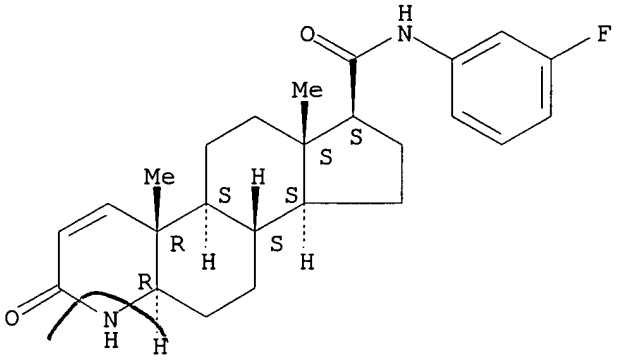
RN 167557-96-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



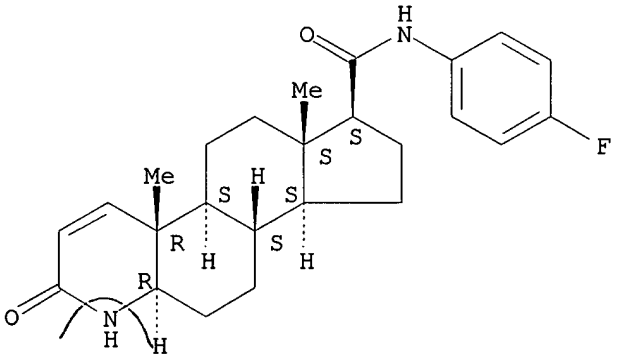
RN 167557-97-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



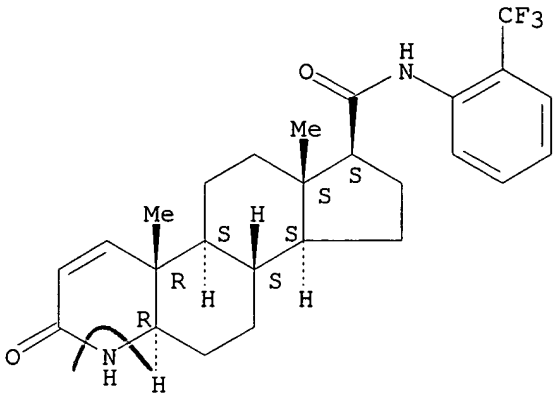
RN 167557-98-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-fluorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



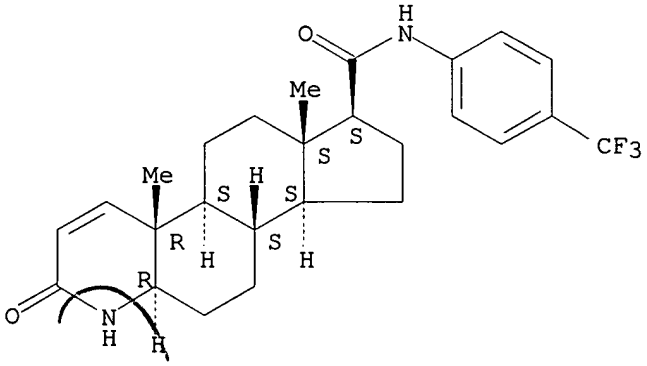
RN 167557-99-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[2-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



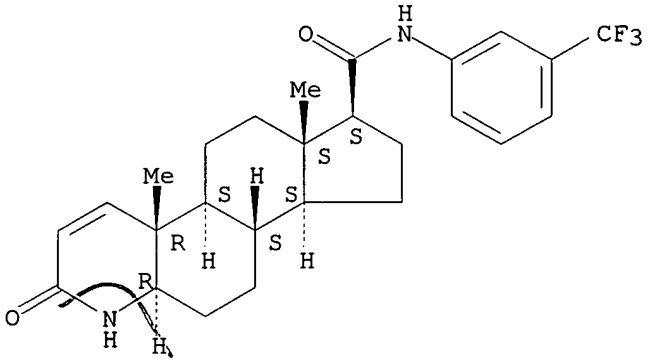
RN 167558-00-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[4-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



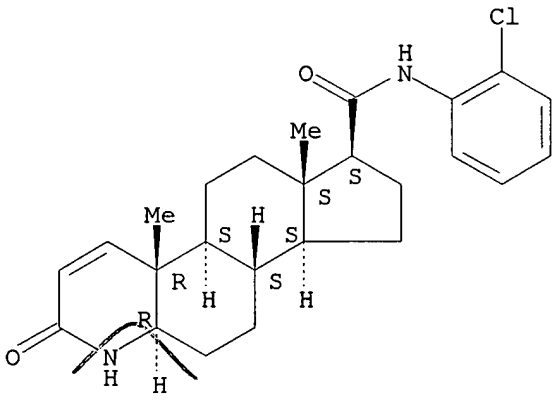
RN 167558-01-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3-(trifluoromethyl)phenyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



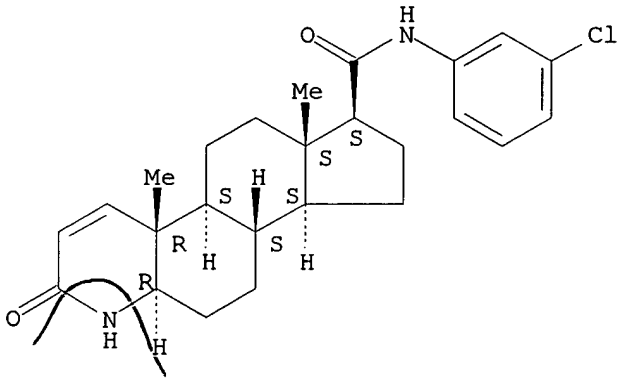
RN 167558-02-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



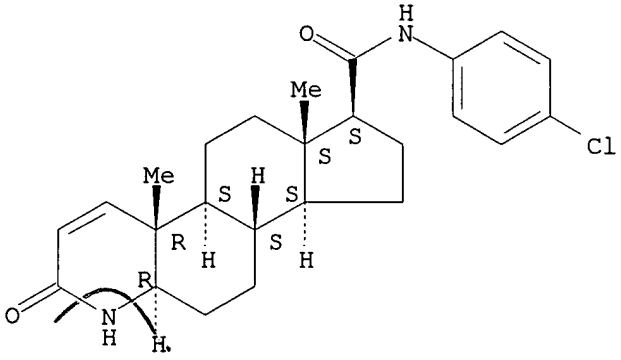
RN 167558-03-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



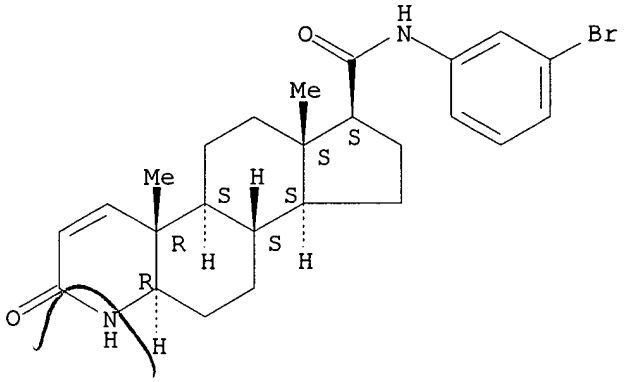
RN 167558-04-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-chlorophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



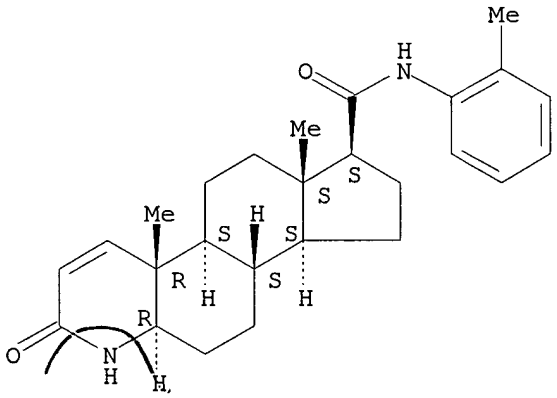
RN 167558-05-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-bromophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



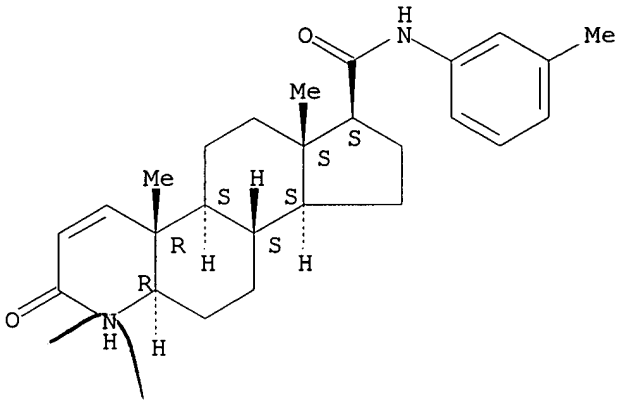
RN 167558-06-7 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(2-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



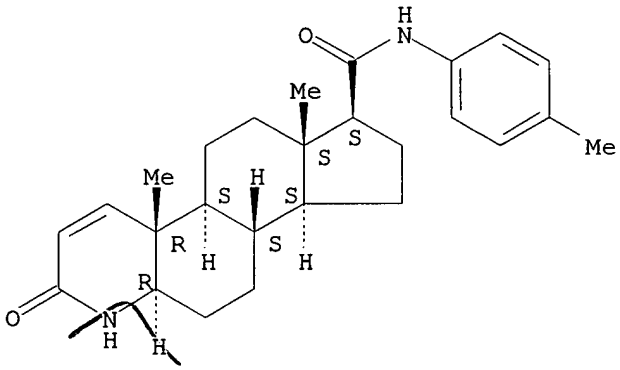
RN 167558-07-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(3-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



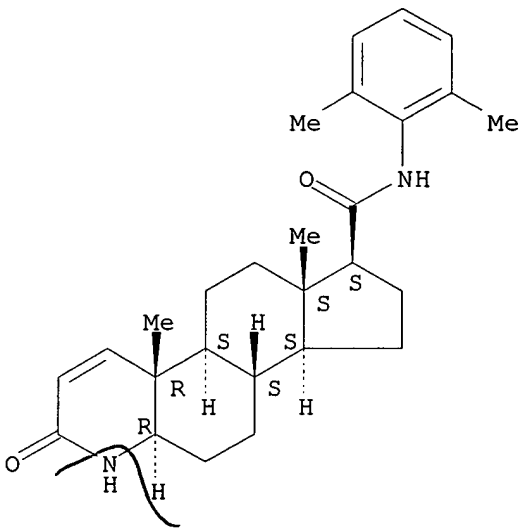
RN 167558-08-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-N-(4-methylphenyl)-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



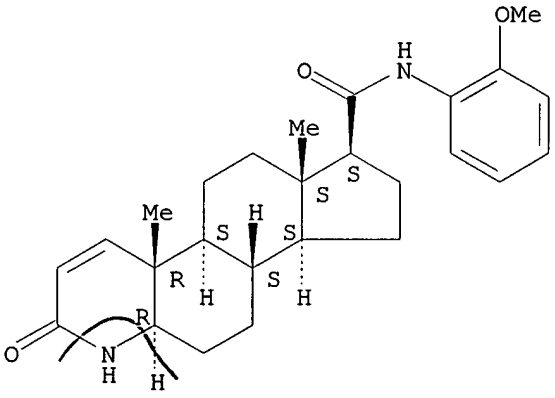
RN 167558-09-0 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2,6-dimethylphenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



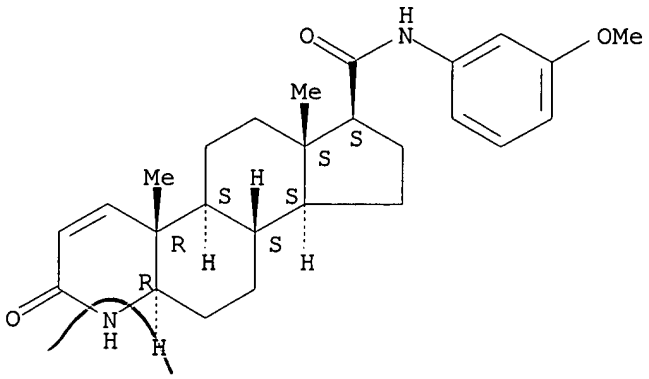
RN 167558-10-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(2-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



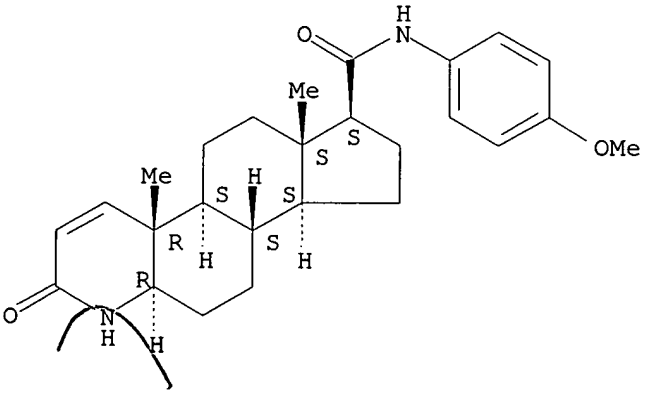
RN 167558-11-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(3-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



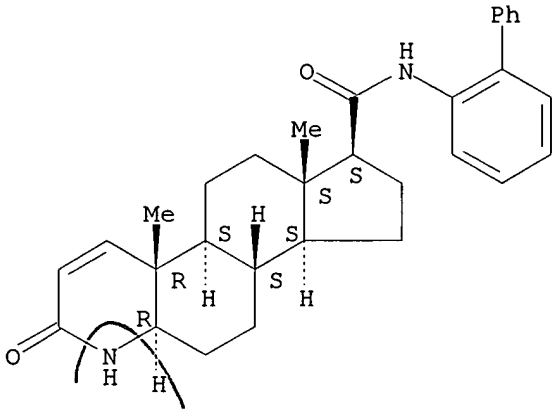
RN 167558-12-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-N-(4-methoxyphenyl)-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167558-13-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-2-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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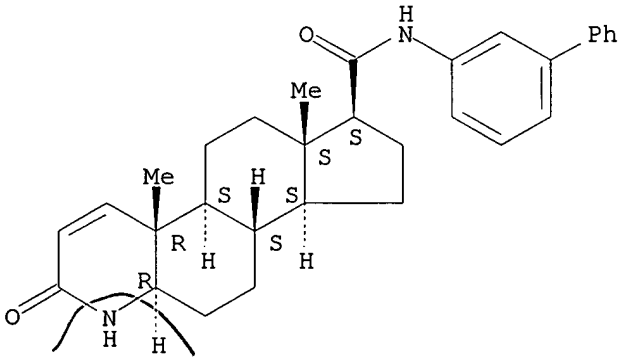
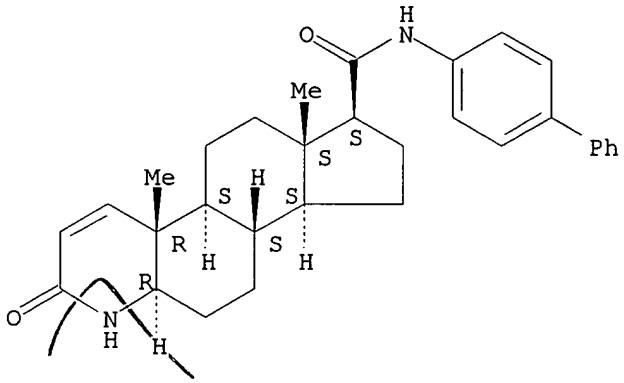
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-3-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167558-15-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[1,1'-biphenyl]-4-yl-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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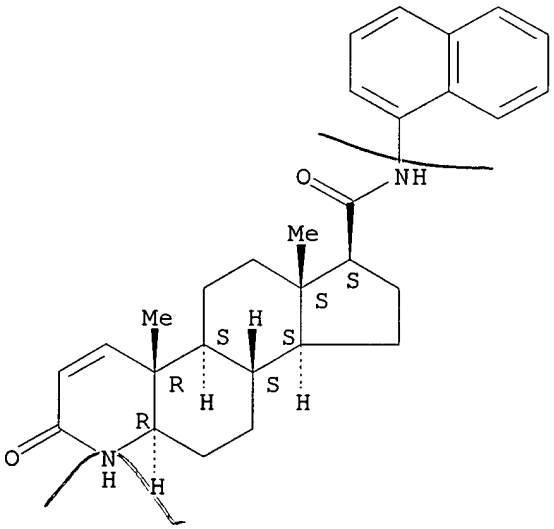
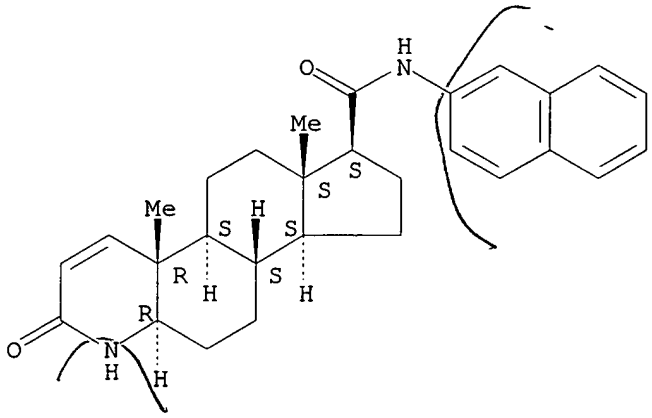
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-N-1-naphthalenyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



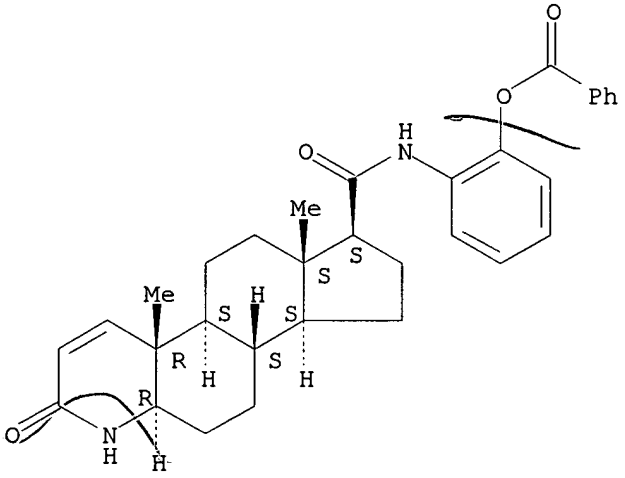
RN 167558-17-0 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-N-2-naphthalenyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



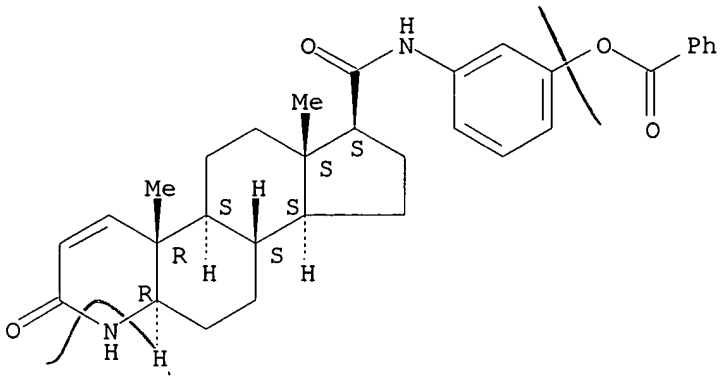
RN 167558-18-1 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



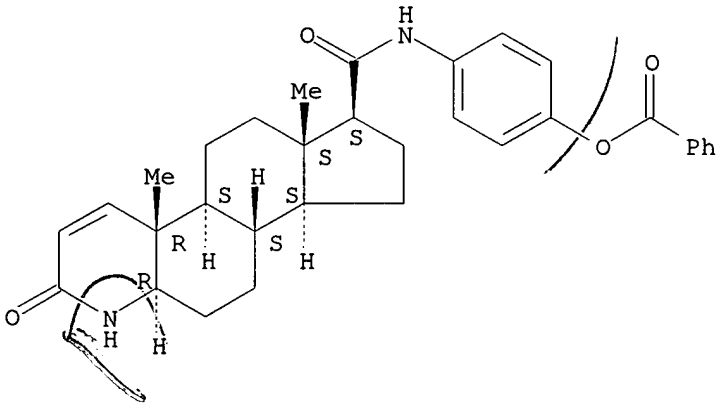
RN 167558-19-2 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[3-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167558-20-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[4-(benzoyloxy)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 45 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1995:665048 CAPLUS
DN 123:56392
TI 17.beta.-carbamoyl-4-aza-5.alpha.-androstan-3-ones as selective 5.alpha.-reductase inhibitors
IN Batchelor, Kenneth William; Frye, Stephen Vernon
PA Glaxo Inc., USA
SO PCT Int. Appl.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507926	A1	19950323	WO 1994-US10479	19940916
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	CA 2171329	AA	19950323	CA 1994-2171329	19940916
	AU 9477980	A1	19950403	AU 1994-77980	19940916
	AU 685167	B2	19980115		
	EP 719277	A1	19960703	EP 1994-928605	19940916
	EP 719277	B1	19971217		
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	CN 1041939	B	19990203		
	HU 74445	A2	19961230	HU 1996-643	19940916
	JP 09502729	T2	19970318	JP 1994-509374	19940916
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
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	IL 110979	A1	19990817	IL 1994-110979	19940916
	AT 186733	E	19991215	AT 1997-200658	19940916
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	ES 2140181	T3	20000216	ES 1997-200658	19940916
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	FI 9601232	A	19960315	FI 1996-1232	19960315
	NO 9601085	A	19960422	NO 1996-1085	19960315
PRAI	US 1993-123280	A	19930917		
	US 1993-136515	A	19931012		
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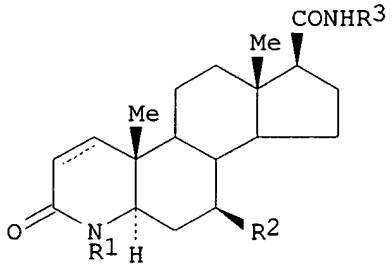
	WO 1994-US10479	W	19940916		

OS CASREACT 123:56392; MARPAT 123:56392
GI



I

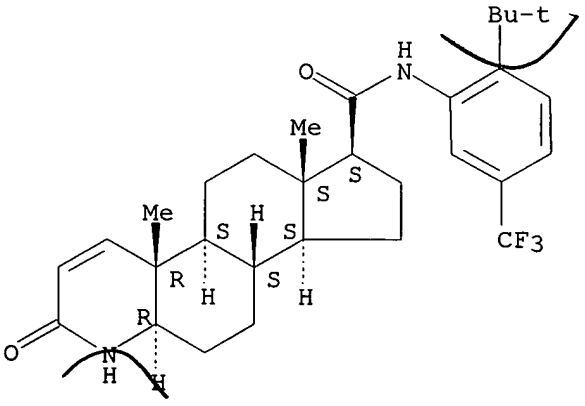
AB The present invention relates to title compds. I [C(1)-C(2) = single or double bond; R1, R2 = H, Me; R2 =s hydrogen or methyl; R3 = aryl, arylcycloalkyl] and pharmaceutically acceptable solvates thereof and their use in the treatment of androgen-responsive and -mediated diseases. Thus, 3-oxo-4-androstene-17.beta.-carboxylic acid was treated with RNH2 [R = 1-(4-chlorophenyl)cyclopent-1-yl] to give the carbamate, subjected to oxidative cleavage of the A-ring, recyclized with NH3, and subjected to double bond redn. to give I [R1, R2 = H, R3 = R, the dotted bond is single] which had potent selective inhibiting activity for types 1 and 2 steroid 5.alpha.-reductases relative to 3.beta.-hydroxy-.DELTA.5-steroid dehydrogenase.

IT **164721-99-7P 164722-02-5P 164722-05-8P 164722-06-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(17.beta.-carbamoyl-4-aza-5.alpha.-androstan-3-ones as selective 5.alpha.-reductase inhibitors)

RN 164721-99-7 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

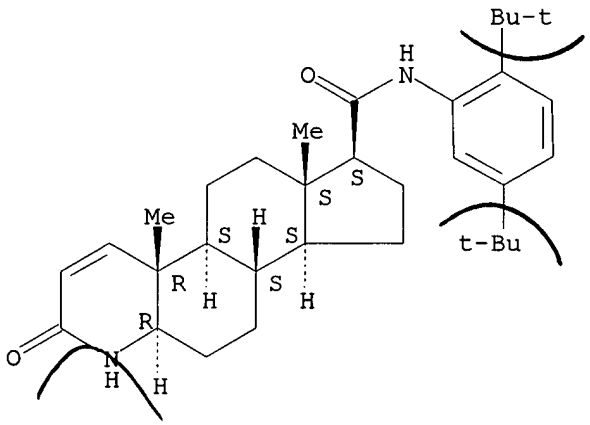


RN 164722-02-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(1,1-dimethylethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-

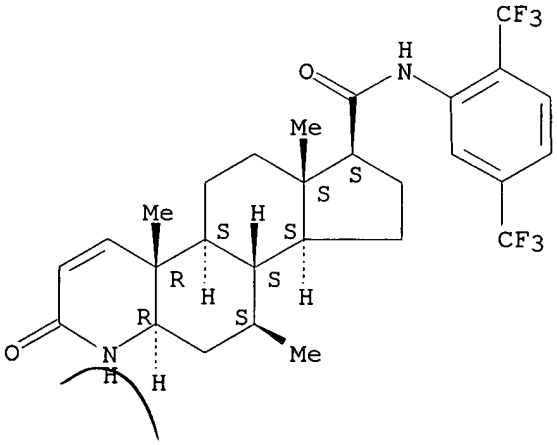
4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



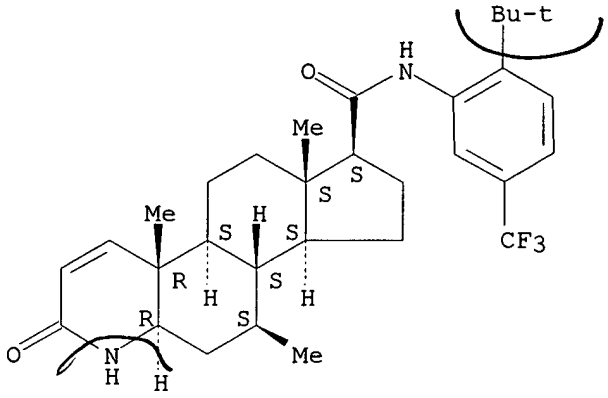
RN 164722-05-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 164722-06-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2-(1,1-dimethylethyl)-5-(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a,10-trimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,10S,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


Bu-t
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L5 ANSWER 46 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1995:662472 CAPLUS
DN 123:56393
TI Androstenone derivative
IN Batchelor, Kenneth William; Frye, Stephen Vernon
PA Glaxo Inc., USA
SO PCT Int. Appl., 23 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9507927	A1	19950323	WO 1994-US10530	19940916
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ				
	RW: KE, MW, SD, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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	ZA 9407119	A	19950526	ZA 1994-7119	19940915
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	EP 719278	B1	19980114		
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	CN 1057771	B	20001025		
	HU 73850	A2	19960930	HU 1996-656	19940916
	HU 220060	B	20011028		
	JP 09502731	T2	19970318	JP 1994-509391	19940916
	JP 2904310	B2	19990614		
	EP 783001	A1	19970709	EP 1997-200658	19940916
	EP 783001	B1	19991117		
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	RU 2140926	C1	19991110	RU 1996-108410	19940916
	CZ 286069	B6	20000112	CZ 1996-745	19940916
	PL 180002	B1	20001130	PL 1994-313492	19940916
	SK 281869	B6	20010806	SK 1996-347	19940916
	RO 117455	B1	20020329	RO 1996-537	19940916
	FI 9601231	A	19960315	FI 1996-1231	19960315
	NO 9601080	A	19960315	NO 1996-1080	19960315
PRAI	US 1993-123280	A	19930917		
	US 1993-136515	A	19931012		
	EP 1994-928605	A3	19940916		
	WO 1994-US10530	W	19940916		

OS CASREACT 123:56393
AB The present invention relates to 17.beta.-N-[2,5-bis(trifluoromethyl)phenyl]carbamoyl-4-aza-5.alpha.-androst-1-en-3-one (I), solvates thereof, its prepn., intermediates used in its prepn., pharmaceutical formulations thereof and its use in the treatment of androgen-responsive and -mediated diseases. Thus, 3-oxo-4-androstene-17.beta.-carboxylic acid was carbamoylated, subjected to oxidative

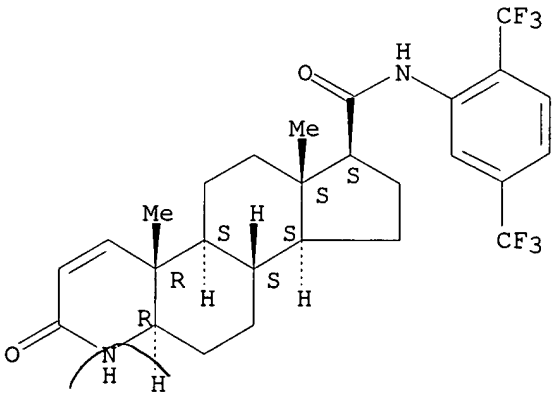
cleavage of the A-ring, recyclized with NH3, and reduced to give I, which is a strong selective inhibitor of testosterone 5.alpha.-reductase.

IT **164656-23-9P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(bis(trifluoromethyl)phenylcarbamoylazaandrostenone as testosterone reductase inhibitor)

RN 164656-23-9 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-[2,5-bis(trifluoromethyl)phenyl]-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

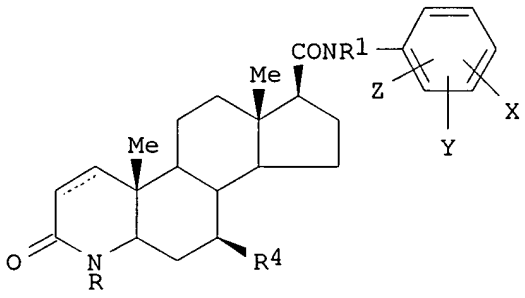
Absolute stereochemistry.



L15 ANSWER 47 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1994:680956 CAPLUS
DN 121:280956
TI Preparation of 4-aza-5.alpha.-androstan-3-one-17.beta.-carboxanilides as 5.alpha.-reductase inhibitors
IN Rasmusson, Gary H.; Bakshi, Raman K.; Patel, Gool F.
PA Merck and Co., Inc., USA
SO PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9407861	A1	19940414	WO 1993-US9585	19931006
	W: AU, BB, BG, BR, BY, CA, CZ, FI, HU, JP, KR, KZ, LK, LV, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	EP 663924	A1	19950726	EP 1993-923301	19931006
	EP 663924	B1	20010214		
	US 5693810	A	19971202	US 1995-406898	19950321
	LV 12721	B	20011220	LV 2001-88	20010601
PRAI	US 1992-957231	A2	19921006		
	WO 1993-US9585	W	19931006		

OS MARPAT 121:280956
GI

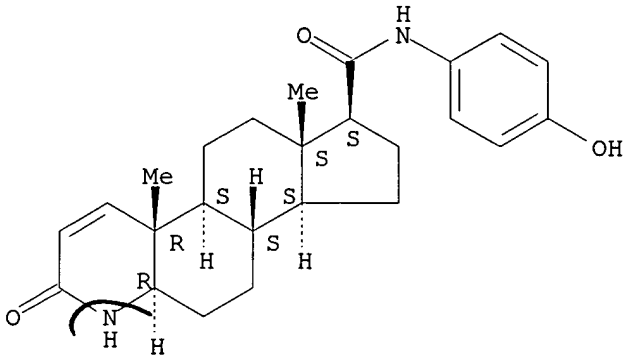


I

AB Title compds. I (R = H, Me, Et, R1 = H, C1-10 alkyl, Ph; X, Y, Z =H, HO, H2N, HS, C1-4 alkyl-S, HO2C,NC, C2-10 acyl, C3-8 alkyl, C3-8 cycloalkyl, C6-14 aryl, heteroaryl, heteroaroyl, etc.; R4 = O, .alpha.-H, .beta.-H, .beta.-C1-4 alkyl, C2-4 alkenyl, HO, HO2C, spiro, etc.) and a salt thereof, useful as 5.alpha.-reductase inhibitors and for treatment of hyperandrogenic conditions of acne, androgenic alopecia, female hirsutism, benign prostatic hyperplasia, prostatitis, and prostatic cancer (no data), are prepd. S-2'-pyridyl 3-oxo-4-aza-5.alpha.-androst-1-ene-17.beta.-thiocarboxylate (prepn. given) and aniline were heated overnight at 130.degree. to give I (R = R1 = R4 = X = Y = Z = H).
IT **158522-86-2 158522-87-3 158522-88-4**
158522-89-5 158522-90-8 158522-91-9
158522-95-3 158522-98-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(for 5.alpha.-reductase inhibition)
RN 158522-86-2 CAPLUS

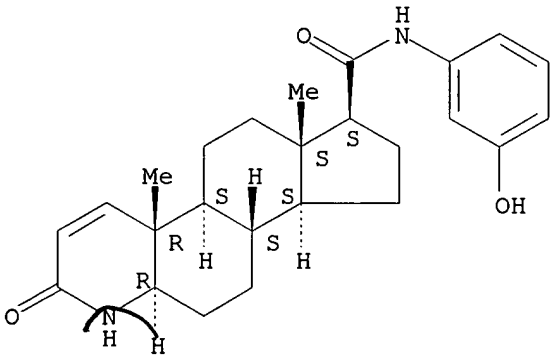
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(4-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



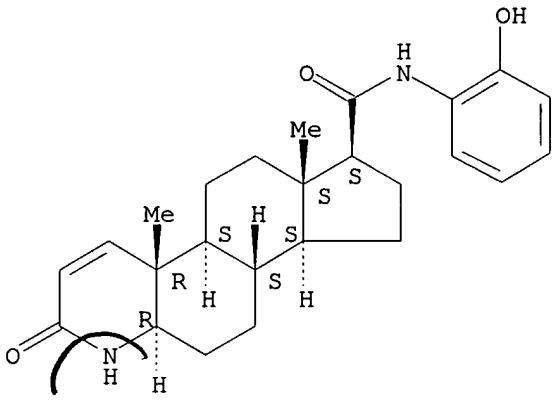
RN 158522-87-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(3-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



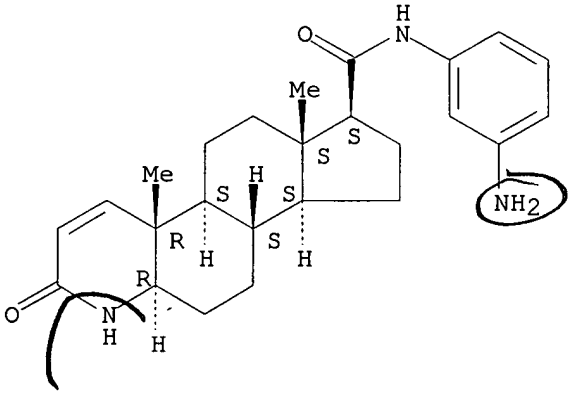
RN 158522-88-4 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-N-(2-hydroxyphenyl)-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



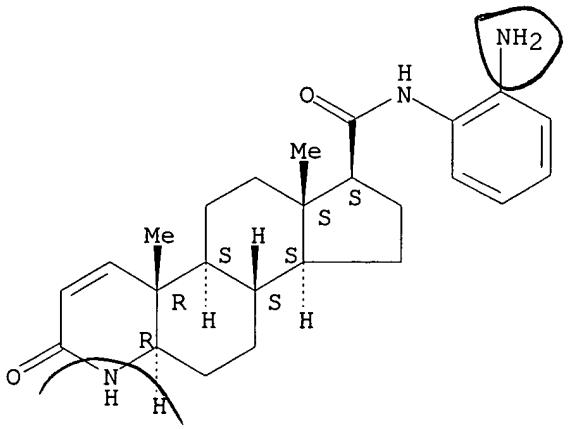
RN 158522-89-5 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



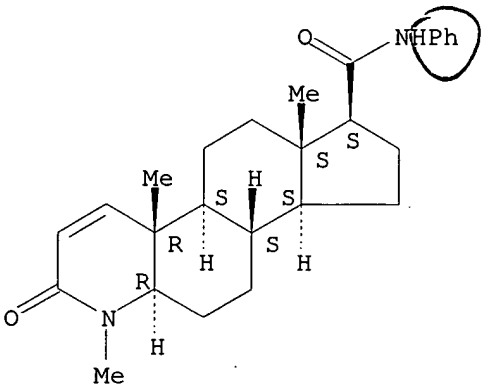
RN 158522-90-8 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-aminophenyl)-
2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-,
(4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



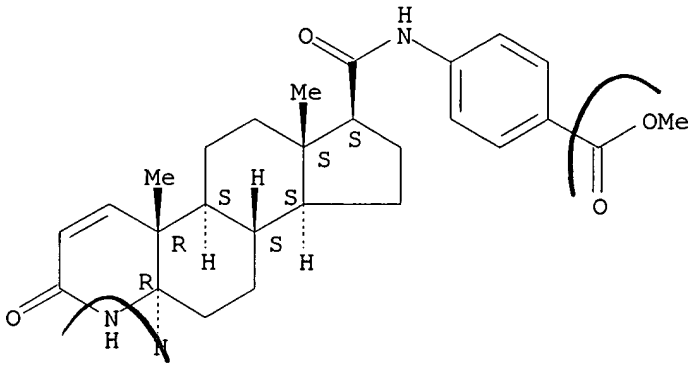
RN 158522-91-9 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-1,4a,6a-trimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



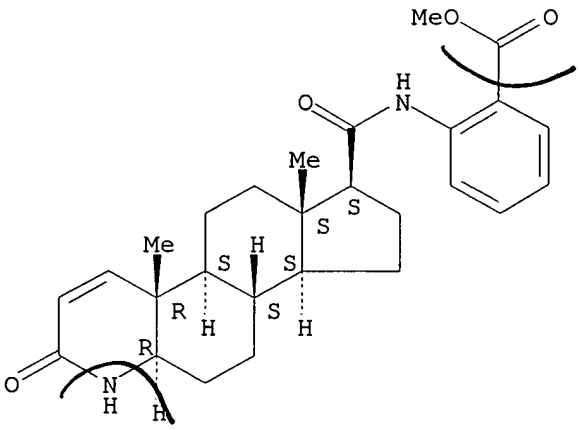
RN 158522-95-3 CAPLUS
CN Benzoic acid, 4-[[(2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl)carbonyl]amino]-, methyl ester, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



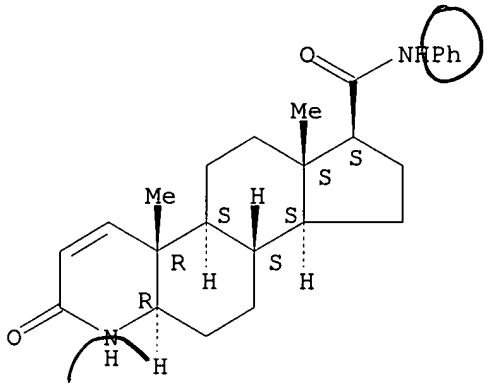
RN 158522-98-6 CAPLUS
CN Benzoic acid, 2-[[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]amino]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



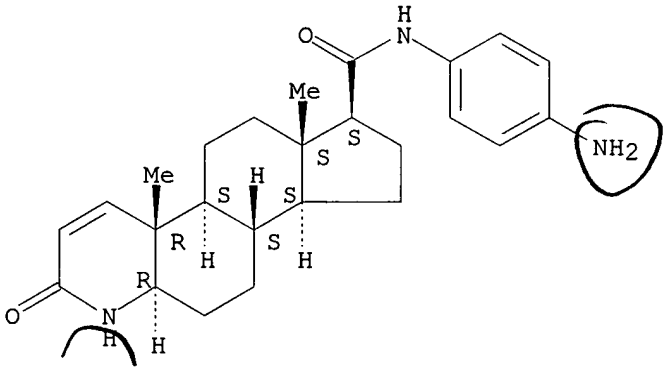
IT **158522-79-3P 158522-80-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. of, as 5.alpha.-reductase inhibitor)
RN 158522-79-3 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-phenyl-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158522-80-6 CAPLUS
CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-aminophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

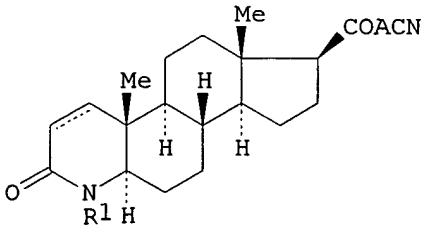
Absolute stereochemistry.



L15 ANSWER 48 OF 48 CAPLUS COPYRIGHT 2002 ACS
AN 1993:539600 CAPLUS
DN 119:139600
TI Preparation and formulation of 3-oxo-4-aza-5.alpha.-androst(-1-ene)-17.beta.-carboxamides and analogs as testosterone 5.alpha.-reductase inhibitors
IN Biollaz, Michel
PA Ciba-Geigy A.-G., Switz.
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 538192	A1	19930421	EP 1992-810766	19921008
	EP 538192	B1	19970423		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
	US 5304562	A	19940419	US 1992-954081	19920930
	IL 103361	A1	19970610	IL 1992-103361	19921005
	CA 2080054	AA	19930410	CA 1992-2080054	19921007
	AU 9226261	A1	19930422	AU 1992-26261	19921007
	AU 657579	B2	19950316		
	NO 9203911	A	19930413	NO 1992-3911	19921008
	ZA 9207747	A	19930413	ZA 1992-7747	19921008
	HU 62600	A2	19930528	HU 1992-3189	19921008
	AT 152121	E	19970515	AT 1992-810766	19921008
	ES 2101073	T3	19970701	ES 1992-810766	19921008
	JP 05213989	A2	19930824	JP 1992-271226	19921009
	US 5378710	A	19950103	US 1993-132399	19931006
PRAI	CH 1991-2978		19911009		
	US 1992-954081		19920930		

OS MARPAT 119:139600
GI



I

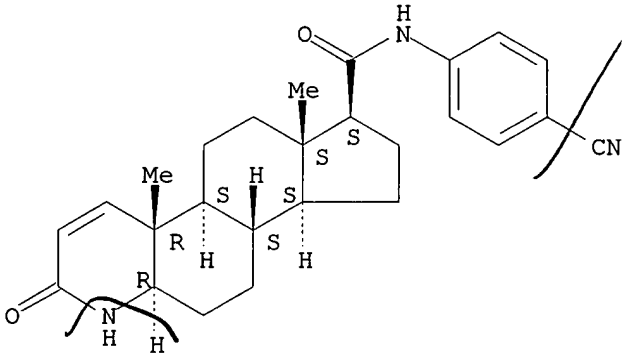
AB Title compds. [I; A = NR2X, NR2YZ, OX, OYZ; R1 = H, Me, Et; R2 = H, alkyl; X = C1-2 alkylene, C3-6 cycloalkylidene; Y = bond, C1-6 alkylene; Z = (substituted) phenylene; dashed line = optional bond] were prepd. as testosterone 5.alpha.-reductase inhibitors (no data). Thus, 3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxylic acid was converted to the acid chloride which was condensed with 4-(H2N)C6H4CN to give N-(4-cyanophenyl)-3-oxo-4-aza-5.alpha.-androstane-17.beta.-carboxamide.
IT **149281-18-5P 149281-27-6P 149281-30-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, as testosterone reductase inhibitor)

RN 149281-18-5 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(4-cyanophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

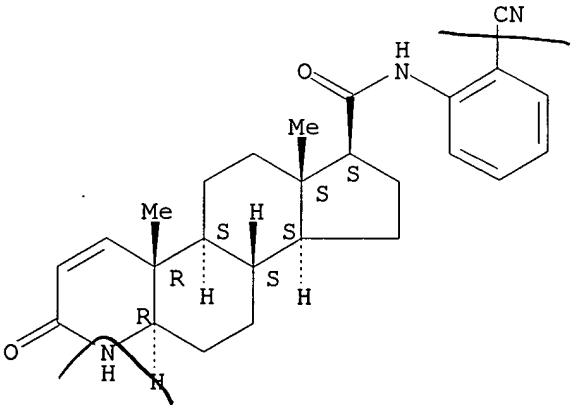
Absolute stereochemistry.



RN 149281-27-6 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(2-cyanophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

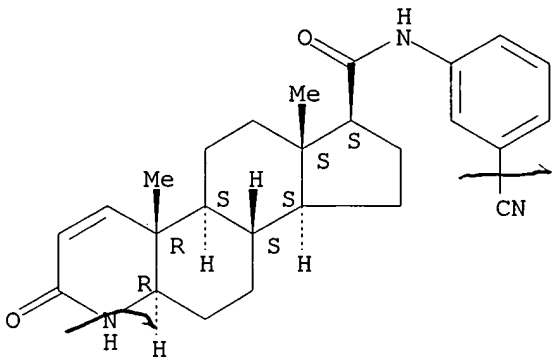
Absolute stereochemistry.



RN 149281-30-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, N-(3-cyanophenyl)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.


H
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Me
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CN
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